

## Refine Search

### Search Results -

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\$7hydroxamic\$8.ti. and L5	53

Database:

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 US OCR Full-Text Database  
 EPO Abstracts Database  
 JPO Abstracts Database  
 Derwent World Patents Index  
 IBM Technical Disclosure Bulletins

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### Search History

DATE: Saturday, December 08, 2007

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**Set Name**  
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result set.

DB=PGPB,USPT,USOC,EPAB,JPAB,DWPI,TDBD; PLUR=YES; OP=ADJ

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L6 \$7hydroxamic\$8.ti and l5

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[L6](#)

L5 L4 and therapeutic\$9

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L4 L3 and 562/\$

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L3 L2 and mmp inhibitor

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[L3](#)

L2 \$7hydroxamic\$8

13895

[L2](#)

DB=PGPB; PLUR=YES; OP=ADJ

L1 20050282905

1

[L1](#)

END OF SEARCH HISTORY

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Search Results - Record(s) 1 through 10 of 53 returned.

☐ 1. Document ID: US 20050282905 A1

L7: Entry 1 of 53

File: PGPB

Dec 22, 2005

PGPUB-DOCUMENT-NUMBER: 20050282905

PGPUB-FILING-TYPE: new

DOCUMENT-IDENTIFIER: US 20050282905 A1

TITLE: Hydroxamic acid derivative and mmp inhibitor containing the same as active ingredient

PUBLICATION-DATE: December 22, 2005

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY
Horiuchi, Yoshihiro	Osaka-shi		JP

US-CL-CURRENT: 514/575; 562/621

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWIC	Draw. De
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☐ 2. Document ID: US 20050113346 A1

L7: Entry 2 of 53

File: PGPB

May 26, 2005

PGPUB-DOCUMENT-NUMBER: 20050113346

PGPUB-FILING-TYPE: new

DOCUMENT-IDENTIFIER: US 20050113346 A1

TITLE: Acetylenic alpha-amino acid-based sulfonamide hydroxamic acid tace inhibitors

PUBLICATION-DATE: May 26, 2005

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY
Levin, Jeremy I.	New City	NY	US
Chen, James M.	New City	NY	US
Cole, Derek C.	Suffern	NY	US
Du, Mila T.	New City		US
Laakso, Leif M.			US

US-CL-CURRENT: [514/114](#); [514/357](#), [514/408](#), [514/459](#), [514/575](#), [546/336](#), [548/561](#),  
[558/185](#), [562/621](#)

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWIC	Draw D
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3. Document ID: US 20050085504 A1

L7: Entry 3 of 53

File: PGPB

Apr 21, 2005

PGPUB-DOCUMENT-NUMBER: 20050085504  
PGPUB-FILING-TYPE: new  
DOCUMENT-IDENTIFIER: US 20050085504 A1

TITLE: Preparation and use of ortho-sulfonamido aryl hydroxamic acids as matrix metalloproteinase inhibitors

PUBLICATION-DATE: April 21, 2005

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY
Nelson, Frances Christy	Wyckoff	NJ	US
Zask, Arie	New York	NY	US
Chen, James Ming	San Ramon	CA	US
Mobilio, Dominick	Warren	NJ	US
Nilakantan, Ramaswamy	Closter	NJ	US

US-CL-CURRENT: [514/310](#); [514/357](#), [514/408](#), [514/419](#), [514/575](#), [546/146](#), [546/336](#),  
[548/495](#), [548/571](#), [562/621](#)

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWIC	Draw D
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4. Document ID: US 20040229924 A1

L7: Entry 4 of 53

File: PGPB

Nov 18, 2004

PGPUB-DOCUMENT-NUMBER: 20040229924  
PGPUB-FILING-TYPE: new  
DOCUMENT-IDENTIFIER: US 20040229924 A1

TITLE: Alkynyl containing hydroxamic acid compounds as matrix metalloproteinase/TACE inhibitors

PUBLICATION-DATE: November 18, 2004

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY
Levin, Jeremy I.	New City	NY	US
Venkatesan, Aranapakam M.	Rekgo Park	NY	US
Chen, James M.	San Ramon	CA	US
Zask, Arie	New York	NY	US

Sandanayaka, Vincent P.	Northboro	MA	US
Du, Mila T.	Suffern	NY	US
Baker, Jannie L.	Hardeeville	SC	US

US-CL-CURRENT: 514/357; 514/408, 514/517, 514/575, 546/336, 548/577, 558/414,  
562/623

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KIMC	Draw D
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5. Document ID: US 20040167182 A1

L7: Entry 5 of 53

File: PGPB

Aug 26, 2004

PGPUB-DOCUMENT-NUMBER: 20040167182  
PGPUB-FILING-TYPE: new  
DOCUMENT-IDENTIFIER: US 20040167182 A1

TITLE: Hydroxamic acid and amide compounds and their use as protease inhibitors

PUBLICATION-DATE: August 26, 2004

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY
Becker, Daniel P.	Glenview	IL	US
Chen, Yiyuan	Skokie	IL	US
Freskos, John N.	Clayton	MO	US
Gasiecki, Alan F.	Vernon Hills	IL	US
Grapperhaus, Margaret L.	Troy	IL	US
Hansen, Donald W. JR.	Skokie	IL	US
Heintz, Robert M.	Bourbon	MO	US
Kassab, Darren J.	O'Fallon	MO	US
Khanna, Ish K.	Libertyville	IL	US
Kolodziej, Stephen A.	Ballwin	MO	US
Mantegani, Sergio	Milan	MO	IT
Massa, Mark A.	Ballwin	MO	US
McDonald, Joseph J.	Wildwood	MO	US
Mischke, Deborah A.	Defiance	MO	US
Nagy, Mark A.	Chesterfield	MO	US
Perrone, Ettore	Milan	IL	IT
Rico, Joseph G.	O'Fallon	CA	US
Schmidt, Michelle A.	Belleville	MA	US
Spangler, Dale P.	San Diego	CT	US
Talley, John J.	Somerville	MA	US
Trivedi, Mahima	Danberry		US
Wynn, Thomas A.	Salem		US

US-CL-CURRENT: 514/357; 514/408, 514/575, 546/304, 548/557, 562/621

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KMIC	Draw D
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6. Document ID: US 20040127717 A1

L7: Entry 6 of 53

File: PGPB

Jul 1, 2004

PGPUB-DOCUMENT-NUMBER: 20040127717

PGPUB-FILING-TYPE: new

DOCUMENT-IDENTIFIER: US 20040127717 A1

TITLE: Hydroxamic and carboxylic acid derivatives

PUBLICATION-DATE: July 1, 2004

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY
Montana, John Gary	Cambridge		GB
Baxter, Andrew Douglas	Cambridge		GB
Owen, David Alan	Cambridge		GB
Watson, Robert John	Cambridge		GB

US-CL-CURRENT: 546/336; 546/341, 562/556, 562/621

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Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KMIC	Draw D
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7. Document ID: US 20040110805 A1

L7: Entry 7 of 53

File: PGPB

Jun 10, 2004

PGPUB-DOCUMENT-NUMBER: 20040110805

PGPUB-FILING-TYPE: new

DOCUMENT-IDENTIFIER: US 20040110805 A1

TITLE: Aromatic sulfone hydroxamic acids and their use as protease inhibitors

PUBLICATION-DATE: June 10, 2004

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY
Freskos, John N.	Clayton	MO	US
Fobian, Yvette M.	Wildwood	MO	US
Barta, Thomas E.	Evanston	IL	US
Becker, Daniel P.	Glenview	IL	US
Bedell, Louis J.	Mt. Prospect	IL	US
Boehm, Terri L.	Ballwin	MO	US
Carroll, Jeffery N.	Columbia	IL	US
DeCrescenzo, Gary A.	St. Charles	MO	US
Hockerman, Susan L.	Chicago	IL	US
Kassab, Darren J.	Wildwood	MO	US

Kolodziej, Steve A.	Ballwin	MO	US
McDonald, Joseph	Wildwood	MO	US
Mischke, Deborah A.	Defiance	MO	US
Norton, Monica B.	St. Louis	MO	US
Rico, Joseph G.	Ballwin	MO	US
Talley, John J.	Cambridge	MA	US
Villamil, Clara I.	Glenview	IL	US
Wang, Lijuan Jane	Wildwood	MO	US

US-CL-CURRENT: [514/357](#); [514/408](#), [514/534](#), [514/575](#), [546/336](#), [548/577](#), [562/621](#)

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWIC	Draw D
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## 8. Document ID: US 20040034071 A1

L7: Entry 8 of 53

File: PGPB

Feb 19, 2004

PGPUB-DOCUMENT-NUMBER: 20040034071

PGPUB-FILING-TYPE: new

DOCUMENT-IDENTIFIER: US 20040034071 A1

TITLE: Thioaryl sulfonamide hydroxamic acid compounds

PUBLICATION-DATE: February 19, 2004

## INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY
Getman, Daniel P.	Chesterfield	MO	US
Becker, Daniel P.	Glenview	IL	US
Barta, Thomas E.	Evanston	IL	US
Villamil, Clara I.	Glenview	IL	US
Hockerman, Susan L.	Lincolnwood	IL	US
Bedell, Louis J.	Mt. Prospect	IL	US
Li, Madeleine Hui	Vernon Hills	IL	US
Freskos, John N.	Clayton	MO	US
Heintz, Robert M.	Ballwin	MO	US
McDonald, Joseph J.	Wildwood	MO	US
DeCrescenzo, Gary A.	St. Charles	MO	US

US-CL-CURRENT: [514/357](#); [514/408](#), [514/575](#), [546/336](#), [548/567](#), [562/623](#)

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWIC	Draw D
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## 9. Document ID: US 20040033988 A1

L7: Entry 9 of 53

File: PGPB

Feb 19, 2004

PGPUB-DOCUMENT-NUMBER: 20040033988

PGPUB-FILING-TYPE: new

DOCUMENT-IDENTIFIER: US 20040033988 A1

TITLE: Acetylenic alpha-amino acid-based sulfonamide hydroxamic acid tace inhibitors

PUBLICATION-DATE: February 19, 2004

## INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY
Levin, Jeremy I.	New City	NY	US
Chen, James M.	San Ramon	CA	US
Cole, Derek C.	New City	NY	US
Du, Mila T.	Suffern	NY	US
Laakso, Leif M.	New City	NY	US

US-CL-CURRENT: 514/89; 514/114, 514/331, 514/432, 514/459, 514/575, 514/95, 514/99, 546/22, 546/233, 549/14, 549/426, 562/623

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWIC	Draw. D
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10. Document ID: US 20030236416 A1

L7: Entry 10 of 53

File: PGPB

Dec 25, 2003

PGPUB-DOCUMENT-NUMBER: 20030236416

PGPUB-FILING-TYPE: new

DOCUMENT-IDENTIFIER: US 20030236416 A1

TITLE: HYDROXAMIC AND CARBOXYLIC ACID DERIVATIVES

PUBLICATION-DATE: December 25, 2003

## INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY
Montana, John Gary	Cambridge		GB
Baxter, Andrew Douglas	Cambridge		GB
Owen, David Alan	Cambridge		GB
Watson, Robert John	Cambridge		GB

US-CL-CURRENT: 546/336; 546/341, 560/11, 562/621

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWIC	Draw. D
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☐ 11. Document ID: US 20030212049 A1

L7: Entry 11 of 53

File: PGPB

Nov 13, 2003

PGPUB-DOCUMENT-NUMBER: 20030212049

PGPUB-FILING-TYPE: new

DOCUMENT-IDENTIFIER: US 20030212049 A1

TITLE: Acetylenic alpha-amino acid-based sulfonamide hydroxamic acid tace inhibitors

PUBLICATION-DATE: November 13, 2003

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY
Levin, Jeremy I.	New City	NY	US
Chen, James Ming	San Ramon	CA	US
Cole, Derek C.	New City	NY	US
Du, Mila T.	Suffern	NY	US
Laakso, Leif M.	New City	NY	US

US-CL-CURRENT: 514/114; 514/218, 514/227.5, 514/237.8, 514/252.12, 514/307,  
514/329, 514/357, 514/426, 514/575, 540/575 , 544/167, 544/399, 544/59, 546/150,  
546/229, 562/623

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KMIC	Draw D
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☐ 12. Document ID: US 20030166687 A1

L7: Entry 12 of 53

File: PGPB

Sep 4, 2003

PGPUB-DOCUMENT-NUMBER: 20030166687

PGPUB-FILING-TYPE: new

DOCUMENT-IDENTIFIER: US 20030166687 A1

TITLE: ALPHA-HYDROXY, -AMINO AND -FLUORO DERIVATIVES OF BETA-SULPHONYL HYDROXAMIC ACIDS AS MATRIX METALLOPROTEINASES INHIBITORS

PUBLICATION-DATE: September 4, 2003

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY
WARPEHOSKI, MARTHA A.	PORTAGE	MI	US

MITCHELL, MARK ALLEN	KALAMAZOO	MI	US
HARPER, DONALD E.	PLAINWELL	MI	US
MAGGIORA, LINDA LOUISE	KALAMAZOO	MI	US

US-CL-CURRENT: 514/341; 514/357, 514/389, 514/575, 546/274.4, 546/336, 548/318.5,  
562/621

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWIC	Draw D
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13. Document ID: US 20030130238 A1

L7: Entry 13 of 53

File: PGPB

Jul 10, 2003

PGPUB-DOCUMENT-NUMBER: 20030130238  
PGPUB-FILING-TYPE: new  
DOCUMENT-IDENTIFIER: US 20030130238 A1

TITLE: Allenic aryl sulfonamide hydroxamic acids as matrix metalloproteinase and  
tace inhibitors

PUBLICATION-DATE: July 10, 2003

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY
Sandanayaka, Vincent Premaratna	Northboro	MA	US
Delos Santos, Efren Guillermo	Nanuet	NY	US

US-CL-CURRENT: 514/114; 514/217.12, 514/357, 514/408, 514/575, 540/609, 546/336,  
548/566, 558/166, 562/623

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWIC	Draw D
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14. Document ID: US 20020188132 A1

L7: Entry 14 of 53

File: PGPB

Dec 12, 2002

PGPUB-DOCUMENT-NUMBER: 20020188132  
PGPUB-FILING-TYPE: new  
DOCUMENT-IDENTIFIER: US 20020188132 A1

TITLE: Heteroaryl acetylenic sulfonamide and phosphinic acid amide hydroxamic acid  
TACE inhibitors

PUBLICATION-DATE: December 12, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY
Levin, Jeremy I.	New City	NY	US
Chen, James M.	Bedminster	NJ	US
Nelson, Frances C.	Wyckoff	NJ	US

US-CL-CURRENT: [546/309](#); [546/22](#), [548/112](#), [548/190](#), [548/253](#), [548/326.5](#), [562/622](#)

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWIC	Draw. De
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☐ 15. Document ID: US 20020173508 A1

L7: Entry 15 of 53

File: PGPB

Nov 21, 2002

PGPUB-DOCUMENT-NUMBER: 20020173508

PGPUB-FILING-TYPE: new

DOCUMENT-IDENTIFIER: US 20020173508 A1

TITLE: Aromatic sulfonyl alpha-hydroxy hydroxamic acid compounds

PUBLICATION-DATE: November 21, 2002

## INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY
Freskos, John N.	Clayton	MO	US
Boehm, Terri L.	Ballwin	MO	US
Mischke, Brent V.	Defiance	MO	US
Heintz, Robert M.	Ballwin	MO	US
McDonald, Joseph J.	Ballwin	MO	US
DeCrescenzo, Gary A.	St. Charles	MO	US
Howard, Susan C.	Fenton	MO	US

US-CL-CURRENT: [514/227.5](#); [514/237.8](#), [514/408](#), [514/575](#), [544/168](#), [544/59](#), [544/60](#),  
[548/568](#), [562/621](#)

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWIC	Draw. De
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☐ 16. Document ID: US 20020133030 A1

L7: Entry 16 of 53

File: PGPB

Sep 19, 2002

PGPUB-DOCUMENT-NUMBER: 20020133030

PGPUB-FILING-TYPE: new

DOCUMENT-IDENTIFIER: US 20020133030 A1

TITLE: Processes for preparing 3-arylsulfur hydroxamic acids

PUBLICATION-DATE: September 19, 2002

## INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY
Campbell, Jeffrey Allen	Cheshire	CT	US
Fisher, Lawrence Emerson	Mountain View	CA	US
Dvorak, Charles Alois	Palo Alto	CA	US
McGrane, Paul Leo	Mountain View	CA	US

US-CL-CURRENT: [549/497](#); [562/426](#), [562/429](#), [562/621](#)

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWIC	Draw. De
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## 17. Document ID: US 20020103239 A1

L7: Entry 17 of 53

File: PGPB

Aug 1, 2002

PGPUB-DOCUMENT-NUMBER: 20020103239

PGPUB-FILING-TYPE: new

DOCUMENT-IDENTIFIER: US 20020103239 A1

TITLE: Sulfonyl divalent aryl or heteroaryl hydroxamic acid compounds

PUBLICATION-DATE: August 1, 2002

## INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY
Bedell, Louis J.	Mt. Prospect	IL	US
McDonald, Joseph J.	Ballwin	MO	US
Barta, Thomas E.	Evanston	IL	US
Becker, Daniel P.	Glenview	IL	US
Rao, Shashidhar N.	St. Louis	MO	US
Freskos, John N.	Clayton	MO	US
Mischke, Brent V.	Defiance	MO	US
Getman, Daniel P.	Chesterfield	MO	US
DeCrescenzo, Gary A.	St. Charles	MO	US

US-CL-CURRENT: [514/357](#); [514/336](#), [514/422](#), [514/428](#), [514/575](#), [546/268.1](#), [546/337](#),  
[548/517](#), [548/567](#), [562/621](#)

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWIC	Draw. De
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## 18. Document ID: US 20020086890 A1

L7: Entry 18 of 53

File: PGPB

Jul 4, 2002

PGPUB-DOCUMENT-NUMBER: 20020086890

PGPUB-FILING-TYPE: new

DOCUMENT-IDENTIFIER: US 20020086890 A1

TITLE: Alkynyl containing hydroxamic acid compounds as matrix metalloproteinase/tace inhibitors

PUBLICATION-DATE: July 4, 2002

## INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY
Levin, Jeremy I.	New City	NY	US
Venkatesan, Aranapakam M.	Rekgo Park	NY	US

Chen, James M.	Stoddard Court	NJ	US
Zask, Arie	New York	NY	US
Sandanayaka, Vincent P.	Wesley Hills	NY	US
Du, Mila T.	Suffern	NY	US
Baker, Jannie L.	White Plains	NY	US

US-CL-CURRENT: [514/357](#); [514/428](#), [514/575](#), [546/336](#), [548/567](#), [562/621](#), [562/623](#)

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWIC	Draw De
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☐ 19. Document ID: US 20020038037 A1

L7: Entry 19 of 53

File: PGPB

Mar 28, 2002

PGPUB-DOCUMENT-NUMBER: 20020038037

PGPUB-FILING-TYPE: new

DOCUMENT-IDENTIFIER: US 20020038037 A1

TITLE: Processes for preparing 3-arylsulfur hydroxamic acids

PUBLICATION-DATE: March 28, 2002

## INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY
Campbell, Jeffrey Allen	Cheshire	CT	US
Fisher, Lawrence Emerson	Mountain View	CA	US
Dvorak, Charles Alois	Palo Alto	CA	US
McGrane, Paul Leo	Mountain View	CA	US

US-CL-CURRENT: [548/530](#); [562/429](#), [562/621](#)

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWIC	Draw De
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☐ 20. Document ID: US 7282496 B2

L7: Entry 20 of 53

File: USPT

Oct 16, 2007

US-PAT-NO: 7282496

DOCUMENT-IDENTIFIER: US 7282496 B2

TITLE: Allenic aryl sulfonamide hydroxamic acids as matrix metalloproteinase and TACE inhibitors

## PRIOR-PUBLICATION:

DOC-ID	DATE
US 20030130238 A1	July 10, 2003

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWIC	Draw De
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☐ 21. Document ID: US 6825354 B2

L7: Entry 21 of 53

File: USPT

Nov 30, 2004

US-PAT-NO: 6825354

DOCUMENT-IDENTIFIER: US 6825354 B2

TITLE: Alkynyl containing hydroxamic acid compounds as matrix metalloproteinase and TACE inhibitors

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KMC	Drawings
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☐ 22. Document ID: US 6716844 B2

L7: Entry 22 of 53

File: USPT

Apr 6, 2004

US-PAT-NO: 6716844

DOCUMENT-IDENTIFIER: US 6716844 B2

TITLE: Aromatic sulfonyl alpha-hydroxy hydroxamic acid compounds

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KMC	Drawings
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☐ 23. Document ID: US 6610729 B1

L7: Entry 23 of 53

File: USPT

Aug 26, 2003

US-PAT-NO: 6610729

DOCUMENT-IDENTIFIER: US 6610729 B1

TITLE: Hydroxamic acid derivatives and medicinal utilization thereof

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KMC	Drawings
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☐ 24. Document ID: US 6583299 B1

L7: Entry 24 of 53

File: USPT

Jun 24, 2003

US-PAT-NO: 6583299

DOCUMENT-IDENTIFIER: US 6583299 B1

**\*\* See image for Certificate of Correction \*\***

TITLE: .alpha.-amino-.beta.-sulfonyl hydroxamic acid compounds

Full	Title	Citation	Front	Review	Classification	Date	Reference	Claims	KMIC	Draw. De
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☐ 25. Document ID: US 6566384 B1

L7: Entry 25 of 53

File: USPT

May 20, 2003

US-PAT-NO: 6566384

DOCUMENT-IDENTIFIER: US 6566384 B1

**\*\* See image for Certificate of Correction \*\***

TITLE: Hydroxamic and carboxylic acid derivatives having MMP and TNF inhibitory activity

Full	Title	Citation	Front	Review	Classification	Date	Reference	Claims	KMIC	Draw. De
------	-------	----------	-------	--------	----------------	------	-----------	--------	------	----------

☐ 26. Document ID: US 6506764 B1

L7: Entry 26 of 53

File: USPT

Jan 14, 2003

US-PAT-NO: 6506764

DOCUMENT-IDENTIFIER: US 6506764 B1

**\*\* See image for Certificate of Correction \*\***

TITLE: Hydroxamic and carboxylic acid derivatives

Full	Title	Citation	Front	Review	Classification	Date	Reference	Claims	KMIC	Draw. De
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☐ 27. Document ID: US 6465468 B1

L7: Entry 27 of 53

File: USPT

Oct 15, 2002

US-PAT-NO: 6465468

DOCUMENT-IDENTIFIER: US 6465468 B1

TITLE: Hydroxamic and carboxylic acid derivatives

Full	Title	Citation	Front	Review	Classification	Date	Reference	Claims	KMIC	Draw. De
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☐ 28. Document ID: US 6462042 B1

L7: Entry 28 of 53

File: USPT

Oct 8, 2002

US-PAT-NO: 6462042

DOCUMENT-IDENTIFIER: US 6462042 B1

**\*\* See image for Certificate of Correction \*\***

TITLE: Hydroxamic acid derivatives as matrix metalloproteinase (mmp) inhibitors



Full	Title	Citation	Front	Review	Classification	Date	Reference	Claims	KMIC	Draw. De
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☐ 29.. Document ID: US 6452010 B1

L7: Entry 29 of 53

File: USPT

Sep 17, 2002

US-PAT-NO: 6452010

DOCUMENT-IDENTIFIER: US 6452010 B1

TITLE: Process for the preparation of hydroxamic acid derivatives

Full	Title	Citation	Front	Review	Classification	Date	Reference	Claims	KMIC	Draw. De
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☐ 30. Document ID: US 6437177 B1

L7: Entry 30 of 53

File: USPT

Aug 20, 2002

US-PAT-NO: 6437177

DOCUMENT-IDENTIFIER: US 6437177 B1

**\*\* See image for Certificate of Correction \*\***

TITLE: .alpha.-hydroxy, -amino, and halo derivatives of .beta.-sulfonyl hydroxamic acids as matrix metalloproteinases inhibitors

Full	Title	Citation	Front	Review	Classification	Date	Reference	Claims	KMIC	Draw. De
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Search Results - Record(s) 31 through 40 of 53 returned.

☐ 31. Document ID: US 6362183 B1

L7: Entry 31 of 53

File: USPT

Mar 26, 2002

US-PAT-NO: 6362183

DOCUMENT-IDENTIFIER: US 6362183 B1

TITLE: Aromatic sulfonyl alpha-hydroxy hydroxamic acid compounds

Full	Title	Citation	Front	Review	Classification	Date	Reference	Abstract	Chemical Abstracts	Claims	KWIC	Draw D
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☐ 32. Document ID: US 6326516 B1

L7: Entry 32 of 53

File: USPT

Dec 4, 2001

US-PAT-NO: 6326516

DOCUMENT-IDENTIFIER: US 6326516 B1

TITLE: Acetylenic .beta.-sulfonamido and phosphinic acid amide hydroxamic acid TACE inhibitors

Full	Title	Citation	Front	Review	Classification	Date	Reference	Abstract	Chemical Abstracts	Claims	KWIC	Draw D
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☐ 33. Document ID: US 6277885 B1

L7: Entry 33 of 53

File: USPT

Aug 21, 2001

US-PAT-NO: 6277885

DOCUMENT-IDENTIFIER: US 6277885 B1

TITLE: Acetylenic aryl sulfonamide and phosphinic acid amide hydroxamic acid TACE inhibitors

Full	Title	Citation	Front	Review	Classification	Date	Reference	Abstract	Chemical Abstracts	Claims	KWIC	Draw D
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☐ 34. Document ID: US 6235928 B1

L7: Entry 34 of 53

File: USPT

May 22, 2001

US-PAT-NO: 6235928

DOCUMENT-IDENTIFIER: US 6235928 B1

\*\* See image for Certificate of Correction \*\*

TITLE: .beta.-sulfonyl hydroxamic acids

Full	Title	Citation	Front	Review	Classification	Date	Reference	Claims	KMIC	Draw. De
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☐ 35. Document ID: US 6187924 B1

L7: Entry 35 of 53

File: USPT

Feb 13, 2001

US-PAT-NO: 6187924

DOCUMENT-IDENTIFIER: US 6187924 B1

**\*\* See image for Certificate of Correction \*\***

TITLE: Hydroxamic and carboxylic acid derivatives having MMP and TNF inhibitory activity

Full	Title	Citation	Front	Review	Classification	Date	Reference	Claims	KMIC	Draw. De
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☐ 36. Document ID: US 6156798 A

L7: Entry 36 of 53

File: USPT

Dec 5, 2000

US-PAT-NO: 6156798

DOCUMENT-IDENTIFIER: US 6156798 A

TITLE: Cyclobutyl-aryloxyarylsulfonylamino hydroxamic acid derivatives

Full	Title	Citation	Front	Review	Classification	Date	Reference	Claims	KMIC	Draw. De
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☐ 37. Document ID: US 6110964 A

L7: Entry 37 of 53

File: USPT

Aug 29, 2000

US-PAT-NO: 6110964

DOCUMENT-IDENTIFIER: US 6110964 A

TITLE: Bicyclic hydroxamic acid derivatives

Full	Title	Citation	Front	Review	Classification	Date	Reference	Claims	KMIC	Draw. De
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☐ 38. Document ID: US 6100266 A

L7: Entry 38 of 53

File: USPT

Aug 8, 2000

US-PAT-NO: 6100266

DOCUMENT-IDENTIFIER: US 6100266 A

**\*\* See image for Certificate of Correction \*\***

TITLE: Hydroxamic and carboxylic acid derivatives

Full	Title	Citation	Front	Review	Classification	Date	Reference	Claims	KWIC	Draw D
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39. Document ID: US 6090852 A

L7: Entry 39 of 53

File: USPT

Jul 18, 2000

US-PAT-NO: 6090852

DOCUMENT-IDENTIFIER: US 6090852 A

**\*\* See image for Certificate of Correction \*\***

TITLE: Substituted alpha-aminosulphonyl-acetohydroxamic acids as therapeutic agents

Full	Title	Citation	Front	Review	Classification	Date	Reference	Claims	KWIC	Draw D
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40. Document ID: US 6057369 A

L7: Entry 40 of 53

File: USPT

May 2, 2000

US-PAT-NO: 6057369

DOCUMENT-IDENTIFIER: US 6057369 A

TITLE: Substituted (aryl, heteroaryl, arylmethyl or heteroarylmethyl) hydroxamic acid compounds

Full	Title	Citation	Front	Review	Classification	Date	Reference	Claims	KWIC	Draw D
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Search Results - Record(s) 41 through 50 of 53 returned.

☐ 41. Document ID: US 6028110 A

L7: Entry 41 of 53

File: USPT

Feb 22, 2000

US-PAT-NO: 6028110

DOCUMENT-IDENTIFIER: US 6028110 A

TITLE: Succinyl hydroxamic acid, N-formyl-N-hydroxy amino carboxylic acid and succinic acid amide derivatives as metalloprotease inhibitors

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KMC	Draw. De
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☐ 42. Document ID: US 6022893 A

L7: Entry 42 of 53

File: USPT

Feb 8, 2000

US-PAT-NO: 6022893

DOCUMENT-IDENTIFIER: US 6022893 A

TITLE: Hydroxamic acid derivatives

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KMC	Draw. De
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☐ 43. Document ID: US 5977408 A

L7: Entry 43 of 53

File: USPT

Nov 2, 1999

US-PAT-NO: 5977408

DOCUMENT-IDENTIFIER: US 5977408 A

TITLE: Preparation and use of .beta.-sulfonamido hydroxamic acids as matrix metalloproteinase and TACE inhibitors

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KMC	Draw. De
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☐ 44. Document ID: US 5861436 A

L7: Entry 44 of 53

File: USPT

Jan 19, 1999

US-PAT-NO: 5861436

DOCUMENT-IDENTIFIER: US 5861436 A

TITLE: Hydroxamic acid derivatives as metalloproteinase inhibitors

Full	Title	Citation	Front	Review	Classification	Date	Reference			Claims	KWIC	Draw D
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☐ 45. Document ID: US 5859061 A

L7: Entry 45 of 53

File: USPT

Jan 12, 1999

US-PAT-NO: 5859061

DOCUMENT-IDENTIFIER: US 5859061 A

TITLE: Bis-sulfonamides hydroxamic acids as MMP inhibitors

Full	Title	Citation	Front	Review	Classification	Date	Reference			Claims	KWIC	Draw D
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☐ 46. Document ID: US 5847153 A

L7: Entry 46 of 53

File: USPT

Dec 8, 1998

US-PAT-NO: 5847153

DOCUMENT-IDENTIFIER: US 5847153 A

TITLE: .beta.-sulfonyl hydroxamic acids

Full	Title	Citation	Front	Review	Classification	Date	Reference			Claims	KWIC	Draw D
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☐ 47. Document ID: US 5821262 A

L7: Entry 47 of 53

File: USPT

Oct 13, 1998

US-PAT-NO: 5821262

DOCUMENT-IDENTIFIER: US 5821262 A

**\*\* See image for Certificate of Correction \*\***

TITLE: Hydroxamic acid derivatives as inhibitors of cytokine production

Full	Title	Citation	Front	Review	Classification	Date	Reference			Claims	KWIC	Draw D
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☐ 48. Document ID: US 5804593 A

L7: Entry 48 of 53

File: USPT

Sep 8, 1998

US-PAT-NO: 5804593

DOCUMENT-IDENTIFIER: US 5804593 A

TITLE: .alpha.-Amino sulfonyl hydroxamic acids as matrix metalloproteinase inhibitors

Full	Title	Citation	Front	Review	Classification	Date	Reference			Claims	KWIC	Draw D
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Dec 30, 1997

DOCUMENT-IDENTIFIER: US 5703092 A

TITLE: Hydroxamic acid compounds as metalloprotease and TNF inhibitors

[illegible]

Dec. 23, 1997

DOCUMENT-IDENTIFIER: US 5700838 A

**\*\* See image for Certificate of Correction \*\***

TITLE: Hydroxamic acid derivatives as metalloproteinase inhibitors

Full	Title	Citation	Front	Review	Classification	Date	Reference	Figures	Tables	Claims	KMIC	Drawings
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Search Results - Record(s) 51 through 53 of 53 returned.

☐ 51. Document ID: US 5696082 A

L7: Entry 51 of 53

File: USPT

Dec 9, 1997

US-PAT-NO: 5696082

DOCUMENT-IDENTIFIER: US 5696082 A

TITLE: Hydroxamic acid derivatives

Full	Title	Citation	Front	Review	Classification	Date	Reference	Abstract	Claims	KWIC	Draw D
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☐ 52. Document ID: US 5691381 A

L7: Entry 52 of 53

File: USPT

Nov 25, 1997

US-PAT-NO: 5691381

DOCUMENT-IDENTIFIER: US 5691381 A

TITLE: Hydroxamic and carbocyclic acids as metalloprotease inhibitors

Full	Title	Citation	Front	Review	Classification	Date	Reference	Abstract	Claims	KWIC	Draw D
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☐ 53. Document ID: US 5652262 A

L7: Entry 53 of 53

File: USPT

Jul 29, 1997

US-PAT-NO: 5652262

DOCUMENT-IDENTIFIER: US 5652262 A

TITLE: Hydroxamic acid derivatives as metalloproteinase inhibitors

Full	Title	Citation	Front	Review	Classification	Date	Reference	Abstract	Claims	KWIC	Draw D
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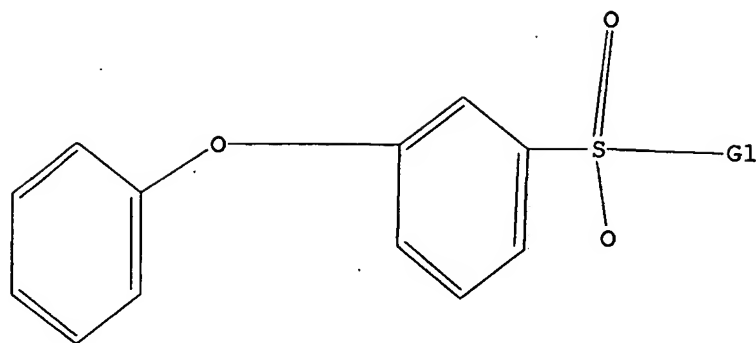
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L13 HAS NO ANSWERS  
L13 STR



G1 C,N

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108 L9  
21918308 PY<2002  
L14 44 L9 AND PY<2002

=> d 1-20 ibib abs hitstr

L14 ANSWER 1 OF 44 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:850357 CAPLUS

DOCUMENT NUMBER: 137:352907

TITLE: Preparation of quinolyl, isoquinolyl or pyridyl-ureas  
as inhibitors of raf kinase for the treatment of  
tumors and/or cancerous cell growth

INVENTOR(S): Dumas, Jacques; Riedl, Bernd; Khire, Uday; Wood, Jill  
E.; Robert, Sibley N.; Monahan, Mary-Katherine;  
Renick, Joel; Gunn, David E.; Lowinger, Timothy B.;  
Scott, William J.; Smith, Roger A.

PATENT ASSIGNEE(S): Bayer Corporation, USA

SOURCE: U.S. Pat. Appl. Publ., 63 pp., Cont.-in-part of U.S.  
Ser. No. 758,548.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

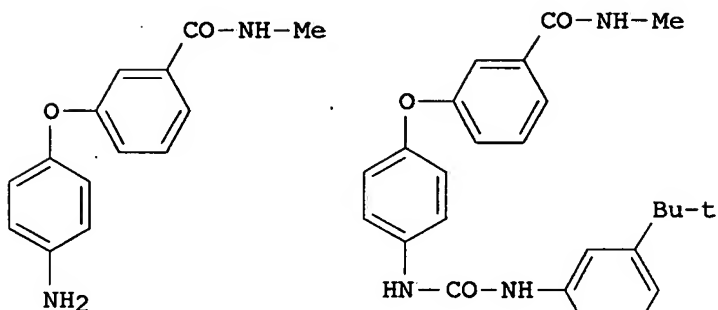
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002165394	A1	20021107	US 2001-777920	20010207
CA 2549558	A1	20000720	CA 2000-2549558	20000112 <--
CN 1721397	A	20060118	CN 2005-10089504	20000112
EP 1690853	A1	20060816	EP 2005-28442	20000112
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
ZA 2001005751	A	20030714	ZA 2001-5751	20010712
US 2002137774	A1	20020926	US 2001-907970	20010719
WO 2002062763	A2	20020815	WO 2002-US3361	20020207
WO 2002062763	A3	20021010		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,				

CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,  
 GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,  
 LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,  
 PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,  
 US, UZ, VN, YU, ZA, ZW  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,  
 CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,  
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
 AU 2002238042 A1 20020819 AU 2002-238042 20020207  
 US 2003139605 A1 20030724 US 2002-71248 20020211  
 AU 2004200722 A1 20040318 AU 2004-200722 20040224  
 JP 2006328075 A 20061207 JP 2006-190034 20060711  
 PRIORITY APPLN. INFO.:

US 1999-115877P P 19990113  
 US 1999-257266 B2 19990225  
 US 1999-425228 B2 19991022  
 US 2001-758548 A2 20010112  
 US 1999-115878P P 19990113  
 AU 2000-25016 A3 20000112  
 CA 2000-2359510 A3 20000112  
 CN 2000-802685 A3 20000112  
 EP 2000-903239 A3 20000112  
 JP 2000-593580 A3 20000112  
 US 2001-777920 A 20010207  
 US 2001-948915 A1 20010910  
 WO 2002-US3361 W 20020207

OTHER SOURCE(S): MARPAT 137:352907  
 GI



II

III

AB Title compds. B-NHCONH-L-(M-L1)<sub>q</sub> (I) [B = (un)substituted pyridyl, quinolinyl, isoquinolinyl; L = 5 or 6 membered cyclic structure; L1 = substituted cyclic moiety having at least 5 members; M = bridging group having at least one atom; q = 1-3; with proviso that L and L1 contain 0-4 hetero atoms, e.g., N, O and S] and their pharmaceutically acceptable salts were prepared For example, coupling of aniline II, e.g., prepared from Et 3-hydroxybenzoate in 4-steps, with bis(trichloromethyl)carbonate followed by 3-tert-butylaniline afforded urea III. In in vitro raf kinase assays, 112-specific examples of compds. I inhibited kinase activity with IC50 values ranging from 10 nM-10 μM. Compds. I are useful for the treatment of cancerous cell growth mediated by raf kinase.

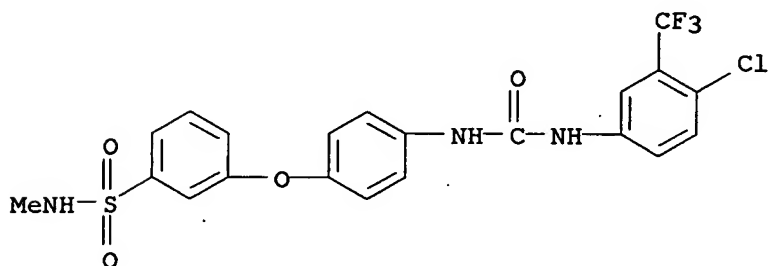
IT 284461-79-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of quinolyl, isoquinolyl or pyridyl-ureas as inhibitors of raf kinase)

RN 284461-79-6 CAPLUS

CN Benzenesulfonamide, 3-[4-[[[4-chloro-3-(trifluoromethyl)phenyl]amino]carbonyl]amino]phenoxy]-N-methyl- (CA INDEX NAME)



IT 284462-59-5P, 4-(3-(N-Methylsulfamoyl)phenoxy)benzene

284462-60-8P, 4-(3-(N-Methylsulfamoyl)phenoxy)-1-nitrobenzene

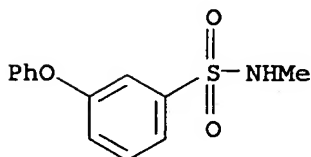
284462-61-9P, 4-(3-(N-Methylsulfamoyl)phenoxy)aniline

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of quinolyl, isoquinolyl or pyridyl-ureas as inhibitors of raf kinase)

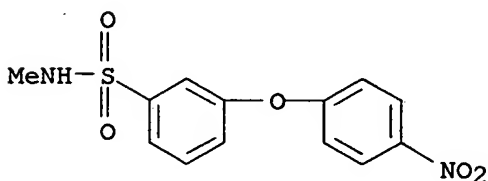
RN 284462-59-5 CAPLUS

CN Benzenesulfonamide, N-methyl-3-phenoxy- (CA INDEX NAME)



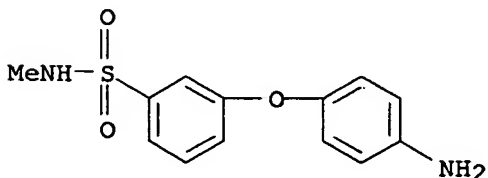
RN 284462-60-8 CAPLUS

CN Benzenesulfonamide, N-methyl-3-(4-nitrophenoxy)- (CA INDEX NAME)



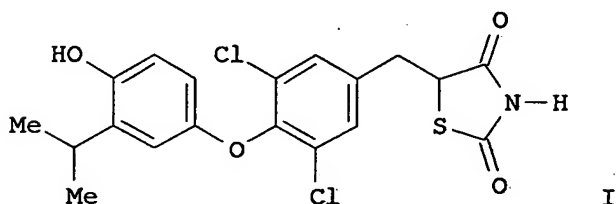
RN 284462-61-9 CAPLUS

CN Benzenesulfonamide, 3-(4-aminophenoxy)-N-methyl- (CA INDEX NAME)



ACCESSION NUMBER: 2001:780441 CAPLUS  
 DOCUMENT NUMBER: 135:318502  
 TITLE: Preparation of [(hydroxyphenoxy)benzyl]thiazolidinediones and analogs as thyroid receptor ligands  
 INVENTOR(S): Chiang, Yuan-Ching P.  
 PATENT ASSIGNEE(S): Pfizer Products Inc., USA  
 SOURCE: Eur. Pat. Appl., 51 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1148054	A1	20011024	EP 2001-303490	20010417 <--
EP 1148054	B1	20051123		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 2001051645	A1	20011213	US 2001-836765	20010417 <--
US 6620830	B2	20030916		
AT 310733	T	20051215	AT 2001-303490	20010417
ES 2248242	T3	20060316	ES 2001-1303490	20010417
CA 2344574	A1	20011021	CA 2001-2344574	20010419 <--
CA 2344574	C	20070220		
BR 2001001527	A	20011120	BR 2001-1527	20010419 <--
JP 2002053564	A	20020219	JP 2001-121188	20010419
MX 2001PA04055	A	20011203	MX 2001-PA4055	20010423 <--
US 2004110951	A1	20040610	US 2003-617436	20030711
US 6960604	B2	20051101		
PRIORITY APPLN. INFO.:			US 2000-199044P	P 20000421
			US 2001-836765	A3 20010417
OTHER SOURCE(S):			MARPAT 135:318502	
GI				



AB R1Z1Z2ZR [R = 3,4-dioxothiazolidin-5-ylmethyl, 3,5-dioxo[1,2,4]oxadiazolidin-2-ylmethyl, etc.; R1 = OH, alkoxy, acyloxy, etc.; Z, Z1 = e.g., (un)substituted 1,4-phenylene; Z2 = O, SOO-2, CH2, CO, (alkyl)imino, etc.] were prepared as thyroid receptor ligands (no data). Thus, [3,4-(Me2HC)(MeO)C6H3]2IBF4 was etherified by 3,5,4-Cl2(HO)C6H3CO2Et and the reduced product condensed with 2,4-thiazolidinedione to give, in 3 addnl. steps, title compound I.

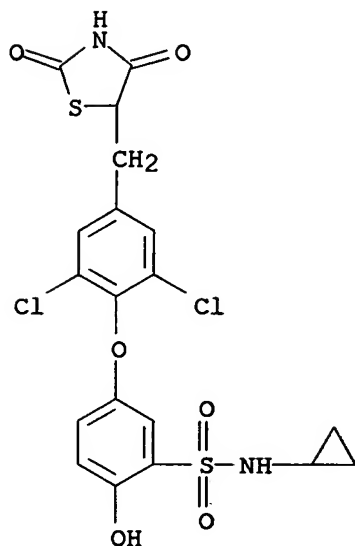
IT 367953-21-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of [(hydroxyphenoxy)benzyl]thiazolidinediones and analogs as thyroid receptor ligands)

RN 367953-21-7 CAPLUS

CN Benzenesulfonamide, N-cyclopropyl-5-[2,6-dichloro-4-[(2,4-dioxo-5-

thiazolidinyl)methyl]phenoxy]-2-hydroxy- (CA INDEX NAME)



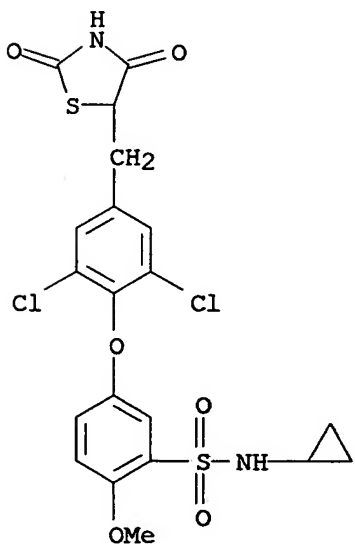
IT 367953-38-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of [(hydroxyphenoxy)benzyl]thiazolidinediones and analogs as thyroid receptor ligands)

RN 367953-38-6 CAPLUS

CN Benzenesulfonamide, N-cyclopropyl-5-[2,6-dichloro-4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenoxy]-2-methoxy- (CA INDEX NAME)



REFERENCE COUNT:

16

THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 3 OF 44 CAPLUS COPYRIGHT 2007 ACS on STN

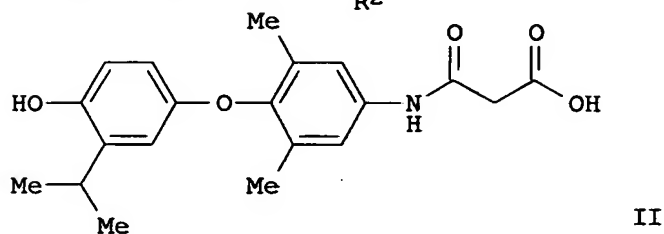
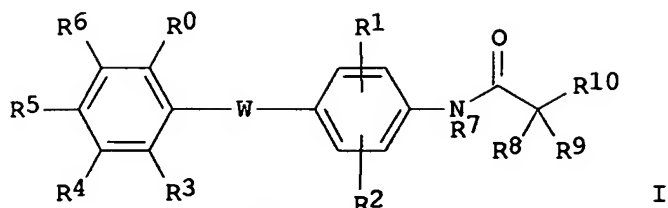
ACCESSION NUMBER: 2001:730688 CAPLUS

DOCUMENT NUMBER: 135:288519

TITLE: Preparation of N-phenylmalonamic acid derivatives with

thyroid receptor ligand activity  
 INVENTOR(S): Aspnes, Gary Erik; Chiang, Yuan-Ching Phoebe; Estep, Kimberly Gail  
 PATENT ASSIGNEE(S): Pfizer Products Inc., USA  
 SOURCE: PCT Int. Appl., 176 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001072692	A1	200111004	WO 2001-IB317	20010307 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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CA 2403902	C	20070424		
EP 1268404	A1	20030102	EP 2001-910082	20010307
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001009625	A	20030422	BR 2001-9625	20010307
HU 2003000329	A2	20030728	HU 2003-329	20010307
JP 2003528847	T	20030930	JP 2001-570607	20010307
JP 3866977	B2	20070110		
NZ 520660	A	20040326	NZ 2001-520660	20010307
EE 200200566	A	20040615	EE 2002-566	20010307
US 2001051657	A1	20011213	US 2001-819283	20010328 <--
US 6664291	B2	20031216		
BG 107036	A	20030430	BG 2002-107036	20020826
ZA 2002007444	A	20030917	ZA 2002-7444	20020917
NO 2002004639	A	20020927	NO 2002-4639	20020927
MX 2002PA09702	A	20030327	MX 2002-PA9702	20020930
US 2004077694	A1	20040422	US 2003-683372	20031010
US 6924310	B2	20050802		
US 2005209333	A1	20050922	US 2005-125710	20050510
US 7202275	B2	20070410		
US 2007173548	A1	20070726	US 2007-715035	20070307
PRIORITY APPLN. INFO.:				
MARPAT 135:288519				
OTHER SOURCE(S):				
GI				
US 2000-193618P P 20000331				
WO 2001-IB317 W 20010307				
US 2001-819283 A3 20010328				
US 2003-683372 A3 20031010				
US 2005-125710 A1 20050510				



AB The title malonamates I [W = O, S, SO, SO<sub>2</sub>, CH<sub>2</sub>, CHF, CO, H<sub>2</sub>C:C, etc.; R<sub>0</sub> = H, alkyl, alkyl substituted by cycloalkyl, heterocyclyl, Ph, halo, etc.; R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, R<sub>6</sub> = H, halo, alkyl, F<sub>3</sub>C, alkoxy, cyano, etc.; R<sub>4</sub> = alkyl, alkenyl, halo, cyano, alkoxy, HO, aryl, heteroaryl, etc.; R<sub>3</sub>R<sub>4</sub> = (un)substituted carbocycle, heterocycle; R<sub>5</sub> = HO, alkoxy, acyloxy, etc.; R<sub>7</sub> = H, alkyl; R<sub>8</sub>, R<sub>9</sub> = H, (un)substituted alkyl, aryl, halo; R<sub>10</sub> = HO<sub>2</sub>C, carboxyalkyl, alkoxy-carbonyl, alkoxy-carbonylalkyl, carbamoyl, carbamoylalkyl, etc.] were prepared, possessed thyroid hormone receptor binding activities, and were useful in the treatment of obesity, overweight condition, hyperlipidemia, glaucoma, cardiac arrhythmias, skin disorders, thyroid disease, hypothyroidism, thyroid cancer, and related disorders and diseases such as diabetes mellitus, atherosclerosis, hypertension, coronary heart disease, congestive heart failure, hypercholesteremia, depression and osteoporosis. Thus, 4-(3-isopropyl-4-methoxyphenoxy)-3,5-dimethylnitrobenzene underwent successive BBr<sub>3</sub>-induced Me ether cleavage, hydrogenation in the presence of Pd/C, acylation by MeO<sub>2</sub>CCH<sub>2</sub>COCl, and saponification to give the N-phenylmalonamic acid II.

IT 364331-31-7P 364331-33-9P 364331-35-1P  
 364331-37-3P 364331-38-4P 364331-39-5P  
 364331-40-8P 364331-41-9P 364331-42-0P  
 364331-43-1P 364331-44-2P 364331-45-3P  
 364331-47-5P 364331-48-6P 364331-49-7P  
 364331-50-0P

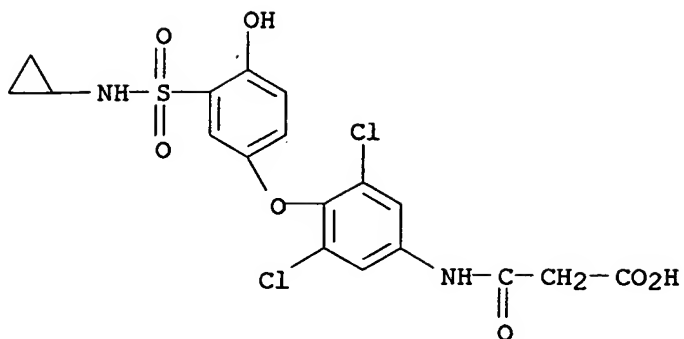
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-phenylmalonamates with thyroid receptor ligand activity)

RN 364331-31-7 CAPLUS

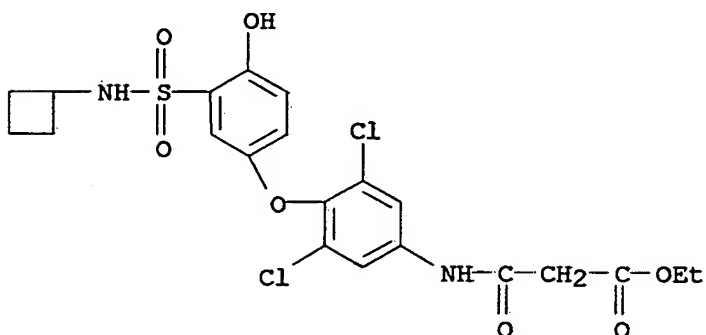
CN Propanoic acid, 3-[[3,5-dichloro-4-[3-[(cyclopropylamino)sulfonyl]-4-hydroxyphenoxy]phenyl]amino]-3-oxo- (CA INDEX NAME)





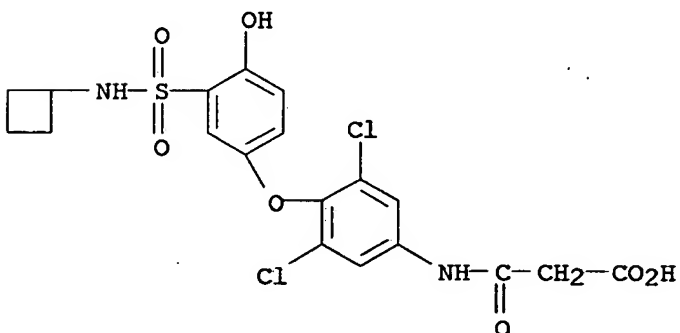
RN 364331-33-9 CAPLUS

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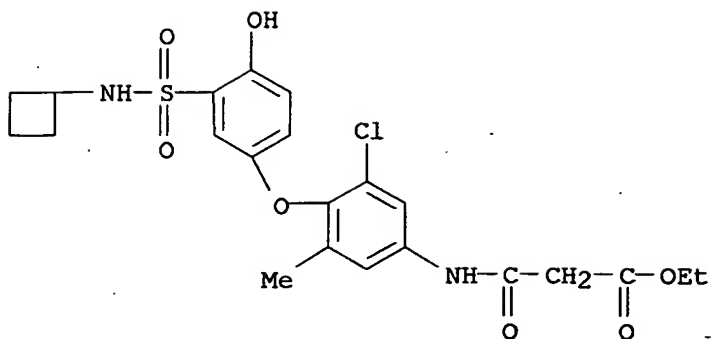
RN 364331-35-1 CAPLUS

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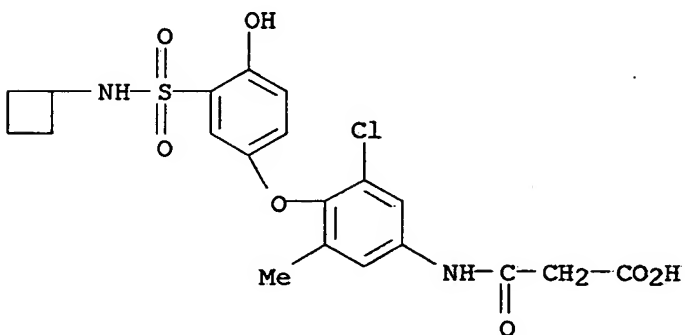
RN 364331-37-3 CAPLUS

CN Propanoic acid, 3-[[[3-chloro-4-[[3-[(cyclobutylamino)sulfonyl]-4-hydroxyphenoxy]-5-methylphenyl]amino]-3-oxo-, ethyl ester (CA INDEX NAME)



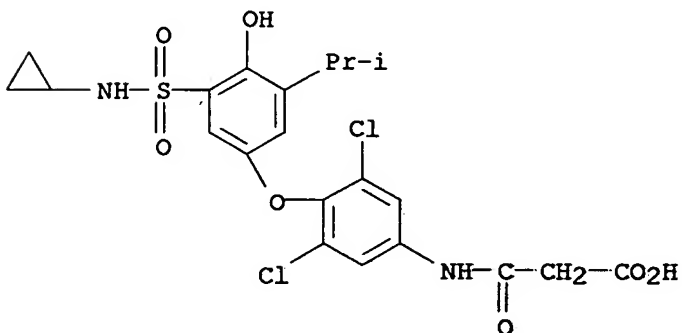
RN 364331-38-4 CAPLUS

CN Propanoic acid, 3-[[3-chloro-4-[3-[(cyclobutylamino)sulfonyl]-4-hydroxyphenoxy]-5-methylphenyl]amino]-3-oxo- (CA INDEX NAME)



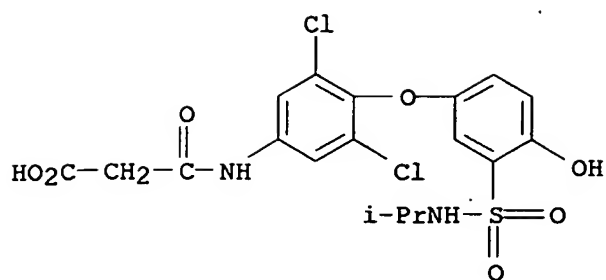
RN 364331-39-5 CAPLUS

CN Propanoic acid, 3-[[3,5-dichloro-4-[3-[(cyclopropylamino)sulfonyl]-4-hydroxy-5-(1-methylethyl)phenoxy]phenyl]amino]-3-oxo- (CA INDEX NAME)



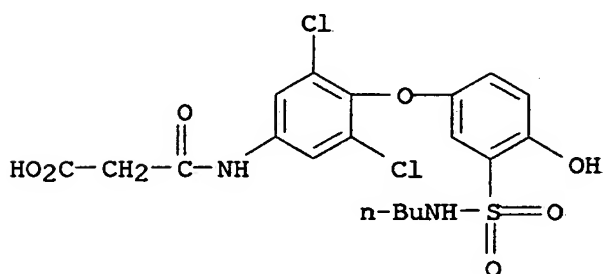
RN 364331-40-8 CAPLUS

CN Propanoic acid, 3-[[3,5-dichloro-4-[4-hydroxy-3-[[1-methylethyl]amino]sulfonyl]phenoxy]phenyl]amino]-3-oxo- (CA INDEX NAME)



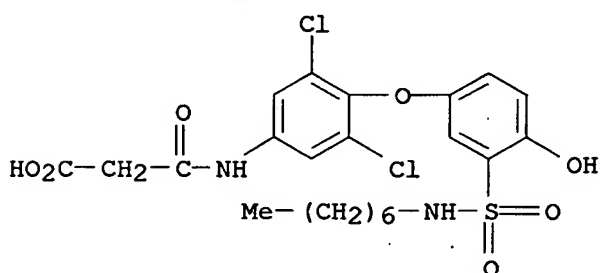
RN 364331-41-9 CAPLUS

CN Propanoic acid, 3-[[4-[3-[(butylamino)sulfonyl]-4-hydroxyphenoxy]-3,5-dichlorophenyl]amino]-3-oxo- (CA INDEX NAME)



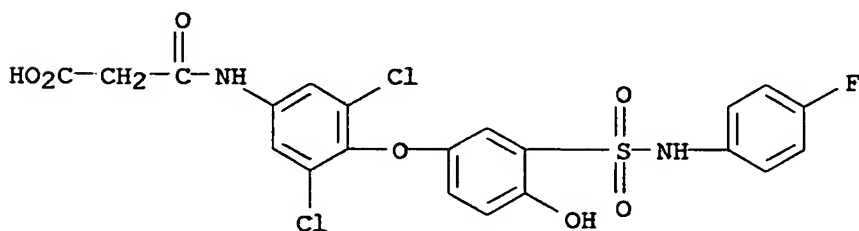
RN 364331-42-0 CAPLUS

CN Propanoic acid, 3-[[3,5-dichloro-4-[3-[(heptylamino)sulfonyl]-4-hydroxyphenoxy]phenyl]amino]-3-oxo- (CA INDEX NAME)



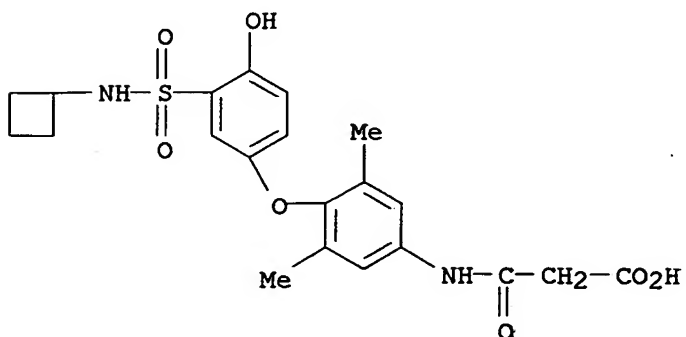
RN 364331-43-1 CAPLUS

CN Propanoic acid, 3-[[3,5-dichloro-4-[3-[[4-(4-fluorophenyl)amino]sulfonyl]-4-hydroxyphenoxy]phenyl]amino]-3-oxo- (CA INDEX NAME)



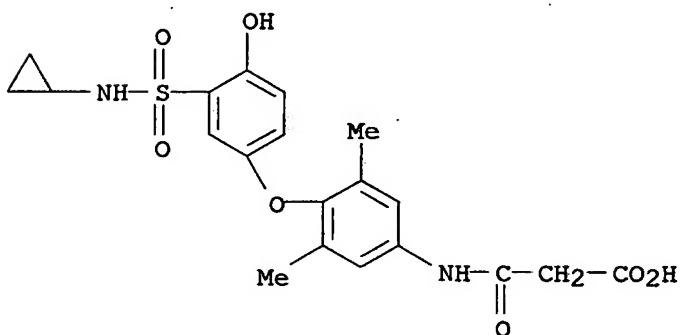
RN 364331-44-2 CAPLUS

CN Propanoic acid, 3-[[4-[3-[(cyclobutylamino)sulfonyl]-4-hydroxyphenoxy]-3,5-dimethylphenyl]amino]-3-oxo- (CA INDEX NAME)



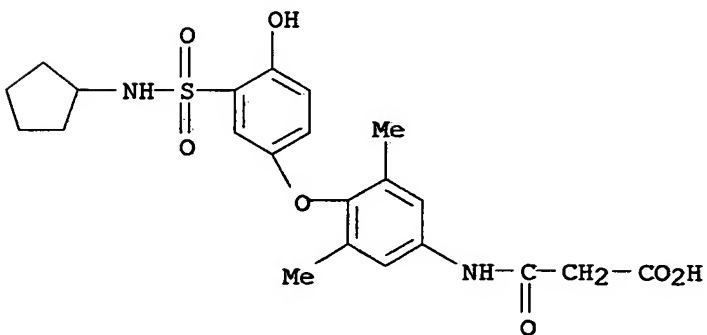
RN 364331-45-3 CAPLUS

CN Propanoic acid, 3-[[4-[3-[(cyclopropylamino)sulfonyl]-4-hydroxyphenoxy]-3,5-dimethylphenyl]amino]-3-oxo- (CA INDEX NAME)



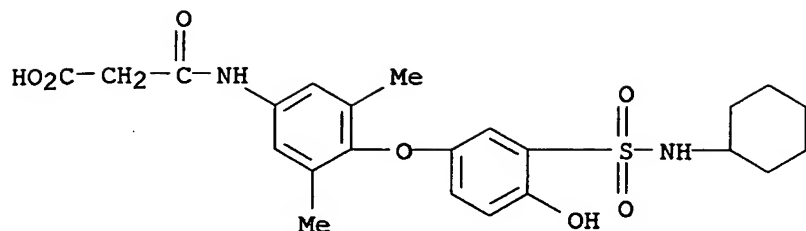
RN 364331-47-5 CAPLUS

CN Propanoic acid, 3-[[4-[3-[(cyclopentylamino)sulfonyl]-4-hydroxyphenoxy]-3,5-dimethylphenyl]amino]-3-oxo- (CA INDEX NAME)



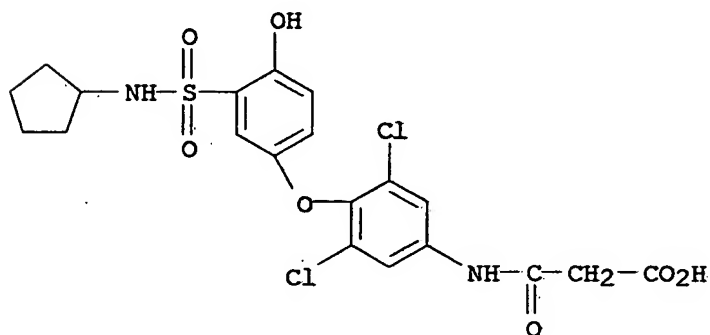
RN 364331-48-6 CAPLUS

CN Propanoic acid, 3-[[4-[3-[(cyclohexylamino)sulfonyl]-4-hydroxyphenoxy]-3,5-dimethylphenyl]amino]-3-oxo- (CA INDEX NAME)



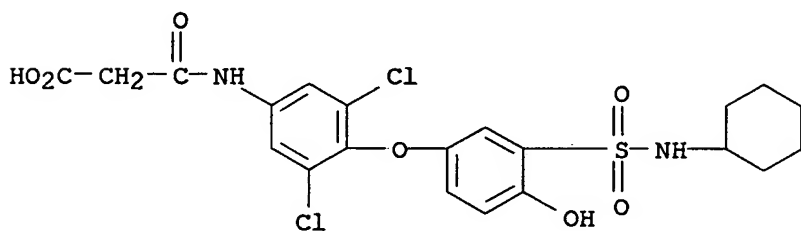
RN 364331-49-7 CAPLUS

CN Propanoic acid, 3-[[[3,5-dichloro-4-[[3-[(cyclopentylamino)sulfonyl]-4-hydroxyphenoxy]phenyl]amino]-3-oxo- (CA INDEX NAME)



RN 364331-50-0 CAPLUS

CN Propanoic acid, 3-[[[3,5-dichloro-4-[[3-[(cyclohexylamino)sulfonyl]-4-hydroxyphenoxy]phenyl]amino]-3-oxo- (CA INDEX NAME)



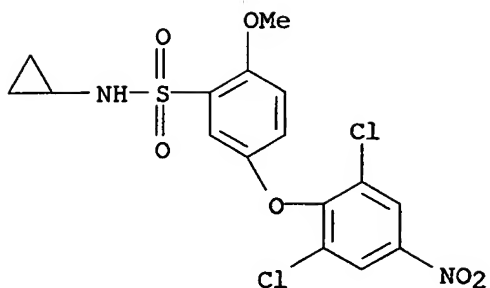
IT 364331-25-9P 364331-26-0P 364331-27-1P  
364331-28-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of N-phenylmalonamates with thyroid receptor ligand activity)

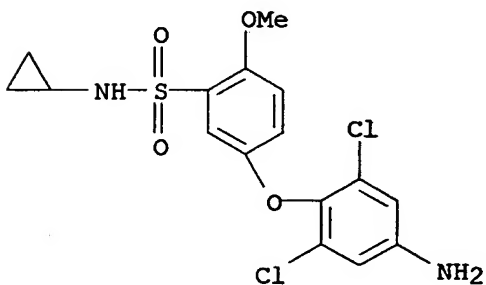
RN 364331-25-9 CAPLUS

CN Benzenesulfonamide, N-cyclopropyl-5-(2,6-dichloro-4-nitrophenoxy)-2-methoxy- (CA INDEX NAME)



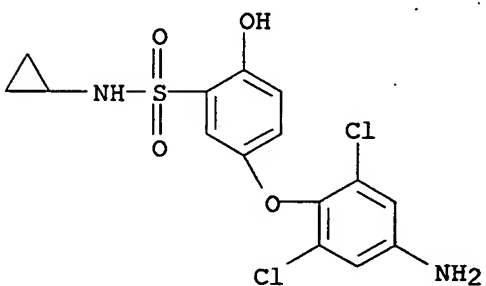
RN 364331-26-0 CAPLUS

CN Benzenesulfonamide, 5-(4-amino-2,6-dichlorophenoxy)-N-cyclopropyl-2-methoxy- (CA INDEX NAME)



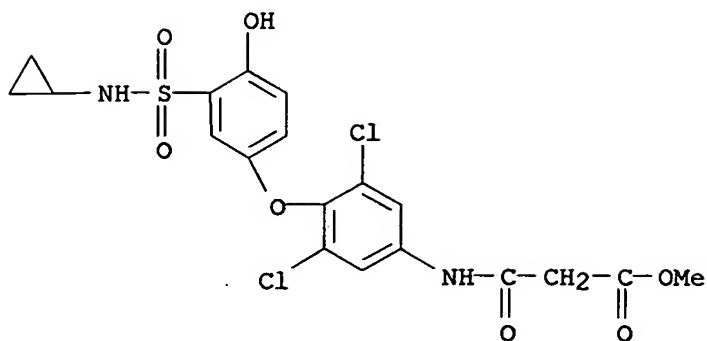
RN 364331-27-1 CAPLUS

CN Benzenesulfonamide, 5-(4-amino-2,6-dichlorophenoxy)-N-cyclopropyl-2-hydroxy- (CA INDEX NAME)



RN 364331-28-2 CAPLUS

CN Propanoic acid, 3-[[3,5-dichloro-4-[3-[(cyclopropylamino)sulfonyl]-4-hydroxyphenoxy]phenyl]amino]-3-oxo-, methyl ester (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 4 OF 44 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:628699 CAPLUS

DOCUMENT NUMBER: 135:195418

TITLE: Method for preparation of 2-alkyl-1,4-Benzenediol derivatives

INVENTOR(S): Onoe, Kenichi

PATENT ASSIGNEE(S): Sankyo Chemical Co., Ltd., Japan; Fuji Photo Film Co., Ltd.

SOURCE: Jpn. Kokai Tokkyo Koho, 14 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

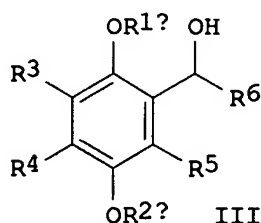
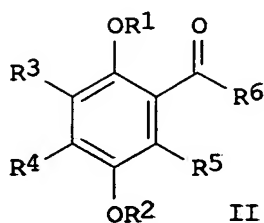
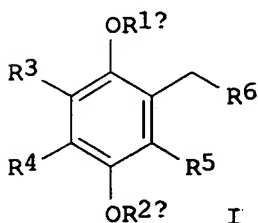
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001233811	A	20010828	JP 2000-51578	20000228 <--
PRIORITY APPLN. INFO.:			JP 2000-51578	20000228
OTHER SOURCE(S):		CASREACT 135:195418; MARPAT 135:195418		

GI



AB The title compds. (I) are prepared by reduction of aryl ketone derivs. (II) to benzyl alc. derivs. (III) and catalytic hydrogenation of III in the presence of a strong acid. [wherein R1, R2, R1a, R2b = H, (un)substituted alkyl or aryl, SO<sub>3</sub>H, carbonyl; R3, R4, R5 = H, halo, (un)substituted alkyl, aryl, or alkenyl, alkoxy, aryloxy, alkylthio, arylthio, (un)substituted CONH<sub>2</sub>, SO<sub>2</sub>NH<sub>2</sub>, ureido, NH<sub>2</sub>, or heterocyclyl, sulfonyl, urethane group; or R3 and R4 are condensed to form a ring; R6 = (un)substituted alkyl]. This process gives I of high purity in high yields at low cost. I are useful as additives for silver halide photog. materials (no data). Thus, 150 g 1-(2,5-dihydroxyphenyl)-2-hexyl-1-decanone was dissolved in 900 mL, followed by dropwise adding a solution of

16.4 g NaBH<sub>4</sub> in 225 mL H<sub>2</sub>O, and the resulting mixture was stirred at 10-20° for 1 h to give, after workup, 95% 2-(2-hexyl-1-hydroxydecyl)-1,4-benzenediol. The latter compound (127 g) was dissolved in 635 mL isopropanol, followed by adding 6.4 g 10% Pd-C and 12.7 mL concentrated HCl, and the resulting mixture was hydrogenated under hydrogen pressure of 2.94 + 105 Pa (3 kg/cm<sup>2</sup>) at 80° for 2 h to give 98.5% 2-(2-hexyldecyl)-1,4-benzenediol.

IT 357172-39-5P

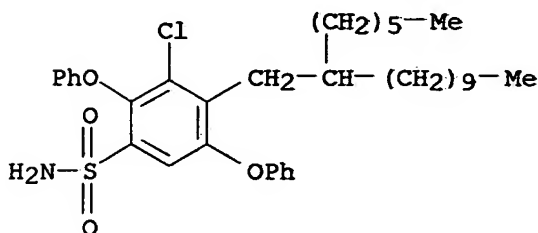
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); TEM (Technical or engineered material use); PREP (Preparation); USES (Uses) (preparation of alkylbenzenediol derivs. by reduction of Ph ketones to

benzyl

alc. derivs. and catalytic hydrogenation)

RN 357172-39-5 CAPLUS

CN Benzenesulfonamide, 3-chloro-4-(2-hexyldodecyl)-2,5-diphenoxy- (CA INDEX NAME)



IT 357172-38-4

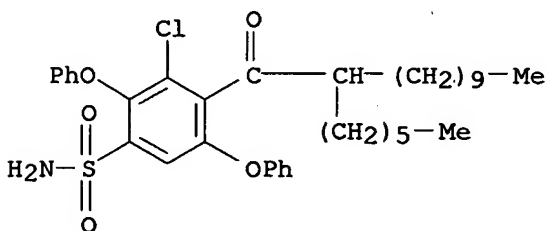
RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of alkylbenzenediol derivs. by reduction of Ph ketones to benzyl

alc. derivs. and catalytic hydrogenation)

RN 357172-38-4 CAPLUS

CN Benzenesulfonamide, 3-chloro-4-(2-hexyl-1-oxododecyl)-2,5-diphenoxy- (CA INDEX NAME)



L14 ANSWER 5 OF 44 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:609858 CAPLUS

DOCUMENT NUMBER: 135:180771

TITLE: Preparation of tetrazole compounds as thyroid receptor ligands

INVENTOR(S): Chan, Yuan-chin Phoebe; Aspnes, Gary Eric

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: Jpn. Kokai Tokkyo Koho, 67 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

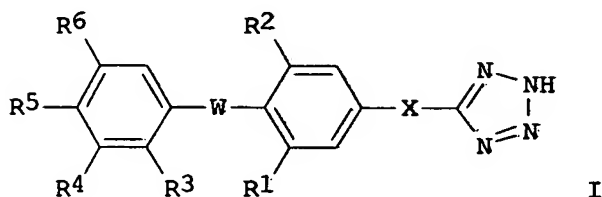
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

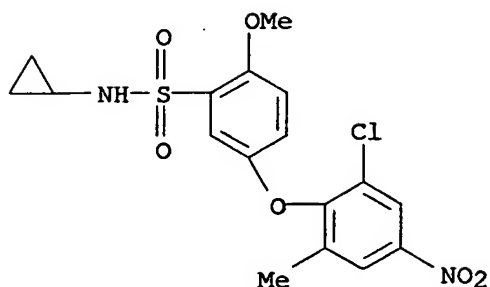


PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001226359	A	20010821	JP 2001-15763	20010124 <--
JP 3832563	B2	20061011		
EP 1127882	A1	20010829	EP 2001-300033	20010104 <--
R: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE, TR				
CA 2332066	A1	20010725	CA 2001-2332066	20010123 <--
CA 2332066	C	20060704		
US 2002006946	A1	20020117	US 2001-767771	20010123
MX 2001PA00939	A	20011127	MX 2001-PA939	20010125 <--
BR 2001000156	A	20030408	BR 2001-156	20010125
US 2003040535	A1	20030227	US 2002-176825	20020621
PRIORITY APPLN. INFO.:			US 2000-177987P	P 20000125
			US 2001-767771	A2 20010123
OTHER SOURCE(S):		MARPAT 135:180771		
GI				



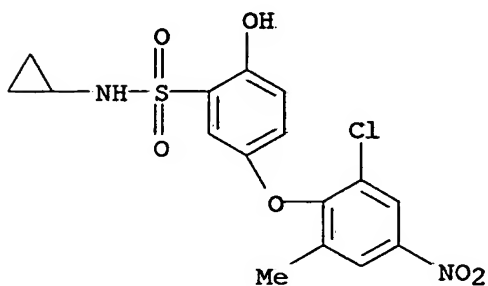
- AB The title compds. [I; W = O, S, SO, SO<sub>2</sub>, CH<sub>2</sub>, CF<sub>2</sub>, CO, CH(OH), (un)substituted NH, C(:CH<sub>2</sub>); X = O, CH<sub>2</sub>, CH<sub>2</sub>CH<sub>2</sub>, S, SO, SO<sub>2</sub>, N-(un)substituted CH<sub>2</sub>NH or NH; R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, R<sub>6</sub> = H, halo, C<sub>1</sub>-8 alkyl, CF<sub>3</sub>, OCF<sub>3</sub>, C<sub>1</sub>-8 alkoxy, cyano; R<sub>4</sub> = H, (un)substituted C<sub>1</sub>-12 alkyl, C<sub>2</sub>-12 alkenyl, C<sub>2</sub>-12 alkynyl, halo, cyano, (un)substituted OH or SH, SORc, SO<sub>2</sub>Rc, aryl, heteroaryl, C<sub>3</sub>-10 cycloalkyl, heterocycloalkyl, N-(un)substituted CO<sub>2</sub>NH<sub>2</sub>, CONH<sub>2</sub>, NHCORd, NHCONH<sub>2</sub>, or NHSO<sub>2</sub>Rd, etc.; wherein Rc, Rd = H, (un)substituted C<sub>1</sub>-12 alkyl, C<sub>2</sub>-12 alkenyl, C<sub>2</sub>-12 alkynyl, aryl, heteroaryl, C<sub>3</sub>-10 cycloalkyl, heterocycloalkyl, etc.; R<sub>5</sub> = OH, C<sub>1</sub>-6 alkoxy, O<sub>2</sub>CRf, F, CO<sub>2</sub>Rc, etc.; wherein Rf = H, (un)substituted C<sub>1</sub>-10 alkyl, C<sub>2</sub>-10 alkenyl or alkoxy, C<sub>3</sub>-10 cycloalkyl, heterocycloalkyl, aryl, heteroaryl], stereoisomers, pharmacol. acceptable salts, or prodrugs, or pharmacol. acceptable salts of the prodrugs are prepared These tetrazole compds. are useful for treatment of type I or II diabetes, arteriosclerosis, hypertension, coronary heart diseases, hypercholesterolemia, hyperlipidemia, thyroid diseases, hypothyroidism disease, depression, obesity, osteoporosis, thyroid cancer, glaucoma, arrhythmia, or ischemic heart failure, or for increasing energy consumption (no data). Thus, 9.0 mg NaN<sub>3</sub> and 7.4 mg NH<sub>4</sub>Cl were added to solution of 37 mg 4-(3-isopropyl-4-methoxyphenoxy)-3,5-dimethylbenzonitrile in 0.5 mL DMF and refluxed for 20 h to give 5-[4-(3-isopropyl-4-methoxyphenoxy)-3,5-dimethylphenyl]-2H-tetrazole which was dissolved in CHCl<sub>3</sub> and treated with BBr<sub>3</sub> at room temperature for 20 h to give 4-[2,6-dimethyl-4-(2H-tetrazol-5-yl)phenoxy]-2-isopropylphenol.
- IT 355377-68-3P 355377-69-4P 355377-70-7P  
355377-71-8P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of tetrazole compds. as thyroid receptor ligands for treatment of diseases and for increasing energy consumption)
- RN 355377-68-3 CAPLUS
- CN Benzenesulfonamide, 5-(2-chloro-6-methyl-4-nitrophenoxy)-N-cyclopropyl-2-

methoxy- (CA INDEX NAME)



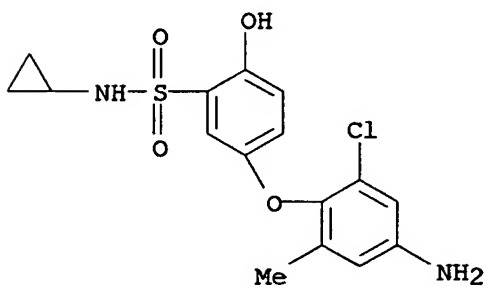
RN 355377-69-4 CAPLUS

CN Benzenesulfonamide, 5-(2-chloro-6-methyl-4-nitrophenoxy)-N-cyclopropyl-2-hydroxy- (CA INDEX NAME)



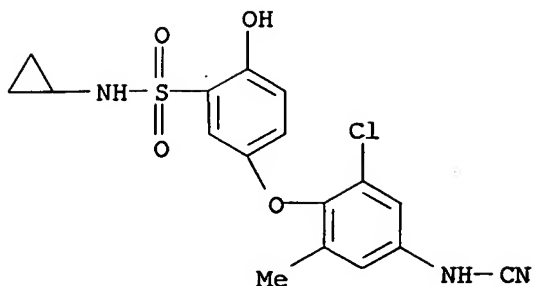
RN 355377-70-7 CAPLUS

CN Benzenesulfonamide, 5-(4-amino-2-chloro-6-methylphenoxy)-N-cyclopropyl-2-hydroxy- (CA INDEX NAME)



RN 355377-71-8 CAPLUS

CN Benzenesulfonamide, 5-[2-chloro-4-(cyanoamino)-6-methylphenoxy]-N-cyclopropyl-2-hydroxy- (CA INDEX NAME)



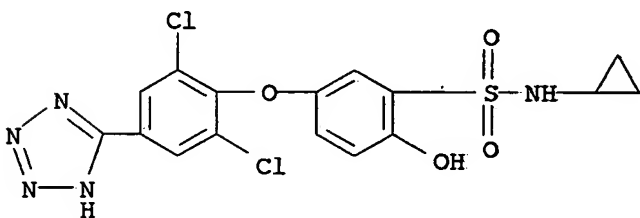
IT 355377-43-4P 355377-51-4P 355377-52-5P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tetrazole compds. as thyroid receptor ligands for treatment of diseases and for increasing energy consumption)

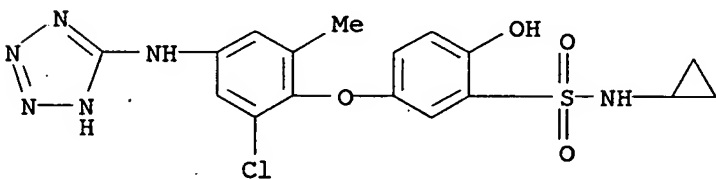
RN 355377-43-4 CAPLUS

CN Benzenesulfonamide, N-cyclopropyl-5-[2,6-dichloro-4-(1H-tetrazol-5-yl)phenoxy]-2-hydroxy- (9CI) (CA INDEX NAME)



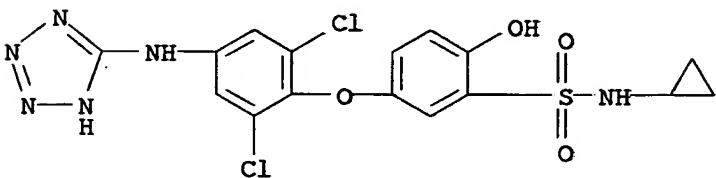
RN 355377-51-4 CAPLUS

CN Benzenesulfonamide, 5-[2-chloro-6-methyl-4-(1H-tetrazol-5-ylamino)phenoxy]-N-cyclopropyl-2-hydroxy- (9CI) (CA INDEX NAME)



RN 355377-52-5 CAPLUS

CN Benzenesulfonamide, N-cyclopropyl-5-[2,6-dichloro-4-(1H-tetrazol-5-ylamino)phenoxy]-2-hydroxy- (9CI) (CA INDEX NAME)



L14 ANSWER 6 OF 44 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:416971 CAPLUS

DOCUMENT NUMBER: 135:19916

TITLE: Preparation of  $\alpha$ -keto amide inhibitors of hepatitis C virus NS3 protease  
 INVENTOR(S): Han, Wei  
 PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Company, USA  
 SOURCE: PCT Int. Appl., 282 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001040262	A1	20010607	WO 2000-US32677	20001201 <--
W: AU, BR, CA, CN, CZ, EE, HU, IL, IN, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
CA 2390349	A1	20010607	CA 2000-2390349	20001201 <--
US 2002123468	A1	20020905	US 2000-728653	20001201
US 6774212	B2	20040810		
EP 1252178	A1	20021030	EP 2000-983845	20001201
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR				
JP 2003526634	T	20030909	JP 2001-541017	20001201
PRIORITY APPLN. INFO.:			US 1999-168998P	P 19991203
			WO 2000-US32677	W 20001201

OTHER SOURCE(S): MARPAT 135:19916

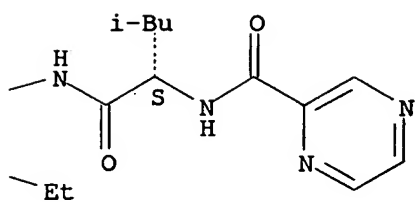
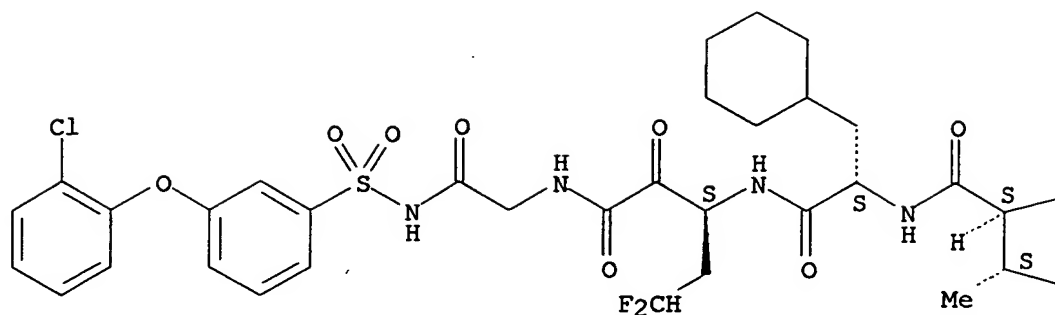
AB Keto amide and keto ester compds. R9-A6-A5-A4-A3-A2-NHCHR1R2COCO-W-Q [W = NH or O; Q = substituted alkyl, alkenyl, or alkynyl or an amino acid residue; A2 is a bond, NHCH2CO which may be C-substituted, an amino acid residue, or NRCHRCO, where NRCHR represents tetrahydropyrrole-1,2-diyl which may be substituted at the 4- and 5-positions or hexahydroindole-1,2-diyl; A3 or A4 is a bond, NHCH2CO which may be C-substituted, or an amino acid residue; A5 or A6 is a bond or an amino acid residue; R1 = H, F, or substituted alkyl, alkenyl, alkynyl, aryl, or cycloalkyl; R2 = H, F, alkyl; R9 = S(O)R9a, SO2R9a, C(O)R9a, C(O)OR9a, C(O)NHR9a, alkyl-R9a, alkenyl-R9a, or alkynyl-R9a, where R9a = substituted alkyl, cycloalkyl, aryl, or heterocyclyl] or stereoisomeric forms or pharmaceutically acceptable salts were prepared as inhibitors of HCV NS3 protease. Thus, N-(2-pyrazinylcarbonyl)-L-leucyl-L-isoleucyl-3-cyclohexyl-L-alanyl-2-oxo-(3S)-3-aminopentanoylglycine was prepared by a multistep sequence which includes peptide coupling reactions in solution. Compds. of the invention exhibit  $k_i$  values of  $\leq 60 \mu\text{M}$ , thereby confirming their utility as effective NS3 protease inhibitors.

IT 342611-74-9P 342611-83-0P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of  $\alpha$ -keto amide inhibitors of hepatitis C virus NS3 protease)

RN 342611-74-9 CAPLUS

CN Glycinamide, N-(pyrazinylcarbonyl)-L-leucyl-L-isoleucyl-3-cyclohexyl-L-alanyl-(3S)-3-amino-5,5-difluoro-2-oxopentanoyl-N-[[3-(2-chlorophenoxy)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

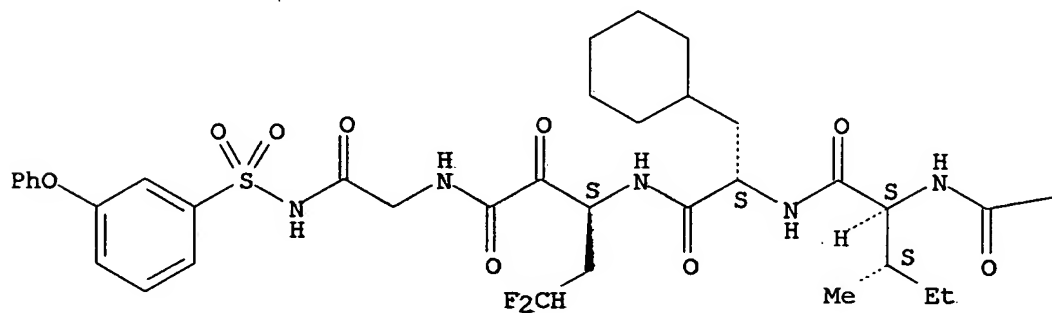
Absolute stereochemistry.

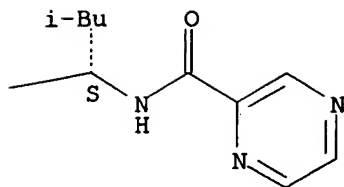


RN 342611-83-0 CAPLUS

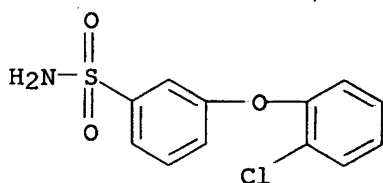
CN Glycinamide, N-(pyrazinylcarbonyl)-L-leucyl-L-isoleucyl-3-cyclohexyl-L-alanyl-(3S)-3-amino-5,5-difluoro-2-oxopentanoyl-N-[(3-phenoxyphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

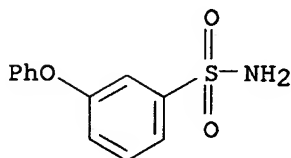




IT 342612-92-4 342612-99-1  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of  $\alpha$ -keto amide inhibitors of hepatitis C virus NS3  
 protease)  
 RN 342612-92-4 CAPLUS  
 CN Benzenesulfonamide, 3-(2-chlorophenoxy)- (CA INDEX NAME)



RN 342612-99-1 CAPLUS  
 CN Benzenesulfonamide, 3-phenoxy- (CA INDEX NAME)

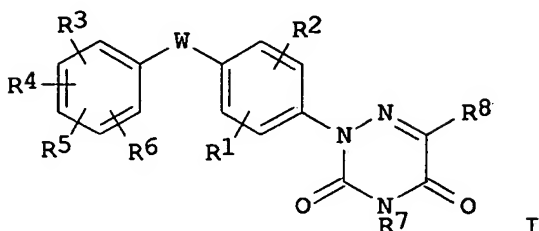


REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 7 OF 44 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2001:246566 CAPLUS  
 DOCUMENT NUMBER: 134:280864  
 TITLE: Preparation of 6-azauracil derivatives as thyroid  
 receptor ligands  
 INVENTOR(S): Dow, Robert Lee; Chiang, Yuan-Ching Phoebe; Estep,  
 Kimberly Gail  
 PATENT ASSIGNEE(S): Pfizer Products Inc., USA  
 SOURCE: Eur. Pat. Appl., 153 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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EP 1088819	A2	20010404	EP 2000-308112	20000918 <--
EP 1088819	A3	20010411		
EP 1088819	B1	20050615		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
AT 297905	T	20050715	AT 2000-308112	20000918
PT 1088819	T	20050930	PT 2000-308112	20000918
ES 2240017	T3	20051016	ES 2000-308112	20000918
JP 2001114768	A	20010424	JP 2000-282882	20000919 <--
JP 3763565	B2	20060405		
US 6787652	B1	20040907	US 2000-671668	20000927
CA 2321380	A1	20010330	CA 2000-2321380	20000928 <--
CA 2321380	C	20060530		
BR 2000004539	A	20010417	BR 2000-4539	20000929 <--
MX 2000PA09641	A	20020201	MX 2000-PA9641	20001002
US 2004157844	A1	20040812	US 2004-763451	20040123
US 6930107	B2	20050816		
PRIORITY APPLN. INFO.:			US 1999-156842P	P 19990930
			US 2000-671668	A1 20000927
OTHER SOURCE(S):			MARPAT 134:280864	
GI				



AB Title compds. [I; W = O, S, SO, SO<sub>2</sub>, NR<sub>30</sub>, CO, CH:CH, CH<sub>2</sub>, CHF, CF<sub>2</sub>, CH(OH); R<sub>1</sub>, R<sub>2</sub> = H, halo, alkyl, cyano, OR<sub>12</sub>, CF<sub>3</sub>; R<sub>3</sub> = H, halo, cyano, NO<sub>2</sub>, (substituted) alkyl, etc.; R<sub>4</sub> = CR<sub>14</sub>R<sub>15</sub>R<sub>16</sub>, CONR<sub>19</sub>R<sub>20</sub>, aryl, heteroaryl, etc.; R<sub>3</sub>R<sub>4</sub> = (CH<sub>2</sub>)<sub>b</sub>, Q(CH<sub>2</sub>)<sub>c</sub>, etc.; b = 3-7; c = 2-6; R<sub>5</sub> = OR<sub>23</sub>; R<sub>4</sub>R<sub>5</sub> = CR<sub>31</sub>:CR<sub>32</sub>NH, CR<sub>31</sub>:CR<sub>32</sub>S, etc.; R<sub>7</sub> = H, alkyl, haloalkyl, (CH<sub>2</sub>)<sub>n</sub>CO<sub>2</sub>R<sub>9</sub>; n = 0-3; R<sub>8</sub> = H, alkyl, CO<sub>2</sub>R<sub>9</sub>, CONR<sub>10</sub>R<sub>11</sub>; R<sub>9</sub> = (substituted) alkyl, alkenyl, dialkenyl, cycloalkyl, aryl, heterocyclyl; R<sub>10</sub>, R<sub>11</sub> = H, (substituted) alkyl, cycloalkyl, alkenyl, heterocyclyl; R<sub>10</sub>R<sub>11</sub> = heterocyclyl; R<sub>12</sub> = H, (substituted) alkyl; R<sub>14</sub> = H, alkyl, OR<sub>34</sub>; R<sub>15</sub> = H, alkyl; R<sub>14</sub>R<sub>15</sub> = O; R<sub>16</sub> = H, (substituted) alkyl, alkylcycloalkyl, alkylaryl, alkylheterocyclyl; R<sub>19</sub>, R<sub>20</sub> = H, (substituted) alkyl, aryl, aralkyl, heterocyclyl, heterocyclylalkyl, cycloalkyl, etc.; R<sub>23</sub> = H, (substituted) alkyl, COR<sub>24</sub>; R<sub>24</sub> = H, (substituted) alkyl, alkenyl, cycloalkyl, aryl, heteroaryl; R<sub>30</sub> = H, (substituted) alkyl, alkenyl, cycloalkyl, COR<sub>31</sub>, etc.; R<sub>31</sub> = H, (substituted) alkyl, alkenyl, cycloalkyl, aryl, heteroaryl, etc.; R<sub>32</sub> = H, (substituted) alkyl, alkenyl, cycloalkyl, aryl, heterocyclyl; R<sub>34</sub> = (substituted) aryl, heterocyclyl, alkyl, alkenyl, cycloalkyl], were prepared for treatment of obesity, hyperlipidemia, thyroid disease, hypothyroidism, thyroid cancer, diabetes, atherosclerosis, hypertension, coronary heart disease, hypercholesteremia, depression, osteoporosis, cardiac arrhythmia, glaucoma and heart failure (no data). Thus, [[4-(3-bromo-4-methoxyphenoxy)-3,5-dimethylphenyl]hydrazono]cyanoacetyl carbamic acid Et ester (preparation given) was heated with KOAc in HOAc at 120° for 5 h to give 2-[4-(3-bromo-4-methoxyphenoxy)-3,5-dimethylphenyl]-3,5-dioxo-2,3,4,5-tetrahydro-1,2,4-triazine-6-carbonitrile.

IT 332926-32-6P 332926-33-7P 332926-38-2P  
332926-40-6P 332926-41-7P 332926-42-8P

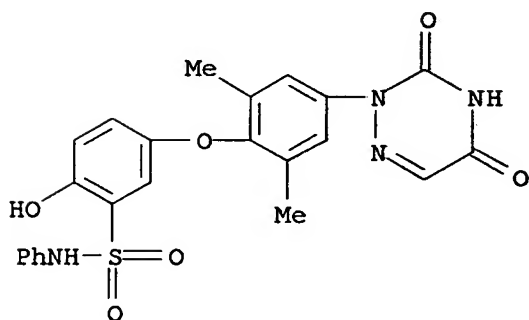
332926-46-2P 332926-49-5P 332926-56-4P  
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 332926-71-3P 332926-72-4P 332926-74-6P  
 332926-75-7P 332926-77-9P 332926-78-0P  
 332926-79-1P 332926-80-4P 332926-83-7P  
 332926-89-3P 332926-90-6P 332926-91-7P  
 332926-92-8P 332926-93-9P 332926-97-3P  
 332927-02-3P 332927-04-5P 332927-08-9P  
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 332927-13-6P 332927-15-8P 332927-17-0P  
 332927-18-1P 332927-19-2P 332927-20-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of azauracil derivs. as thyroid receptor ligands)

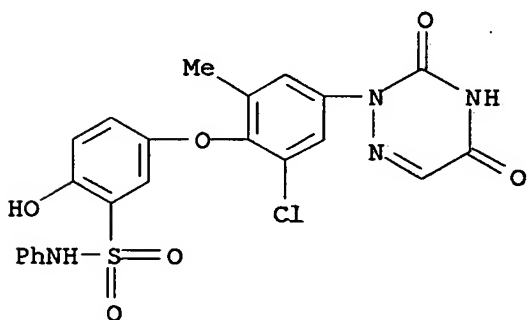
RN 332926-32-6 CAPLUS

CN Benzenesulfonamide, 5-[4-(4,5-dihydro-3,5-dioxo-1,2,4-triazin-2(3H)-yl)-2,6-dimethylphenoxy]-2-hydroxy-N-phenyl- (CA INDEX NAME)



RN 332926-33-7 CAPLUS

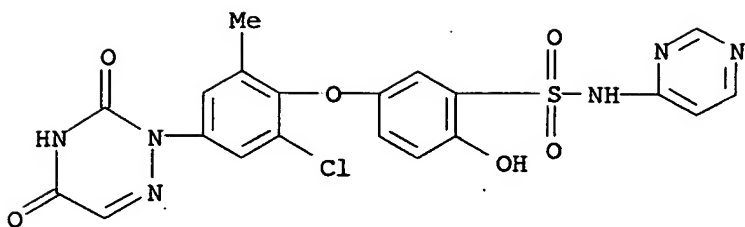
CN Benzenesulfonamide, 5-[2-chloro-4-(4,5-dihydro-3,5-dioxo-1,2,4-triazin-2(3H)-yl)-6-methylphenoxy]-2-hydroxy-N-phenyl- (CA INDEX NAME)



RN 332926-38-2 CAPLUS

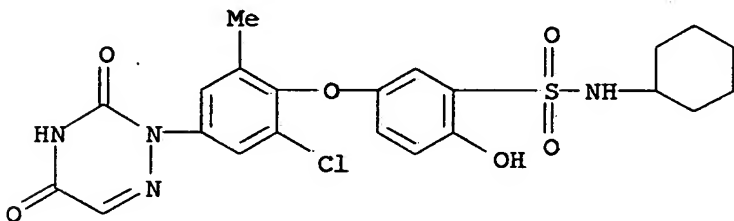
CN Benzenesulfonamide, 5-[2-chloro-4-(4,5-dihydro-3,5-dioxo-1,2,4-triazin-2(3H)-yl)-6-methylphenoxy]-2-hydroxy-N-4-pyrimidinyl- (CA INDEX NAME)





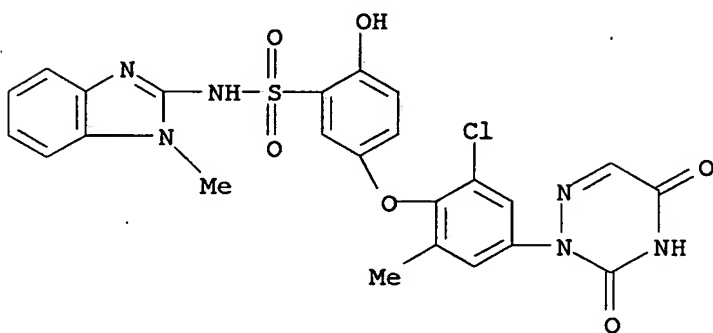
RN 332926-40-6 CAPLUS

CN Benzenesulfonamide, 5-[2-chloro-4-(4,5-dihydro-3,5-dioxo-1,2,4-triazin-2(3H)-yl)-6-methylphenoxy]-N-cyclohexyl-2-hydroxy- (CA INDEX NAME)



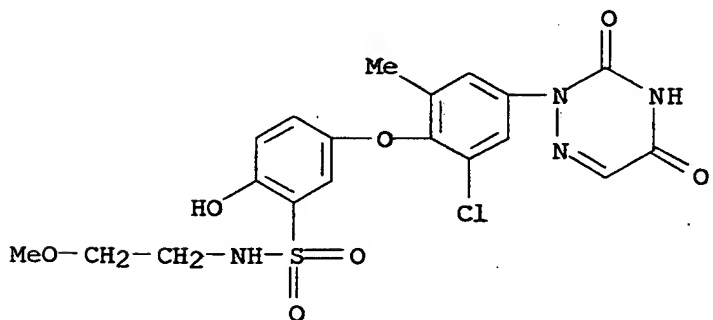
RN 332926-41-7 CAPLUS

CN Benzenesulfonamide, 5-[2-chloro-4-(4,5-dihydro-3,5-dioxo-1,2,4-triazin-2(3H)-yl)-6-methylphenoxy]-2-hydroxy-N-(1-methyl-1H-benzimidazol-2-yl)- (CA INDEX NAME)



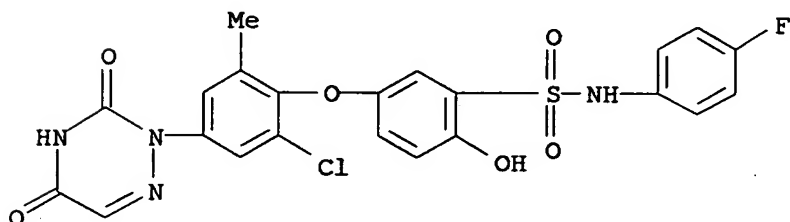
RN 332926-42-8 CAPLUS

CN Benzenesulfonamide, 5-[2-chloro-4-(4,5-dihydro-3,5-dioxo-1,2,4-triazin-2(3H)-yl)-6-methylphenoxy]-2-hydroxy-N-(2-methoxyethyl)- (CA INDEX NAME)



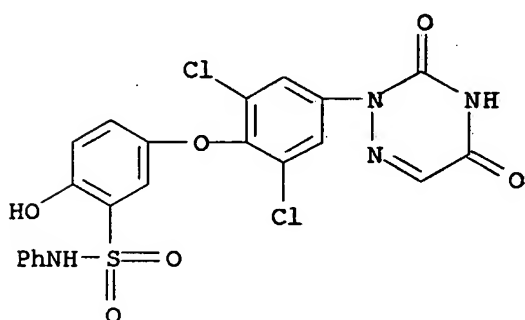
RN 332926-46-2 CAPLUS

CN Benzenesulfonamide, 5-[2-chloro-4-(4,5-dihydro-3,5-dioxo-1,2,4-triazin-2(3H)-yl)-6-methylphenoxy]-N-(4-fluorophenyl)-2-hydroxy- (CA INDEX NAME)



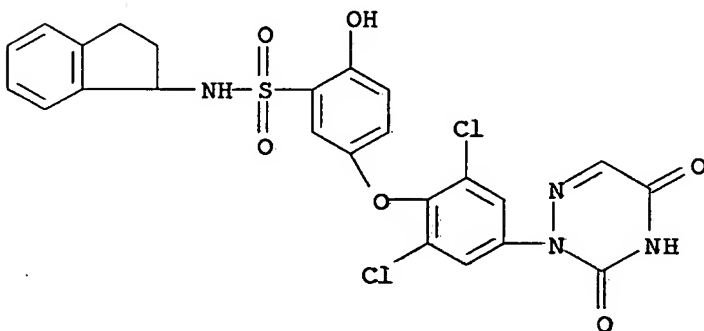
RN 332926-49-5 CAPLUS

CN Benzenesulfonamide, 5-[2,6-dichloro-4-(4,5-dihydro-3,5-dioxo-1,2,4-triazin-2(3H)-yl)phenoxy]-2-hydroxy-N-phenyl- (CA INDEX NAME)



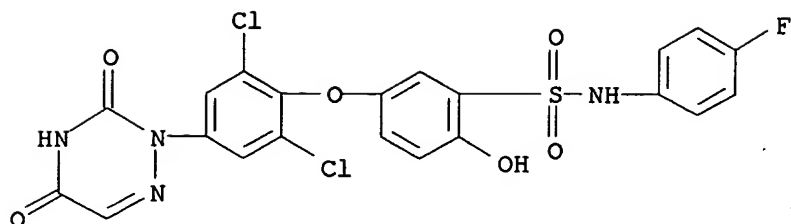
RN 332926-56-4 CAPLUS

CN Benzenesulfonamide, 5-[2,6-dichloro-4-(4,5-dihydro-3,5-dioxo-1,2,4-triazin-2(3H)-yl)phenoxy]-N-(2,3-dihydro-1H-inden-1-yl)-2-hydroxy- (CA INDEX NAME)



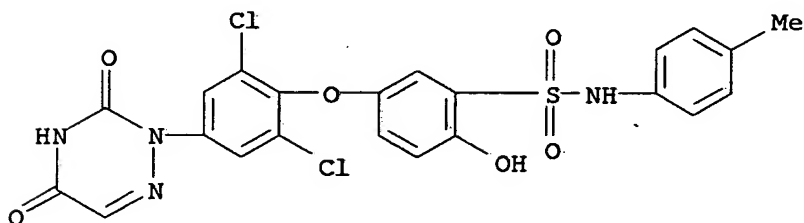
RN 332926-58-6 CAPLUS

CN Benzenesulfonamide, 5-[2,6-dichloro-4-(4,5-dihydro-3,5-dioxo-1,2,4-triazin-2(3H)-yl)phenoxy]-N-(4-fluorophenyl)-2-hydroxy- (CA INDEX NAME)



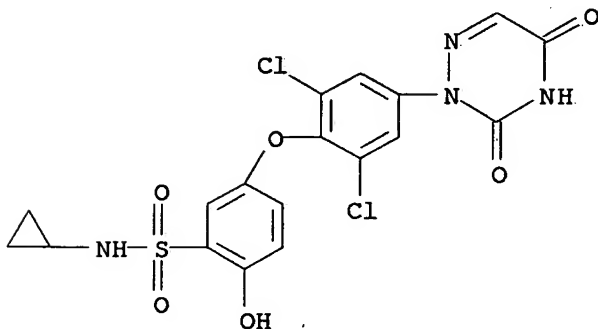
RN 332926-60-0 CAPLUS

CN Benzenesulfonamide, 5-[2,6-dichloro-4-(4,5-dihydro-3,5-dioxo-1,2,4-triazin-2(3H)-yl)phenoxy]-2-hydroxy-N-(4-methylphenyl)- (CA INDEX NAME)



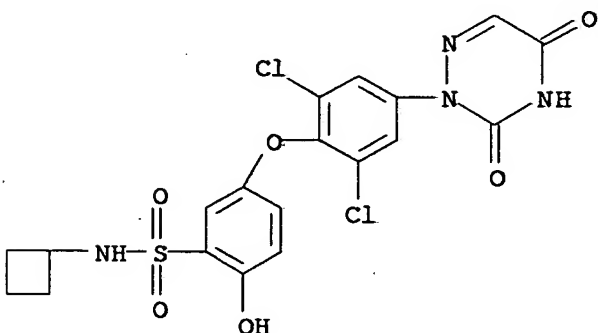
RN 332926-62-2 CAPLUS

CN Benzenesulfonamide, N-cyclobutyl-5-[2,6-dichloro-4-(4,5-dihydro-3,5-dioxo-1,2,4-triazin-2(3H)-yl)phenoxy]-2-hydroxy- (CA INDEX NAME)



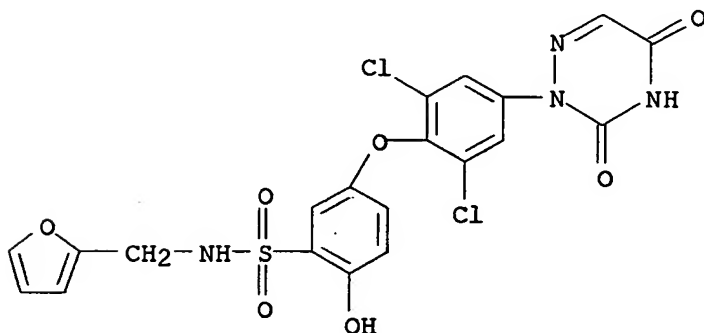
RN 332926-63-3 CAPLUS

CN Benzenesulfonamide, N-cyclobutyl-5-[2,6-dichloro-4-(4,5-dihydro-3,5-dioxo-1,2,4-triazin-2(3H)-yl)phenoxy]-2-hydroxy- (CA INDEX NAME)



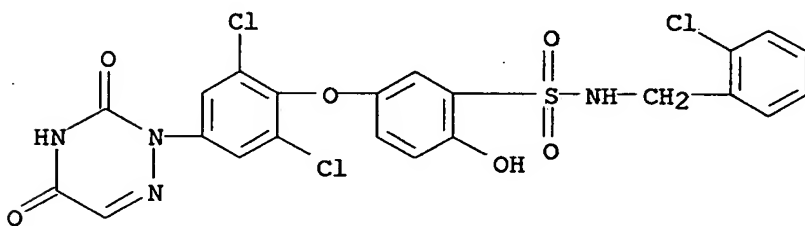
RN 332926-64-4 CAPLUS

CN Benzenesulfonamide, 5-[2,6-dichloro-4-(4,5-dihydro-3,5-dioxo-1,2,4-triazin-2(3H)-yl)phenoxy]-N-(2-furanylmethyl)-2-hydroxy- (CA INDEX NAME)



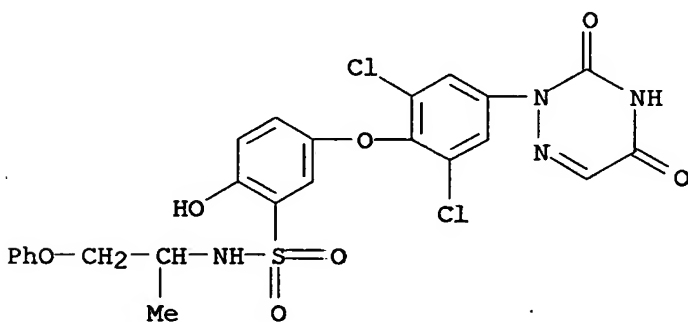
RN 332926-65-5 CAPLUS

CN Benzenesulfonamide, N-[(2-chlorophenyl)methyl]-5-[2,6-dichloro-4-(4,5-dihydro-3,5-dioxo-1,2,4-triazin-2(3H)-yl)phenoxy]-2-hydroxy- (CA INDEX NAME)



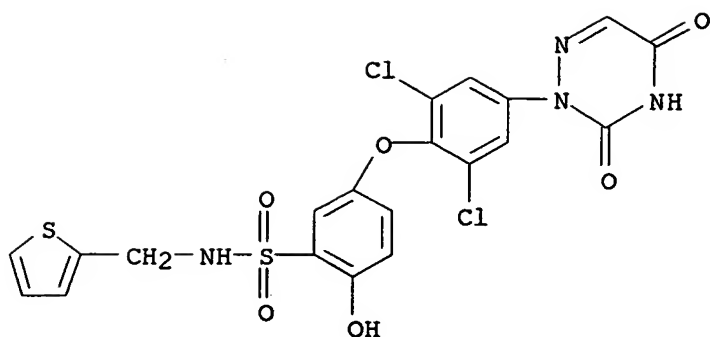
RN 332926-67-7 CAPLUS

CN Benzenesulfonamide, 5-[2,6-dichloro-4-(4,5-dihydro-3,5-dioxo-1,2,4-triazin-2(3H)-yl)phenoxy]-2-hydroxy-N-(1-methyl-2-phenoxyethyl)- (CA INDEX NAME)



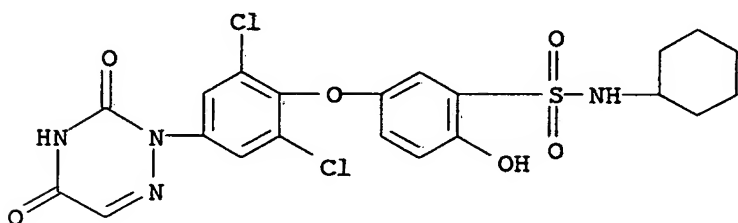
RN 332926-69-9 CAPLUS

CN Benzenesulfonamide, 5-[2,6-dichloro-4-(4,5-dihydro-3,5-dioxo-1,2,4-triazin-2(3H)-yl)phenoxy]-2-hydroxy-N-(2-thienylmethyl)- (CA INDEX NAME)



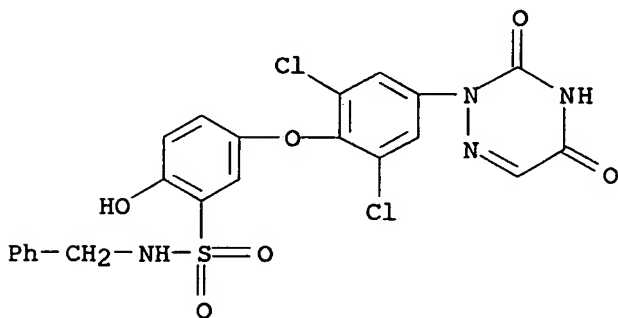
RN 332926-70-2 CAPLUS

CN Benzenesulfonamide, N-cyclohexyl-5-[2,6-dichloro-4-(4,5-dihydro-3,5-dioxo-1,2,4-triazin-2(3H)-yl)phenoxy]-2-hydroxy- (CA INDEX NAME)



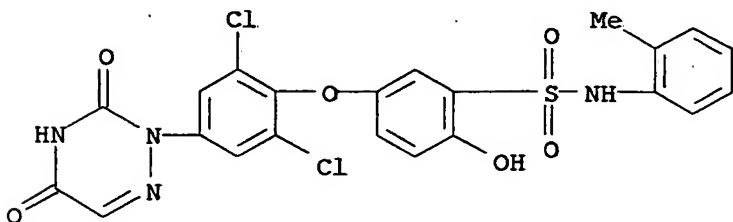
RN 332926-71-3 CAPLUS

CN Benzenesulfonamide, 5-[2,6-dichloro-4-(4,5-dihydro-3,5-dioxo-1,2,4-triazin-2(3H)-yl)phenoxy]-2-hydroxy-N-(phenylmethyl)- (CA INDEX NAME)



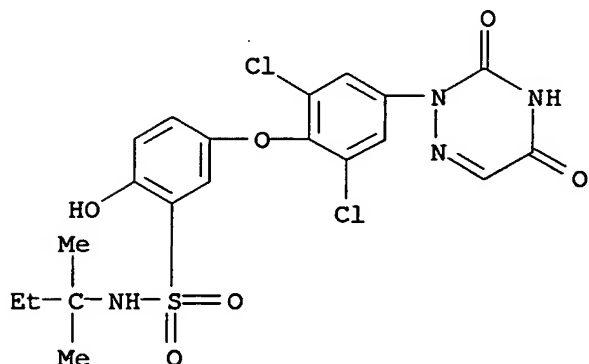
RN 332926-72-4 CAPLUS

CN Benzenesulfonamide, 5-[2,6-dichloro-4-(4,5-dihydro-3,5-dioxo-1,2,4-triazin-2(3H)-yl)phenoxy]-2-hydroxy-N-(2-methylphenyl)- (CA INDEX NAME)



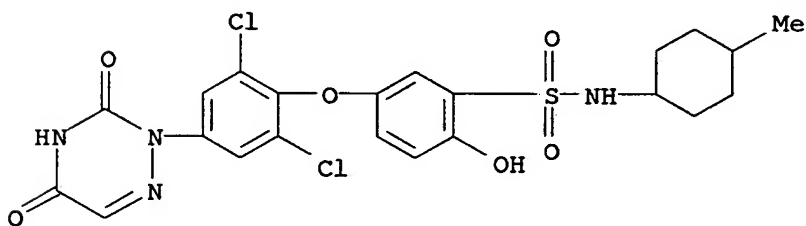
RN 332926-74-6 CAPLUS

CN Benzenesulfonamide, 5-[2,6-dichloro-4-(4,5-dihydro-3,5-dioxo-1,2,4-triazin-2(3H)-yl)phenoxy]-N-(1,1-dimethylpropyl)-2-hydroxy- (CA INDEX NAME)



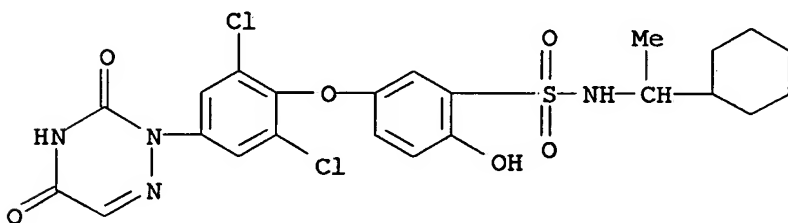
RN 332926-75-7 CAPLUS

CN Benzenesulfonamide, 5-[2,6-dichloro-4-(4,5-dihydro-3,5-dioxo-1,2,4-triazin-2(3H)-yl)phenoxy]-2-hydroxy-N-(4-methylcyclohexyl)- (CA INDEX NAME)



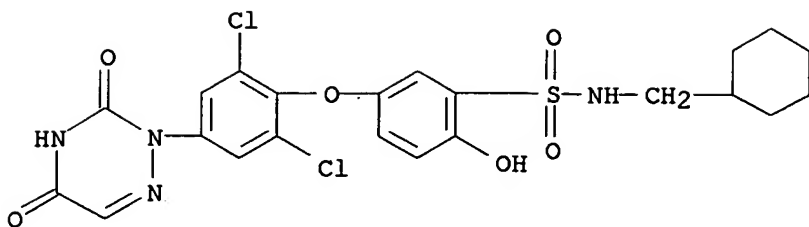
RN 332926-77-9 CAPLUS

CN Benzenesulfonamide, N-(1-cyclohexylethyl)-5-[2,6-dichloro-4-(4,5-dihydro-3,5-dioxo-1,2,4-triazin-2(3H)-yl)phenoxy]-2-hydroxy- (CA INDEX NAME)



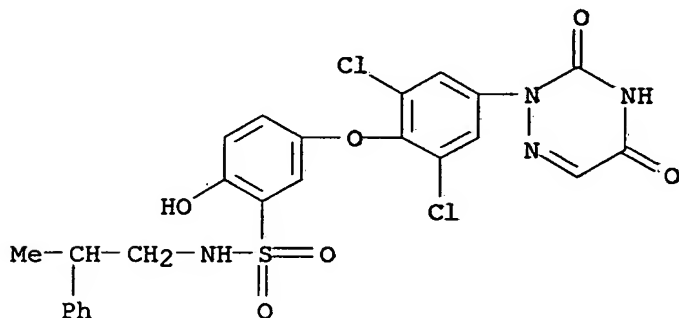
RN 332926-78-0 CAPLUS

CN Benzenesulfonamide, N-(cyclohexylmethyl)-5-[2,6-dichloro-4-(4,5-dihydro-3,5-dioxo-1,2,4-triazin-2(3H)-yl)phenoxy]-2-hydroxy- (CA INDEX NAME)



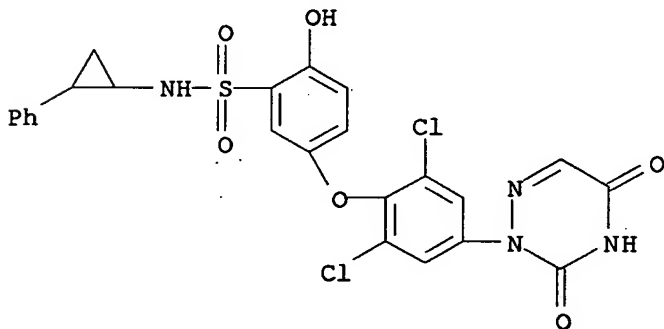
RN 332926-79-1 CAPLUS

CN Benzenesulfonamide, 5-[2,6-dichloro-4-(4,5-dihydro-3,5-dioxo-1,2,4-triazin-2(3H)-yl)phenoxy]-2-hydroxy-N-(2-phenylpropyl)- (CA INDEX NAME)



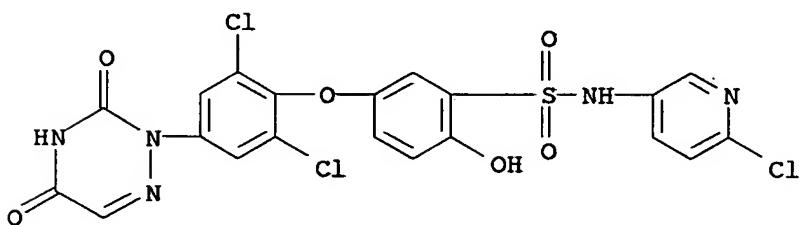
RN 332926-80-4 CAPLUS

CN Benzenesulfonamide, 5-[2,6-dichloro-4-(4,5-dihydro-3,5-dioxo-1,2,4-triazin-2(3H)-yl)phenoxy]-2-hydroxy-N-(2-phenylcyclopropyl)- (CA INDEX NAME)



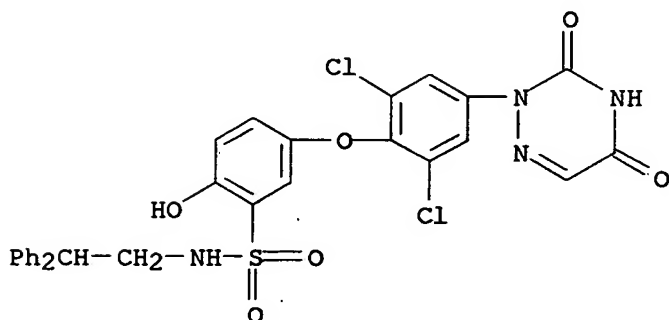
RN 332926-83-7 CAPLUS

CN Benzenesulfonamide, N-(6-chloro-3-pyridinyl)-5-[2,6-dichloro-4-(4,5-dihydro-3,5-dioxo-1,2,4-triazin-2(3H)-yl)phenoxy]-2-hydroxy- (CA INDEX NAME)



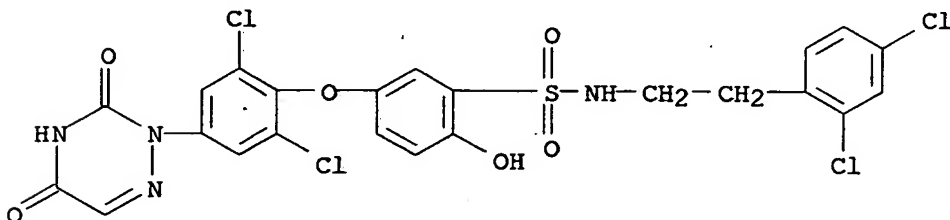
RN 332926-89-3 CAPLUS

CN Benzenesulfonamide, 5-[2,6-dichloro-4-(4,5-dihydro-3,5-dioxo-1,2,4-triazin-2(3H)-yl)phenoxy]-N-(2,2-diphenylethyl)-2-hydroxy- (CA INDEX NAME)



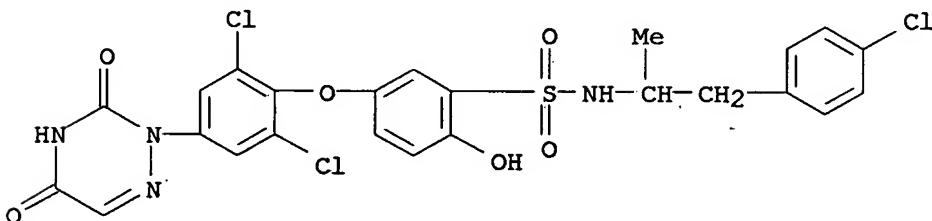
RN 332926-90-6 CAPLUS

CN Benzenesulfonamide, 5-[2,6-dichloro-4-(4,5-dihydro-3,5-dioxo-1,2,4-triazin-2(3H)-yl)phenoxy]-N-[2-(2,4-dichlorophenyl)ethyl]-2-hydroxy- (CA INDEX NAME)



RN 332926-91-7 CAPLUS

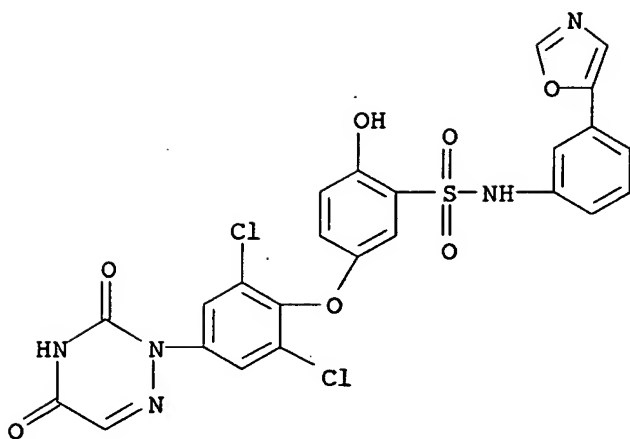
CN Benzenesulfonamide, N-[2-(4-chlorophenyl)-1-methylethyl]-5-[2,6-dichloro-4-(4,5-dihydro-3,5-dioxo-1,2,4-triazin-2(3H)-yl)phenoxy]-2-hydroxy- (CA INDEX NAME)



RN 332926-92-8 CAPLUS

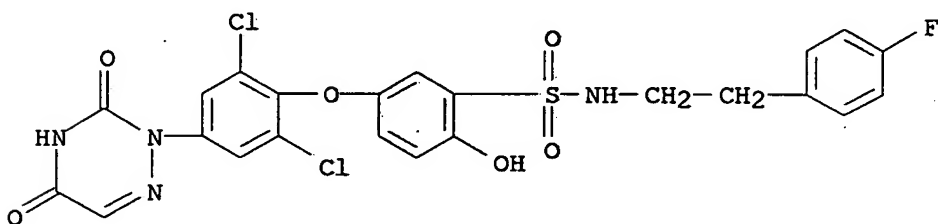
CN Benzenesulfonamide, 5-[2,6-dichloro-4-(4,5-dihydro-3,5-dioxo-1,2,4-triazin-2(3H)-yl)phenoxy]-2-hydroxy-N-[3-(5-oxazolyl)phenyl]- (CA INDEX NAME)





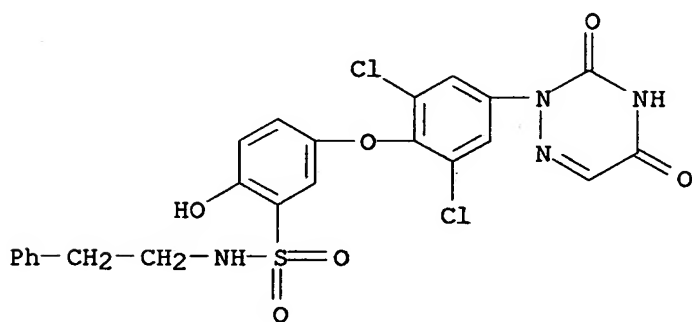
RN 332926-93-9 CAPLUS

CN Benzenesulfonamide, 5-[2,6-dichloro-4-(4,5-dihydro-3,5-dioxo-1,2,4-triazin-2(3H)-yl)phenoxy]-N-[2-(4-fluorophenyl)ethyl]-2-hydroxy- (CA INDEX NAME)



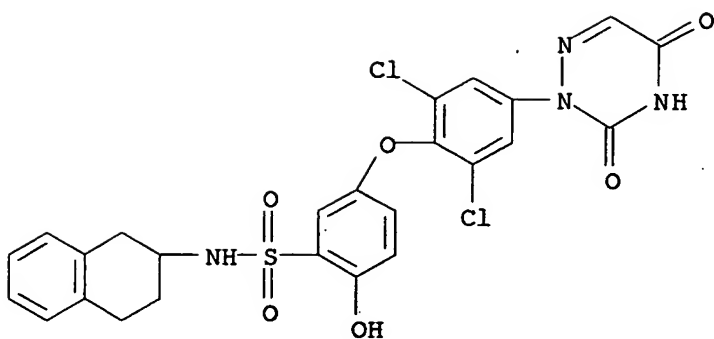
RN 332926-97-3 CAPLUS

CN Benzenesulfonamide, 5-[2,6-dichloro-4-(4,5-dihydro-3,5-dioxo-1,2,4-triazin-2(3H)-yl)phenoxy]-2-hydroxy-N-(2-phenylethyl)- (CA INDEX NAME)



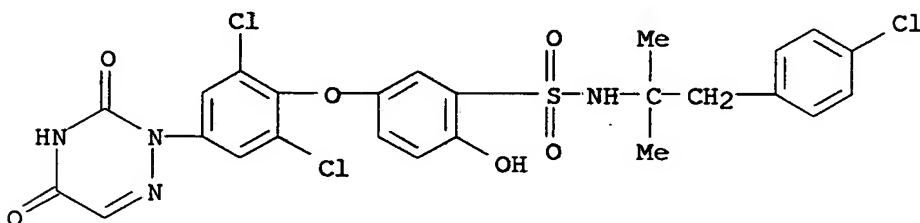
RN 332927-02-3 CAPLUS

CN Benzenesulfonamide, 5-[2,6-dichloro-4-(4,5-dihydro-3,5-dioxo-1,2,4-triazin-2(3H)-yl)phenoxy]-2-hydroxy-N-(1,2,3,4-tetrahydro-2-naphthalenyl)- (CA INDEX NAME)



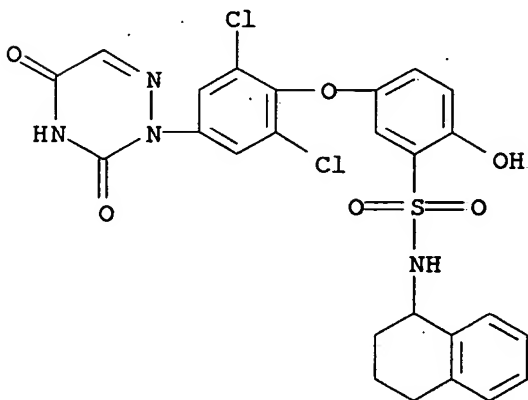
RN 332927-04-5 CAPLUS

CN Benzenesulfonamide, N-[2-(4-chlorophenyl)-1,1-dimethylethyl]-5-[2,6-dichloro-4-(4,5-dihydro-3,5-dioxo-1,2,4-triazin-2(3H)-yl)phenoxy]-2-hydroxy- (CA INDEX NAME)



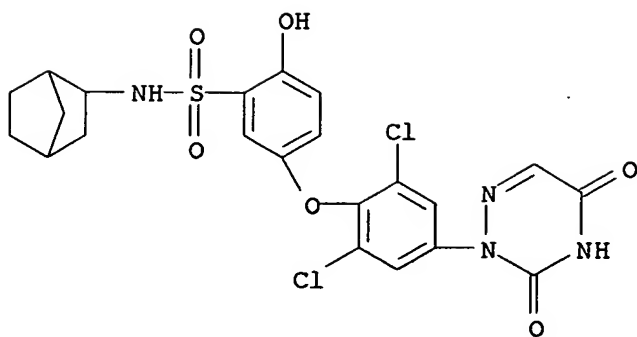
RN 332927-08-9 CAPLUS

CN Benzenesulfonamide, 5-[2,6-dichloro-4-(4,5-dihydro-3,5-dioxo-1,2,4-triazin-2(3H)-yl)phenoxy]-2-hydroxy-N-(1,2,3,4-tetrahydro-1-naphthalenyl)- (CA INDEX NAME)



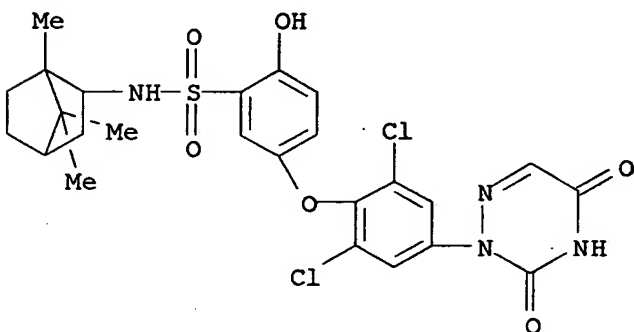
RN 332927-09-0 CAPLUS

CN Benzenesulfonamide, N-bicyclo[2.2.1]hept-2-yl-5-[2,6-dichloro-4-(4,5-dihydro-3,5-dioxo-1,2,4-triazin-2(3H)-yl)phenoxy]-2-hydroxy- (CA INDEX NAME)



RN 332927-10-3 CAPLUS

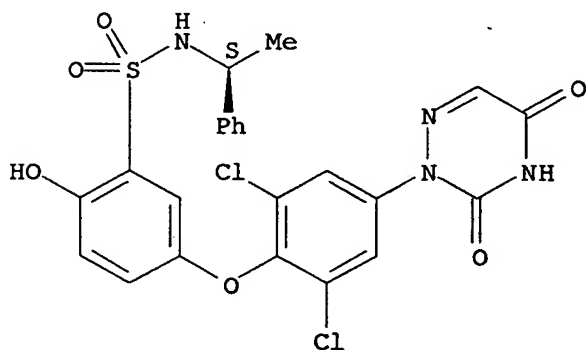
CN Benzenesulfonamide, 5-[2,6-dichloro-4-(4,5-dihydro-3,5-dioxo-1,2,4-triazin-2(3H)-yl)phenoxy]-2-hydroxy-N-(1,7,7-trimethylbicyclo[2.2.1]hept-2-yl)- (CA INDEX NAME)



RN 332927-12-5 CAPLUS

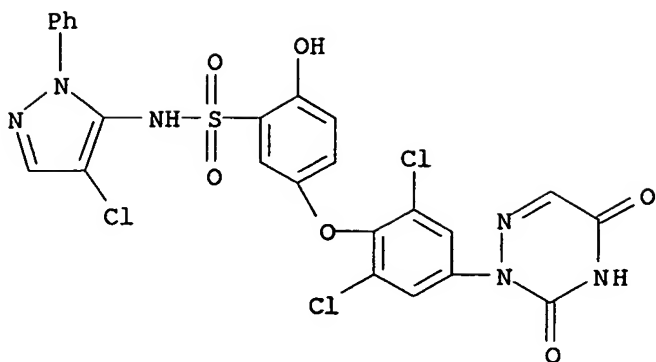
CN Benzenesulfonamide, 5-[2,6-dichloro-4-(4,5-dihydro-3,5-dioxo-1,2,4-triazin-2(3H)-yl)phenoxy]-2-hydroxy-N-[(1S)-1-phenylethyl]- (CA INDEX NAME)

Absolute stereochemistry.



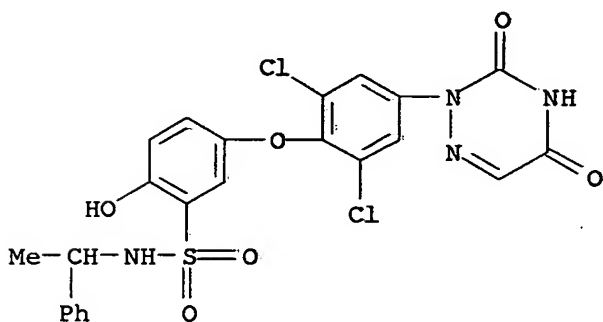
RN 332927-13-6 CAPLUS

CN Benzenesulfonamide, N-(4-chloro-1-phenyl-1H-pyrazol-5-yl)-5-[2,6-dichloro-4-(4,5-dihydro-3,5-dioxo-1,2,4-triazin-2(3H)-yl)phenoxy]-2-hydroxy- (CA INDEX NAME)



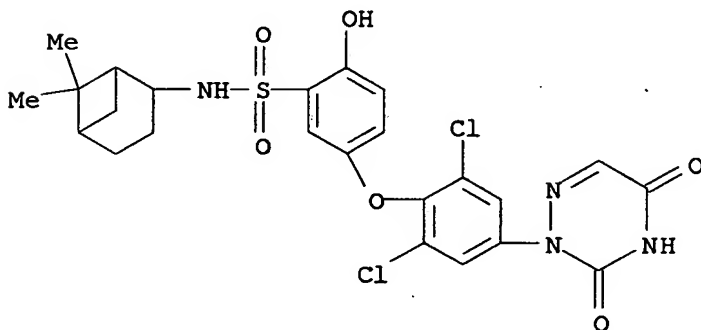
RN 332927-15-8 CAPLUS

CN Benzenesulfonamide, 5-[2,6-dichloro-4-(4,5-dihydro-3,5-dioxo-1,2,4-triazin-2(3H)-yl)phenoxy]-2-hydroxy-N-(1-phenylethyl)- (CA INDEX NAME)



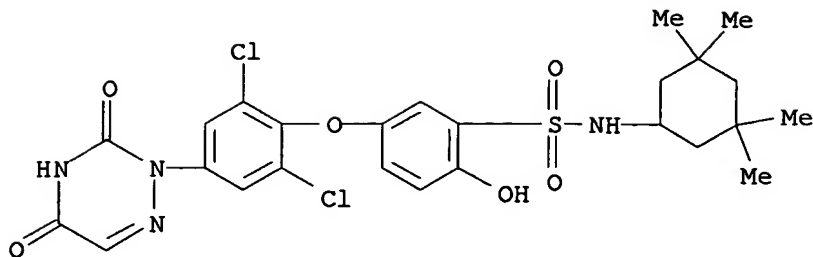
RN 332927-17-0 CAPLUS

CN Benzenesulfonamide, 5-[2,6-dichloro-4-(4,5-dihydro-3,5-dioxo-1,2,4-triazin-2(3H)-yl)phenoxy]-N-(6,6-dimethylbicyclo[3.1.1]hept-2-yl)-2-hydroxy- (CA INDEX NAME)



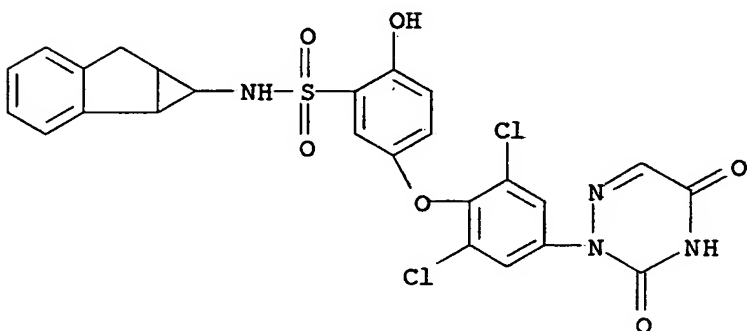
RN 332927-18-1 CAPLUS

CN Benzenesulfonamide, 5-[2,6-dichloro-4-(4,5-dihydro-3,5-dioxo-1,2,4-triazin-2(3H)-yl)phenoxy]-2-hydroxy-N-(3,3,5,5-tetramethylcyclohexyl)- (CA INDEX NAME)



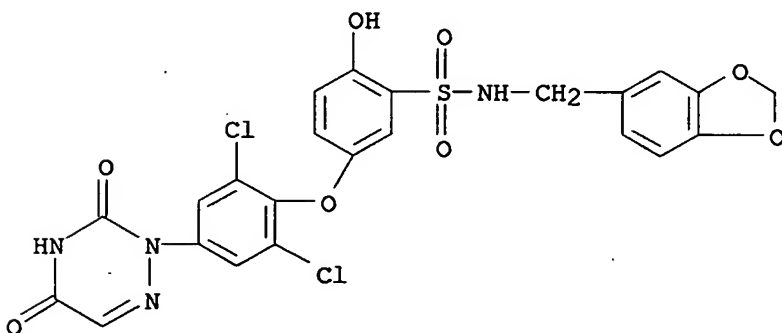
RN 332927-19-2 CAPLUS

CN Benzenesulfonamide, 5-[2,6-dichloro-4-(4,5-dihydro-3,5-dioxo-1,2,4-triazin-2(3H)-yl)phenoxy]-2-hydroxy-N-(1,1a,6,6a-tetrahydrocycloprop[a]inden-1-yl)- (CA INDEX NAME)



RN 332927-20-5 CAPLUS

CN Benzenesulfonamide, N-(1,3-benzodioxol-5-ylmethyl)-5-[2,6-dichloro-4-(4,5-dihydro-3,5-dioxo-1,2,4-triazin-2(3H)-yl)phenoxy]-2-hydroxy- (CA INDEX NAME)



L14 ANSWER 8 OF 44 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2000:725653 CAPLUS

DOCUMENT NUMBER: 133:296450

TITLE: Preparation of prenyl protein transferase inhibitors and prostate specific antigen conjugates for combination treatment of prostate cancer.

INVENTOR(S): Defeo-Jones, Deborah; Jones, Raymond E.; Oliff, Allen I.

PATENT ASSIGNEE(S): Merck and Co., Inc., USA

SOURCE: PCT Int. Appl., 544 pp.

CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000059930	A1	20001012	WO 2000-US8762	20000331 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2003220241	A1	20031127	US 2002-244215	20020916
PRIORITY APPLN. INFO.:			US 1999-127746P	P 19990405
			US 2000-542769	A1 20000404

OTHER SOURCE(S): MARPAT 133:296450

AB A method for achieving a therapeutic effect in a mammal comprises administration of  $\geq 1$  inhibitor of prenyl protein transferase and  $\geq 1$  prostate specific antigen conjugate. Thus, mice injected s.c. with LNCaP.FGC cells were treated with 2-4  $\mu$ M 1-(3-chlorophenyl)-4-[1-(4-cyanobenzyl)-5-imidazolylmethyl]-2-piperazinone hydrochloride (preparation given) and with 7.5 mg/kg [N-glutaryl-(4-trans-L-Hyp)]-Ala-Ser-Chg-Gln-Ser-Leu-Dox (Dox = doxorubicin-3'-yl) over 4 days to give marked tumor shrinkage vs. controls.

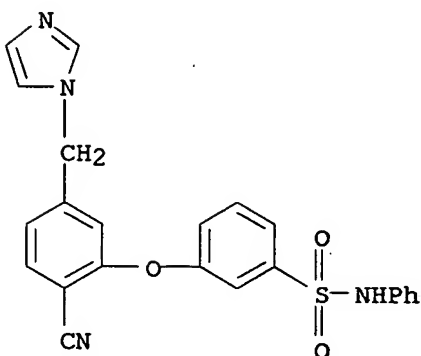
IT 301296-88-8

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preparation of prenyl protein transferase inhibitors and prostate specific antigen conjugates for combination treatment of prostate cancer)

RN 301296-88-8 CAPLUS

CN Benzenesulfonamide, 3-[2-cyano-5-(1H-imidazol-1-ylmethyl)phenoxy]-N-phenyl- (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 9 OF 44 CAPLUS COPYRIGHT 2007 ACS on STN

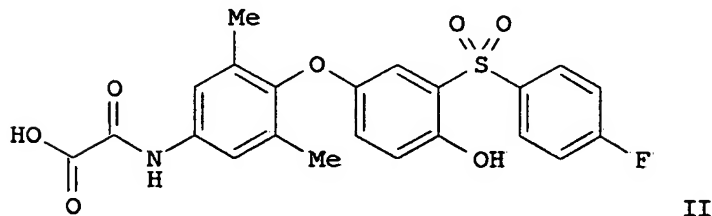
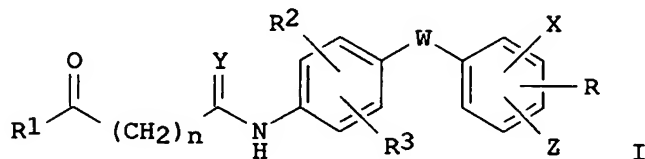
ACCESSION NUMBER: 2000:707138 CAPLUS

DOCUMENT NUMBER: 133:266609

TITLE: Preparation of (4-phenoxyphenyl)oxamic acid

derivatives and analogs as hypolipidemics  
 INVENTOR(S): Kukkola, Paivi Jaana  
 PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis-Erfindungen  
 SOURCE: PCT Int. Appl., 53 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000058279	A1	20001005	WO 2000-EP2683	20000327 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2361016	A1	20001005	CA 2000-2361016	20000327 <--
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EP 1165502	A1	20020102	EP 2000-922557	20000327
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 2000009431	A	20020108	BR 2000-9431	20000327
TR 200102225	T2	20020121	TR 2001-2225	20000327
HU 2002000588	A2	20020729	HU 2002-588	20000327
JP 2002540189	T	20021126	JP 2000-607982	20000327
US 6599942	B1	20030729	US 2000-696502	20001025
NO 2001004702	A	20010927	NO 2001-4702	20010927 <--
MX 2001PA09843	A	20020506	MX 2001-PA9843	20010928
PRIORITY APPLN. INFO.:				
			US 1999-183030P	P 19990329
			US 1999-280105	A 19990329
			US 2000-533219	A1 20000323
			WO 2000-EP2683	W 20000327
OTHER SOURCE(S): MARPAT 133:266609				
GI				



AB The title compds. (I) [wherein W = O, S, S(O) or SO<sub>2</sub>; X = SR<sub>4</sub>, S(O)R<sub>4</sub>, SO<sub>2</sub>R<sub>4</sub>, SO<sub>2</sub>NR<sub>5</sub>R<sub>6</sub>, or CONR<sub>5</sub>R<sub>6</sub>; Y = O or H<sub>2</sub>; Z = H, halogen, OH, or (un)substituted (ar)alkoxy, acyloxy, or alkoxy carbonyloxy; R = H, halogen,

CF3, or (cyclo)alkyl; R1 = OH, (un)substituted (cyclo)alkoxy, (hetero)aryloxy, or (hetero)aralkoxy, or -NR5R6; R2 = H, halogen, or alkyl; R3 = halogen or alkyl; R4 is (un)substituted (ar)alkyl, (hetero)aryl, or heteroaralkyl; R5, R6, and R7 = independently H, (un)substituted (cyclo)alkyl, (hetero)aryl, or (hetero)aralkyl; or R5 and R6 combined = alkylene optionally interrupted by O, S, S(O), SO2, or NR7 which together with the nitrogen atom to which they are attached form a 5- to 7-membered ring; n = 0-4] were prepared. I demonstrated potent binding to the triiodothyronine (T3) nuclear receptor, which is indicative of upregulation of LDL receptor activity and enhancement of the clearance of LDL-cholesterol from the circulation. I also reduced lipoprotein (a) levels and are useful for the treatment and prevention of occlusive cardiovascular conditions implicated by Lp(a). For example, 2-(4-fluorobenzenesulfonyl)benzene-1,4-diol (preparation given) was coupled with 4-chloro-3,5-dimethylnitrobenzene in the presence of NaH, and the product reduced using Pd/C. Amidation with di-Et oxalate, followed by deesterification, gave II. In an in vitro T3 nuclear receptor binding assay using Sprague-Dawley rat liver nuclei and plasma membrane preps., II gave an IC50 of 0.17 nM. II significantly lowered serum cholesterol at a daily dose of about 20 µg/kg p.o. in male Sprague-Dawley rats and about 10 µg/kg p.o. in normocholesterolemic dogs. Lp(a) levels in normolipemic cynomolgus monkeys were lowered by about 40% after a 4 wk treatment with II at a daily oral dose of 75 µg/kg. Thus, I are useful in the prevention and treatment of diseases associated with an imbalance of thyroid hormones, such as hypo- and hyperthyroidism, obesity, osteoporosis, and depression, and for lowering LDL cholesterol and Lp(a) levels.

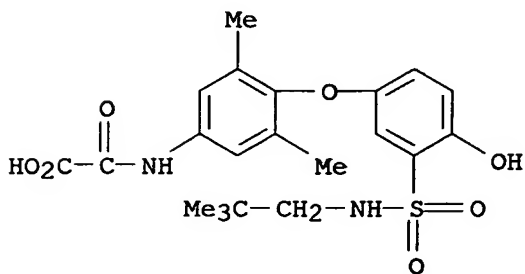
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RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

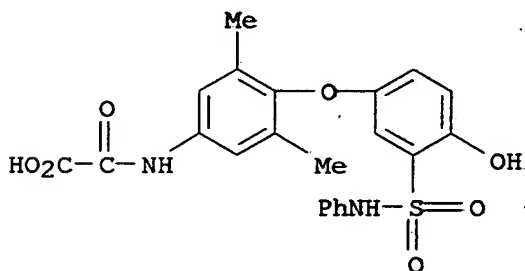
(preparation of (4-phenoxyphenyl)oxamic acid derivs. and analogs as hypolipidemics by coupling phenols with 4-chloronitrobenzenes, reduction to the amines, and amidation with oxalates)



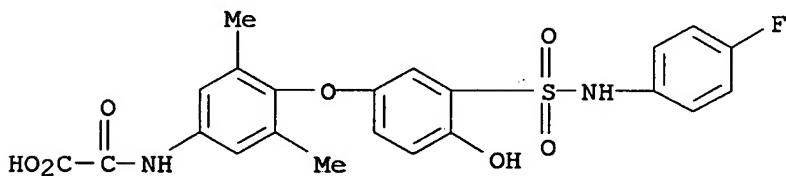
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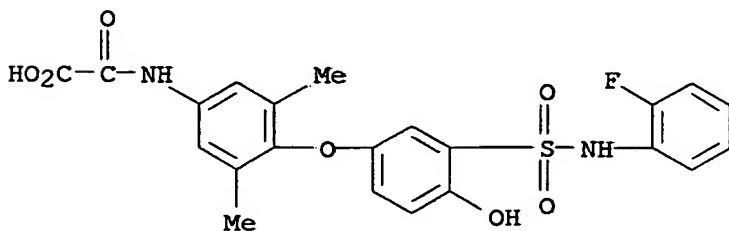
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RN 298694-81-2 CAPLUS  
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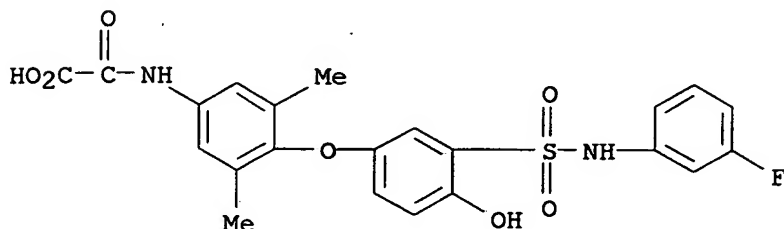


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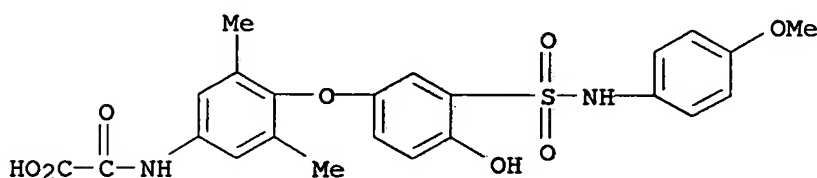
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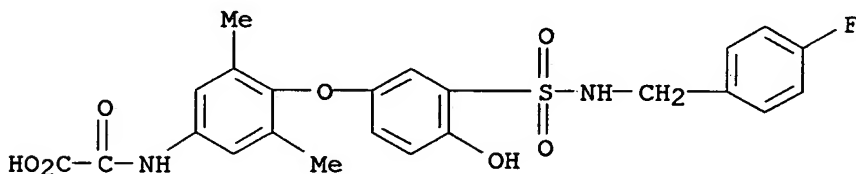
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CN Acetic acid, [[4-[4-hydroxy-3-[[4-(methoxyphenyl)amino]sulfonyl]phenoxy]-3,5-dimethylphenyl]amino]oxo- (9CI) (CA INDEX NAME)



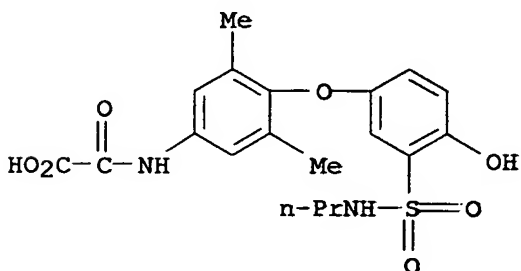
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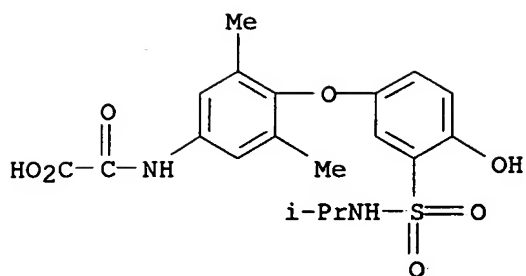
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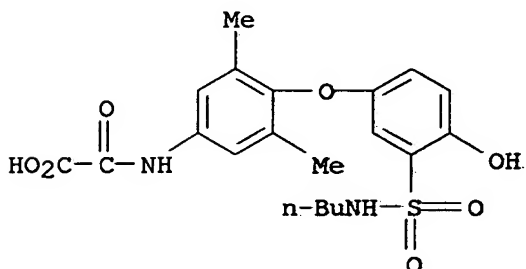
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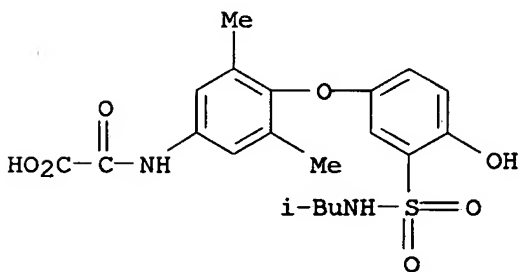
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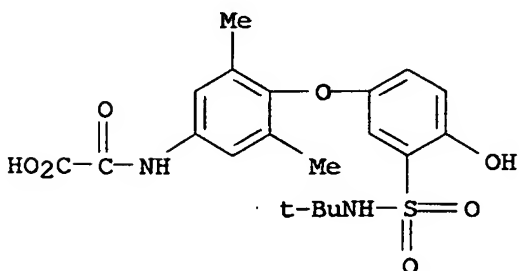
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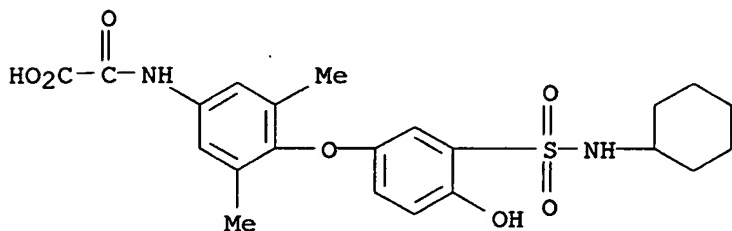
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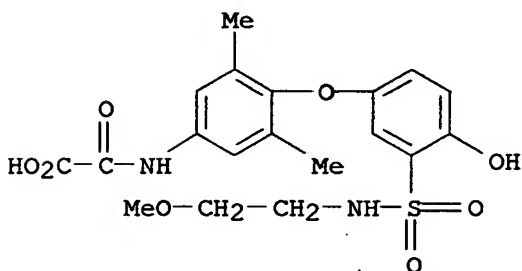
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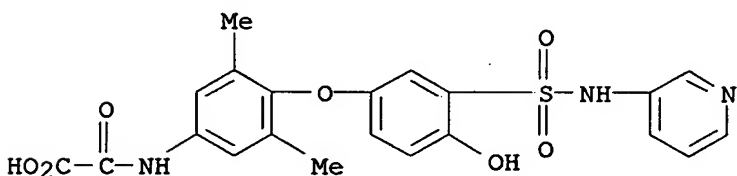
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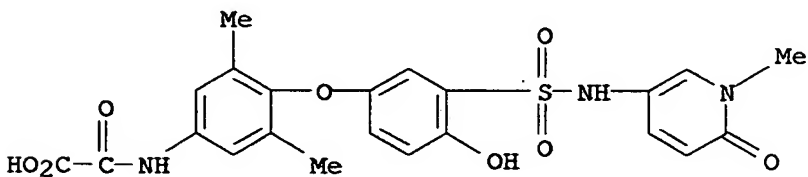
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CN Acetic acid, [[4-[4-hydroxy-3-[(3-pyridinylamino)sulfonyl]phenoxy]-3,5-dimethylphenyl]amino]oxo- (9CI) (CA INDEX NAME)



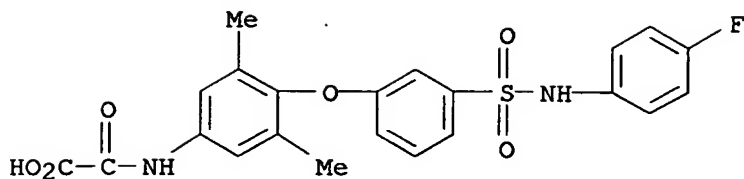
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CN Acetic acid, [[4-[3-[(1,6-dihydro-1-methyl-6-oxo-3-pyridinyl)amino]sulfonyl]-4-hydroxyphenoxy]-3,5-dimethylphenyl]amino]oxo- (9CI) (CA INDEX NAME)



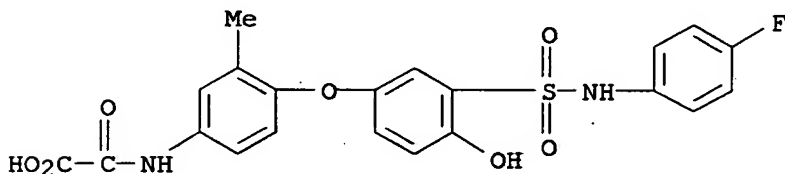
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CN Acetic acid, [[4-[3-[(4-fluorophenyl)amino]sulfonyl]phenoxy]-3,5-dimethylphenyl]amino]oxo- (9CI) (CA INDEX NAME)



RN 298695-04-2 CAPLUS

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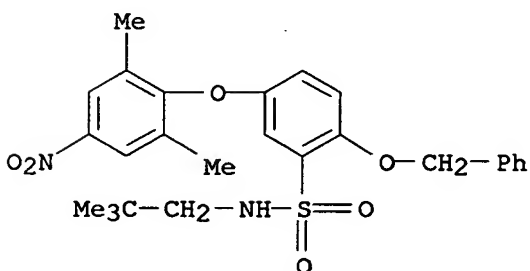
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RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of (4-phenoxyphenyl)oxamic acid derivs. and analogs as hypolipidemics by coupling phenols with 4-chloronitrobenzenes, reduction to the amines, and amidation with oxalates)

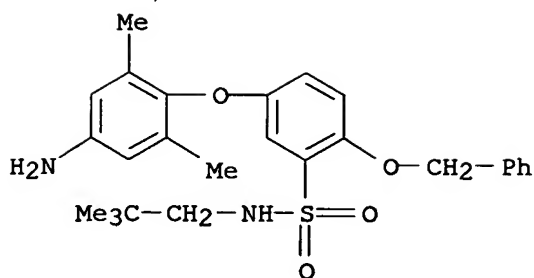
RN 298695-33-7 CAPLUS

CN Benzenesulfonamide, 5-(2,6-dimethyl-4-nitrophenoxy)-N-(2,2-dimethylpropyl)-2-(phenylmethoxy)- (CA INDEX NAME)



RN 298695-34-8 CAPLUS

CN Benzenesulfonamide, 5-(4-amino-2,6-dimethylphenoxy)-N-(2,2-dimethylpropyl)-2-(phenylmethoxy)- (CA INDEX NAME)

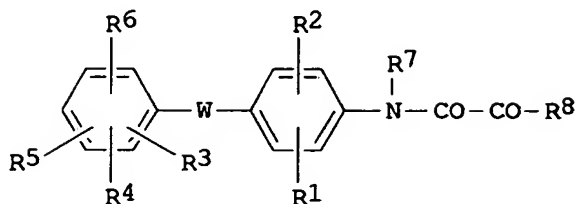


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

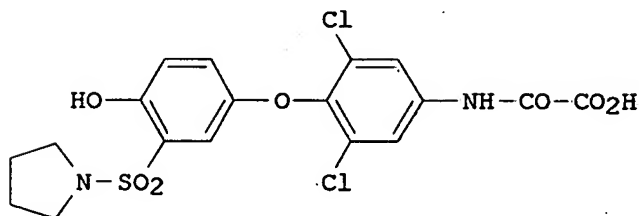
L14 ANSWER 10 OF 44 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2000:628106 CAPLUS  
 DOCUMENT NUMBER: 133:207681  
 TITLE: Preparation of 4-(sulfamoylphenoxy)phenyloxamic acids and derivatives as thyroid receptor ligands  
 INVENTOR(S): Chiang, Yuan-Ching Phoebe; Dow, Robert Lee  
 PATENT ASSIGNEE(S): Pfizer Products Inc., USA  
 SOURCE: PCT Int. Appl., 128 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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OTHER SOURCE(S):	MARPAT 133:207681			
GI				



I



II

- AB The title compds. (I) [wherein R1-R3 = independently H, halo, alkyl, CF3, CN, OCF3, or alkoxy; R4 = H or (un)substituted alkyl; or R3 and R4 together form an (un)substituted carbocyclic ring, (CH2)<sub>b</sub>, or a heterocyclic ring, Q(CH2)<sub>c</sub> or (CH2)<sub>j</sub>Q(CH2)<sub>k</sub>; b = 3-7; c = 2-6; j and k = independently 2-6; Q = O, S, or NR1; R5 = F, OH, alkoxy, or carboxy; or R4 and R5 together form a heterocyclic ring; R6 = H, halo, alkyl, or CF3; R7 = H or alkyl; R8 = OH, alkoxy, or (un)substituted amino; W = O, S(O)<sub>d</sub>, CH2, NH, or N(alkyl); d = 0-2], prodrugs, geometric and optical isomers, and pharmaceutically acceptable salts were prepared as thyroid receptor ligands. Thus, 2',6'-dichloro-4-methoxy-4'-nitrodiphenyl ether was treated with ClSO<sub>2</sub>H and pyrrolidine in two steps to give 1-[5-(2,6-dichloro-4-nitrophenoxy)-2-methoxybenzenesulfonyl]pyrrolidine. Demethylation using BCl<sub>3</sub>, followed by reduction using Pd/C, addition of di-Et oxalate, and deesterification, yielded II. An in vivo oxygen consumption assay designed to evaluate the efficacy and cardiac effects of tissue-selective thyroid hormone agonists and a thyroid hormone receptor (TR $\alpha$  and TR $\beta$ ) binding assay for thyromimetic compds. are described (no data). I are useful for the treatment of obesity, hyperlipidemia, glaucoma, cardiac arrhythmia, skin disorders, thyroid disease, hypothyroidism, and related disorders and diseases, such as diabetes mellitus, atherosclerosis, hypertension, coronary heart disease, hypercholesteremia, depression, and osteoporosis. An anorectic agent or lipase inhibitor may be administered with I to treat these conditions.
- IT 290349-23-4P, N-[4-(3-(Cyclopropylsulfamoyl)-4-hydroxyphenoxy)-3,5-dimethylphenyl]oxamic acid ethyl ester 290349-24-5P,

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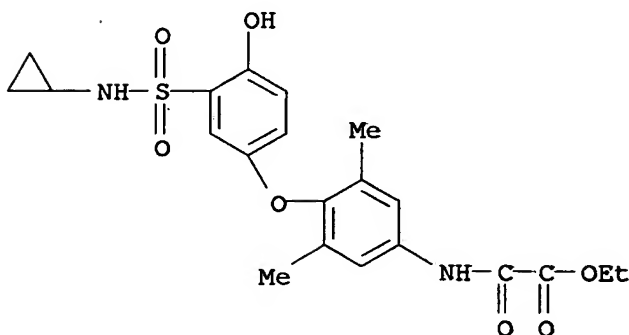
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 290351-79-0P, N-[3-Chloro-4-(3-cyclopropylsulfamoyl-4-hydroxyphenoxy)-5-methylphenyl]oxamide

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 4-(sulfamoylphenoxy)phenyloxamic acids and derivs. as thyroid receptor ligands by treatment of 4-methoxy-4'-nitrodiphenyl ethers with ClSO<sub>3</sub>H and amines, reduction, and amidation with oxalates)

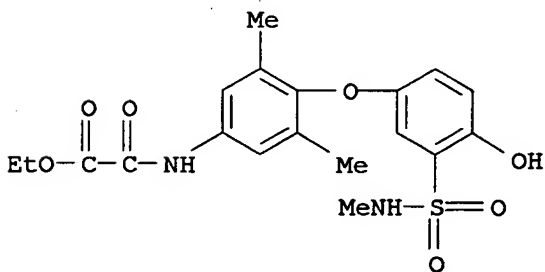
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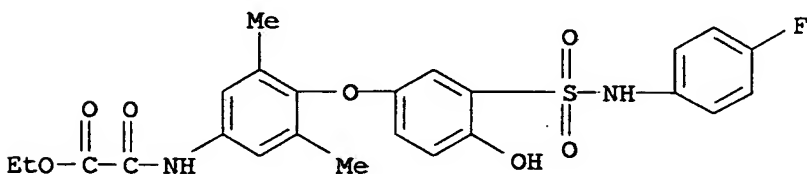
RN 290349-24-5 CAPLUS

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RN 290349-25-6 CAPLUS

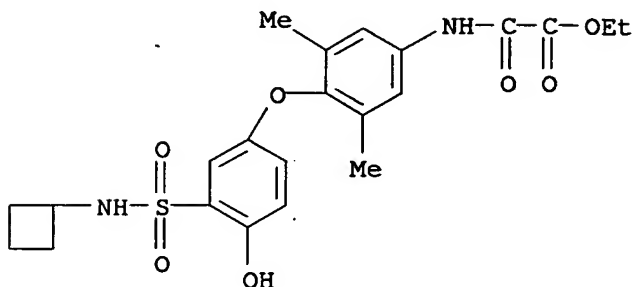
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RN 290349-29-0 CAPLUS

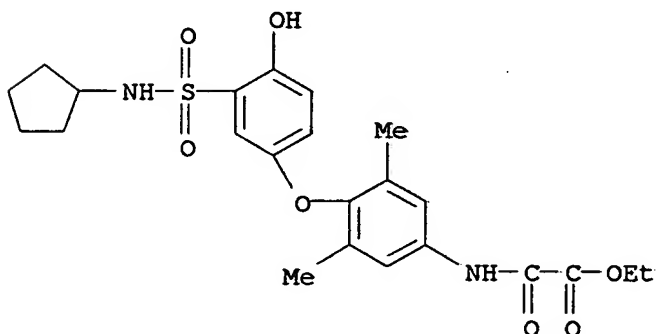
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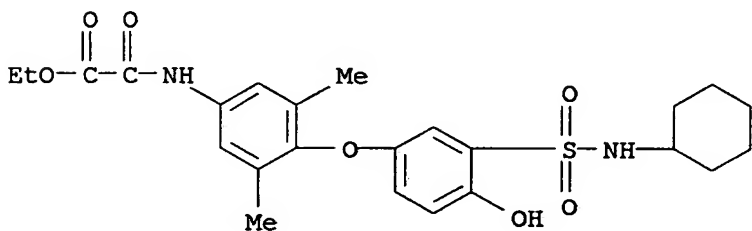
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CN Acetic acid, [[4-[3-[(cyclopentylamino)sulfonyl]-4-hydroxyphenoxy]-3,5-dimethylphenyl]amino]oxo-, ethyl ester (9CI) (CA INDEX NAME)



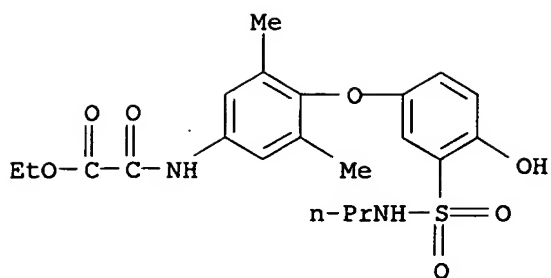
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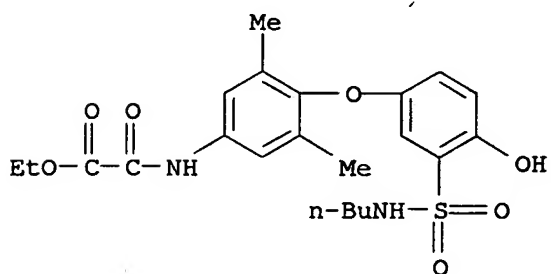
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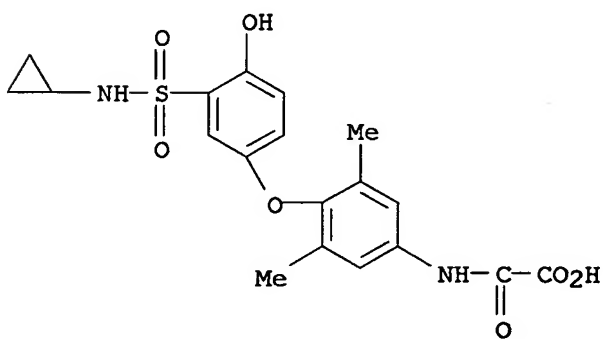
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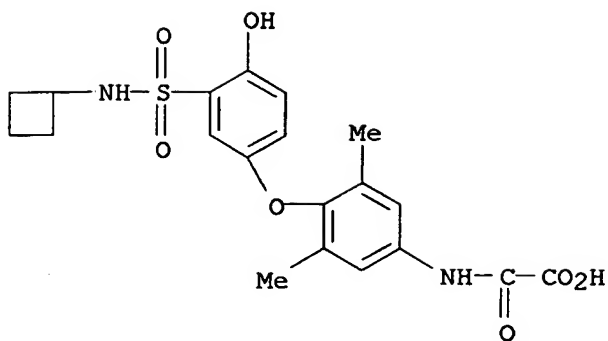
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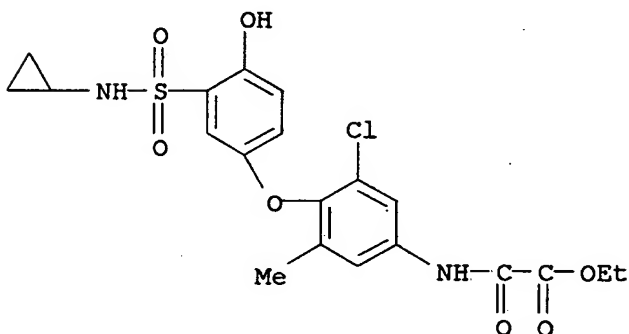
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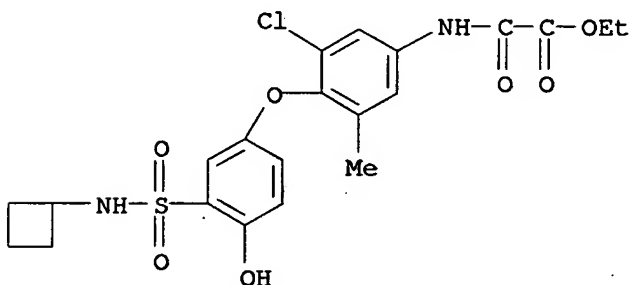
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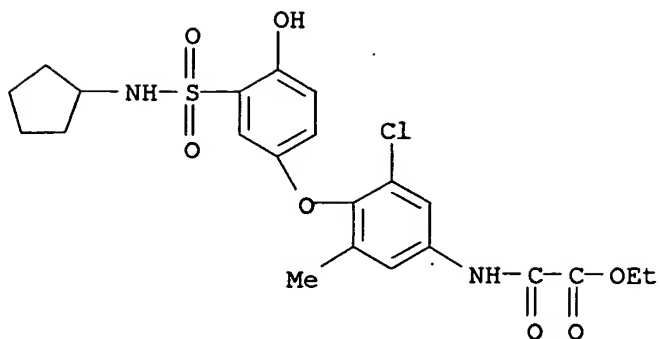
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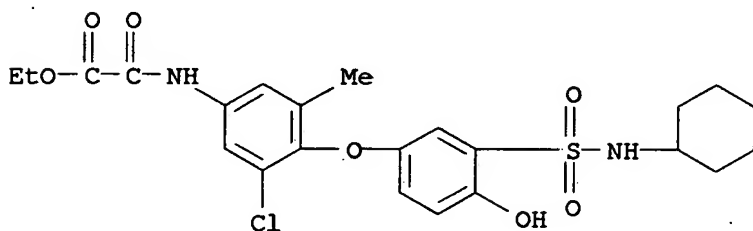
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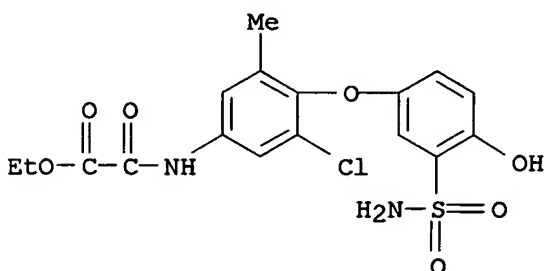
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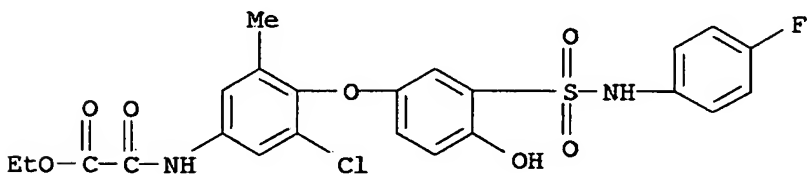
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CN Acetic acid, [[4-[3-(aminosulfonyl)-4-hydroxyphenoxy]-3-chloro-5-methylphenyl]amino]oxo-, ethyl ester (9CI) (CA INDEX NAME)



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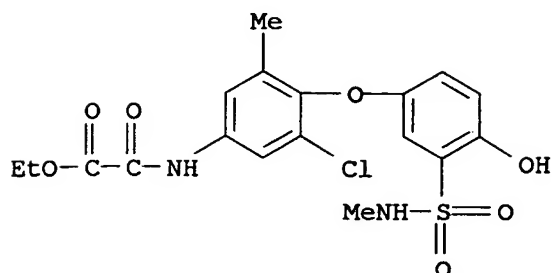
CN Acetic acid, [[3-chloro-4-[3-[[4-(fluorophenyl)amino]sulfonyl]-4-hydroxyphenoxy]-5-methylphenyl]amino]oxo-, ethyl ester (9CI) (CA INDEX NAME)



RN 290349-48-3 CAPLUS

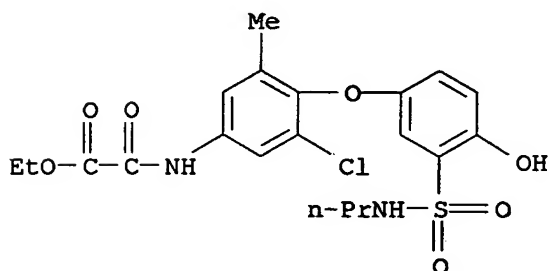
CN Acetic acid, [[3-chloro-4-[4-hydroxy-3-[(methylamino)sulfonyl]phenoxy]-5-methylphenyl]amino]oxo-, ethyl ester (9CI) (CA INDEX NAME)

methylphenyl]amino]oxo-, ethyl ester (9CI) (CA INDEX NAME)



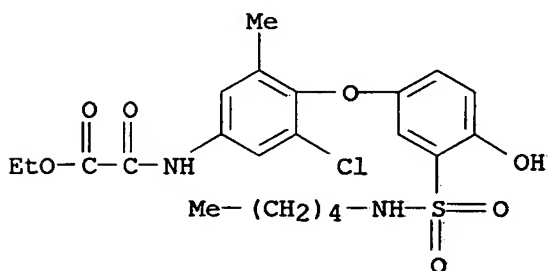
RN 290349-49-4 CAPLUS

CN Acetic acid, [[3-chloro-4-[4-hydroxy-3-[(propylamino)sulfonyl]phenoxy]-5-methylphenyl]amino]oxo-, ethyl ester (9CI) (CA INDEX NAME)



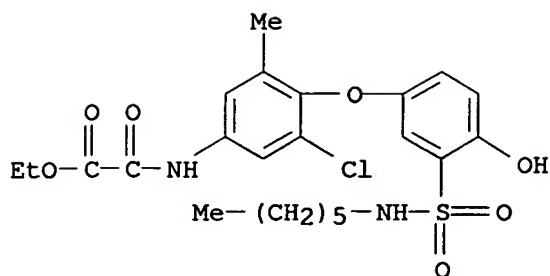
RN 290349-50-7 CAPLUS

CN Acetic acid, [[3-chloro-4-[4-hydroxy-3-[(pentylamino)sulfonyl]phenoxy]-5-methylphenyl]amino]oxo-, ethyl ester (9CI) (CA INDEX NAME)



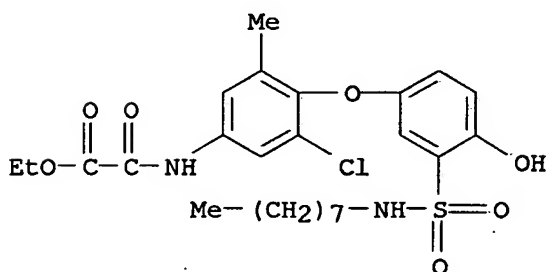
RN 290349-51-8 CAPLUS

CN Acetic acid, [[3-chloro-4-[3-[(hexylamino)sulfonyl]-4-hydroxyphenoxy]-5-methylphenyl]amino]oxo-, ethyl ester (9CI) (CA INDEX NAME)



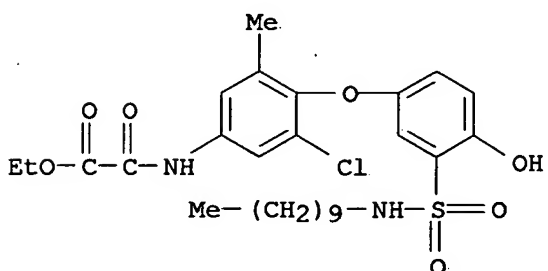
RN 290349-52-9 CAPLUS

CN Acetic acid, [[3-chloro-4-[4-hydroxy-3-[(octylamino)sulfonyl]phenoxy]-5-methylphenyl]amino]oxo-, ethyl ester (9CI) (CA INDEX NAME)



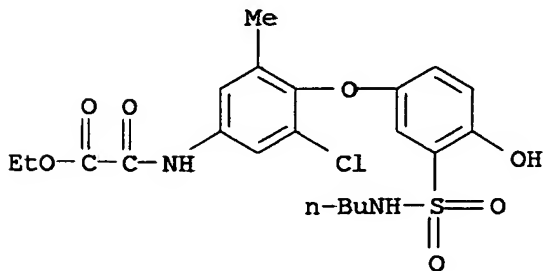
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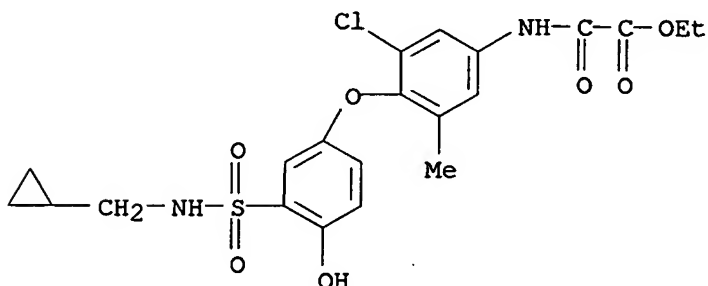


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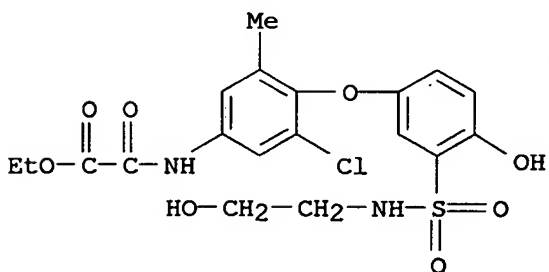
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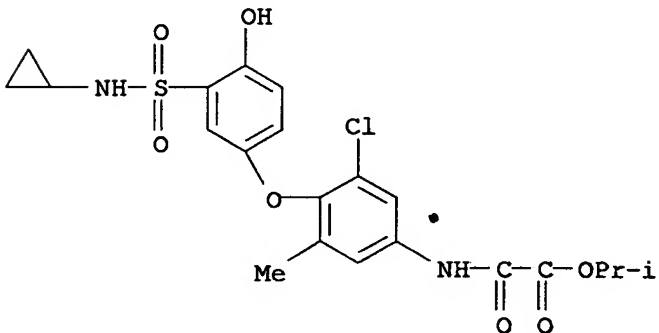
RN 290349-60-9 CAPLUS  
 CN Acetic acid, [[3-chloro-4-[3-[[[(cyclopropylmethyl)amino]sulfonyl]-4-hydroxyphenoxy]-5-methylphenyl]amino]oxo-, ethyl ester (9CI) (CA INDEX NAME)



RN 290349-61-0 CAPLUS  
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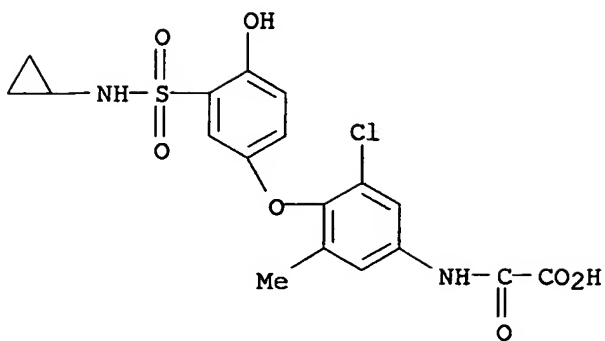


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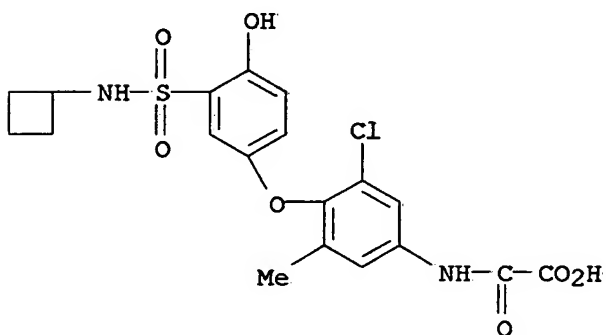
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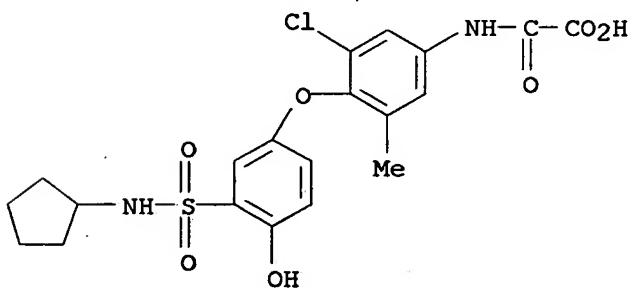
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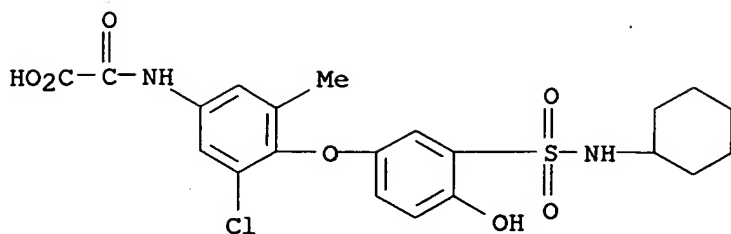
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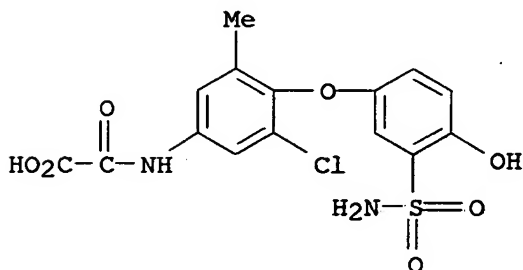
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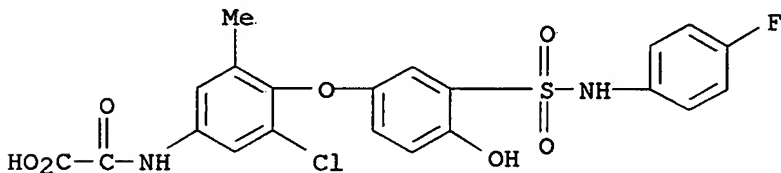
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CN Acetic acid, [[4-[3-(aminosulfonyl)-4-hydroxyphenoxy]-3-chloro-5-methylphenyl]amino]oxo- (9CI) (CA INDEX NAME)



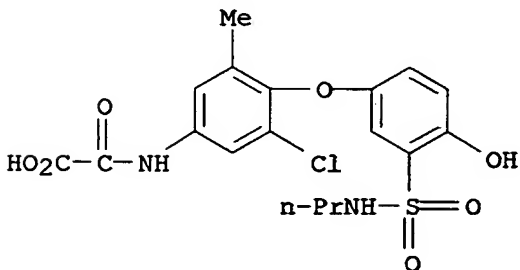
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CN Acetic acid, [[3-chloro-4-[3-[[4-(aminosulfonyl)-4-hydroxyphenoxy]-5-methylphenyl]amino]sulfonyl]-4-hydroxyphenoxy]-5-methylphenyl]amino]oxo- (9CI) (CA INDEX NAME)



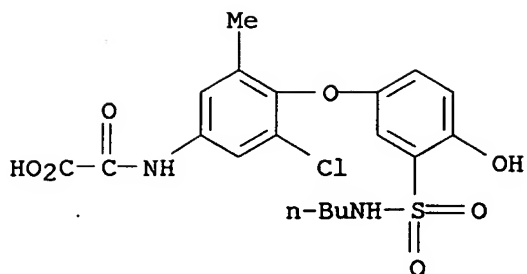
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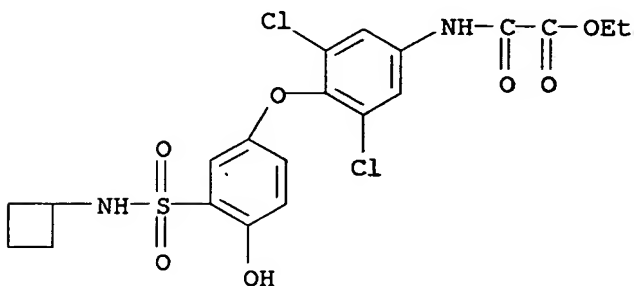
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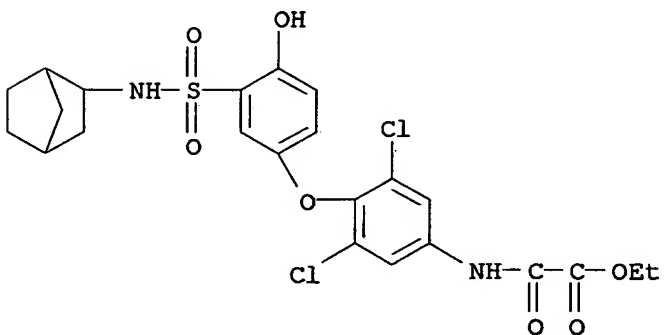
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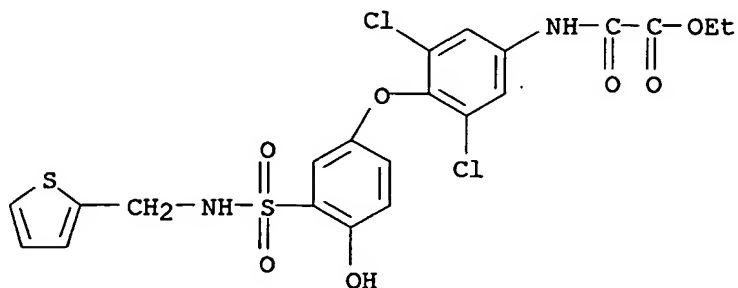
RN 290349-74-5 CAPLUS

CN Acetic acid, [[4-[3-[(bicyclo[2.2.1]hept-2-ylamino)sulfonyl]-4-hydroxyphenoxy]-3,5-dichlorophenyl]amino]oxo-, ethyl ester (9CI) (CA INDEX NAME)



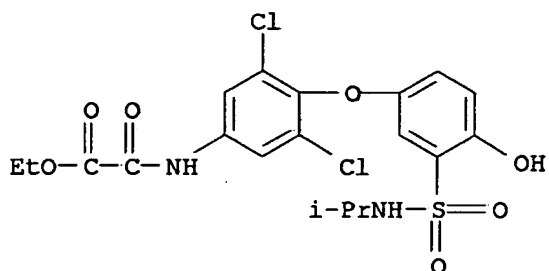
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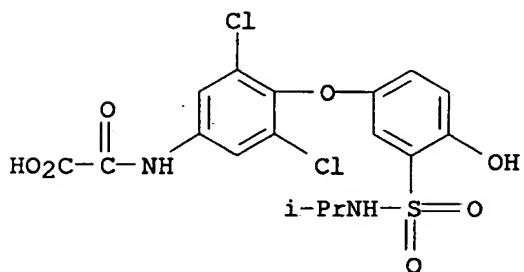
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(CA INDEX NAME)



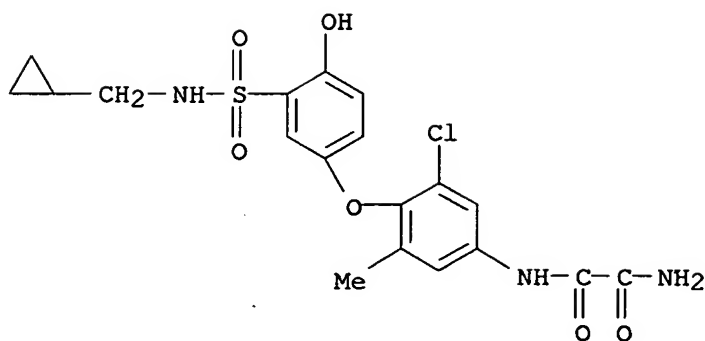
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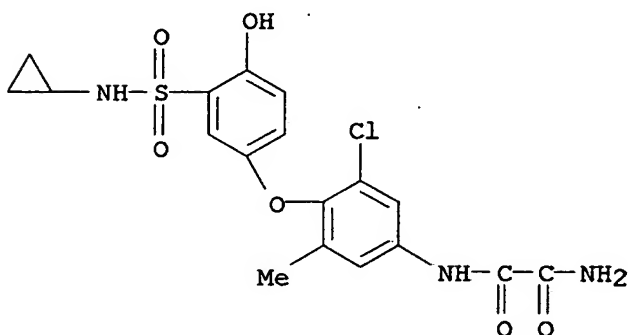
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CN Ethanediamide, [3-chloro-4-[3-[[[cyclopropylmethyl)amino]sulfonyl]-4-hydroxyphenoxy]-5-methylphenyl]- (9CI) (CA INDEX NAME)



RN 290351-79-0 CAPLUS

CN Ethanediame, [3-chloro-4-[3-[(cyclopropylamino)sulfonyl]-4-hydroxyphenoxy]-5-methylphenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 11 OF 44 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2000:493516 CAPLUS

DOCUMENT NUMBER: 133:120157

TITLE: Preparation of ω-carboxy(hetero)aryl substituted diphenyl ureas as raf kinase inhibitors

INVENTOR(S): Riedl, Bernd; Dumas, Jacques; Khire, Uday; Lowinger, Timothy B.; Scott, William J.; Smith, Roger A.; Wood, Jill E.; Monahan, Mary-Katherine; Natero, Reina; Renick, Joel; Sibley, Robert N.

PATENT ASSIGNEE(S): Bayer Corporation, USA

SOURCE: PCT Int. Appl., 120 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

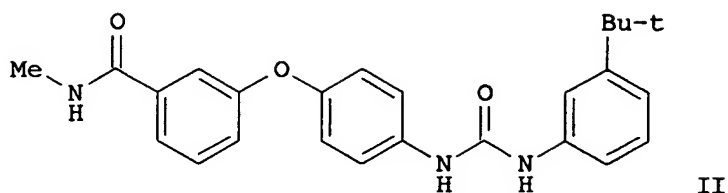
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			EP 2000-903239	A3 20000112
			JP 2000-593580	A3 20000112
			WO 2000-US648	W 20000112
			KR 2001-708847	A3 20010712
			US 2001-948915	A1 20010910

OTHER SOURCE(S):  
GI

MARPAT 133:120157



AB This invention relates to the preparation and use of (hetero)aryl ureas ANHCONHB [I; A = L(ML1)q; L = 5- or 6-membered (hetero)aryl, especially Ph or pyridinyl; M = bridging group; L1 = (hetero)aryl with at least one (un)substituted sulfamoyl, carboxy, or carbamoyl substituent; q = 1-3; B = certain (un)substituted mono- to tricyclic aryl or heteroaryl groups] for the treatment of raf mediated diseases, such as cancer (no data). Approx. 100 invention compds. and numerous intermediates were prepared For instance, 3-tert-butylaniline was coupled with bis(trichloromethyl)carbonate to form the isocyanate, followed by addition of 4-(3-N-methylcarbamoylphenoxy)aniline (preparation given) to afford the urea II.

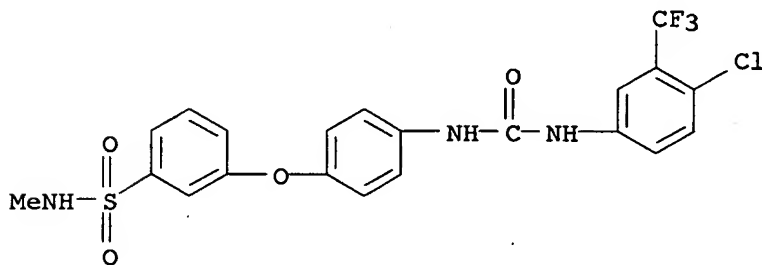
IT 284461-79-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of ω-carboxy(hetero)aryl substituted di-Ph urea raf kinase inhibitors by reacting arylisocyanates with arylamines)

RN 284461-79-6 CAPLUS

CN Benzenesulfonamide, 3-[4-[[[4-chloro-3-(trifluoromethyl)phenyl]amino]carbonyl]amino]phenoxy]-N-methyl- (CA INDEX NAME)



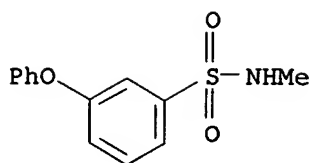
IT 284462-59-5P 284462-60-8P, 4-[3-(N-Methylsulfamoyl)phenoxy]-1-nitrobenzene 284462-61-9P, 4-[3-(N-Methylsulfamoyl)phenoxy]aniline

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of ω-carboxy(hetero)aryl substituted di-Ph urea raf kinase inhibitors by reacting arylisocyanates with arylamines)

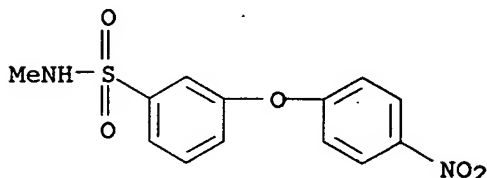
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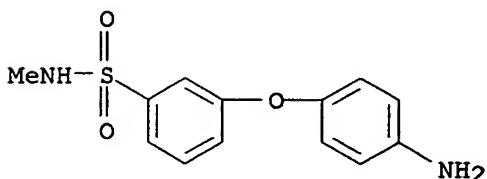
RN 284462-60-8 CAPLUS

CN Benzenesulfonamide, N-methyl-3-(4-nitrophenoxy)- (CA INDEX NAME)



RN 284462-61-9 CAPLUS

CN Benzenesulfonamide, 3-(4-aminophenoxy)-N-methyl- (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 12 OF 44 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2000:493376 CAPLUS

DOCUMENT NUMBER: 133:120155

TITLE: Preparation of ω-carboxy aryl substituted diphenyl ureas as p38 kinase inhibitors

INVENTOR(S): Riedl, Bernd; Dumas, Jacques; Khire, Uday; Lowinger, Timothy B.; Scott, William J.; Smith, Roger A.; Wood, Jill E.; Monahan, Mary-Katherine; Natero, Reina; Renick, Joel; Sibley, Robert N.

PATENT ASSIGNEE(S): Bayer Corporation, USA

SOURCE: PCT Int. Appl., 148 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000041698	A1	20000720	WO 2000-US768	20000113 <--
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,			



CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

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EP 1158985	A1	20011205	EP 2000-905597	20000113 <--

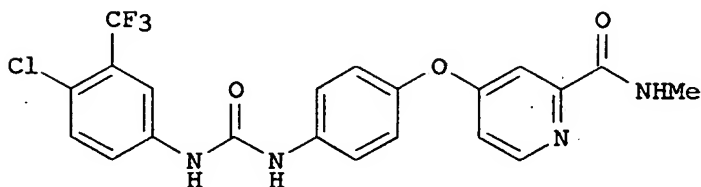
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, SI, LT, LV, FI, RO

MX 2001PA07120	A	20011101	MX 2001-PA7120	20010712 <--
US 2003139605	A1	20030724	US 2002-71248	20020211
US 2003105091	A1	20030605	US 2002-86417	20020304
AU 2004200566	A1	20040311	AU 2004-200566	20040213
AU 2004200722	A1	20040318	AU 2004-200722	20040224

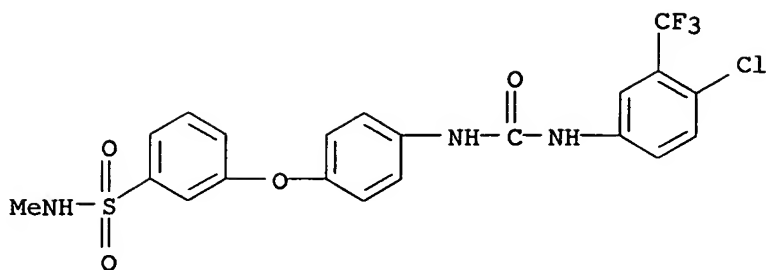
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US 1999-115878P	P	19990113
US 1999-257265	A2	19990225
US 1999-425229	A2	19991022
US 1999-115877P	P	19990113
US 1999-257266	B2	19990225
US 1999-425228	B1	19991022
AU 2000-25016	A3	20000112
AU 2000-27250	A3	20000113
WO 2000-US768	W	20000113
US 2001-948915	A1	20010910

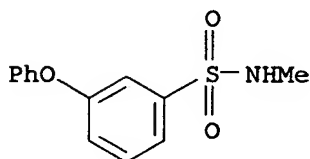
OTHER SOURCE(S):            MARPAT 133:120155  
GI



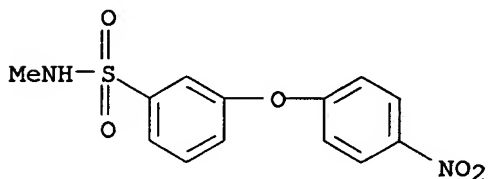
- AB The title compds. ADB [I; D = NHCONH; A = substituted moiety of up to 40 carbon atoms of the formula L(ML1)q (wherein L = 5-6 membered cyclic structure; L1 = substituted cyclic moiety having at least 5 members; M = bridging group having at least one atom; q = 1-3; each of L and L1 contains 0-4 members of the group consisting of N, O and S); B = (un)substituted up to tricyclic aryl or heteroaryl moiety of up to 30 carbon atoms with at least one 6-member cyclic structure bound directly to D containing 0-4 members of the group consisting of N, O and S], useful in treating p38 mediated diseases, were prepared E.g., a multi-step synthesis of the urea II which showed IC50 of 1-10  $\mu$ M against p38, was given. Compds. I are effective at 0.01-200 mg/kg/day (oral administration).
- IT 284461-79-6P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of  $\omega$ -carboxy aryl substituted di-Ph ureas as p38 kinase inhibitors)
- RN 284461-79-6 CAPLUS
- CN Benzenesulfonamide, 3-[4-[[[4-chloro-3-(trifluoromethyl)phenyl]amino]carbonyl]amino]phenoxy]-N-methyl- (CA INDEX NAME)



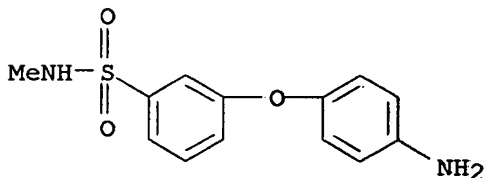
IT 284462-59-5P 284462-60-8P 284462-61-9P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation of  $\omega$ -carboxy aryl substituted di-Ph ureas as p38 kinase  
 inhibitors)  
 RN 284462-59-5 CAPLUS  
 CN Benzenesulfonamide, N-methyl-3-phenoxy- (CA INDEX NAME)



RN 284462-60-8 CAPLUS  
 CN Benzenesulfonamide, N-methyl-3-(4-nitrophenoxy)- (CA INDEX NAME)



RN 284462-61-9 CAPLUS  
 CN Benzenesulfonamide, 3-(4-aminophenoxy)-N-methyl- (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 13 OF 44 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2000:456950 CAPLUS

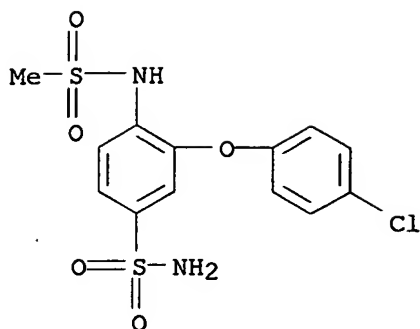
DOCUMENT NUMBER: 133:84244

TITLE: Method of using a cyclooxygenase-2 inhibitor and an  
 integrin antagonist as a combination therapy in the  
 treatment of neoplasia

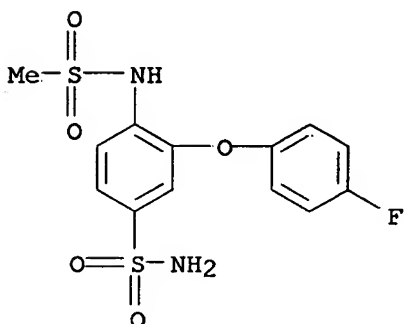
INVENTOR(S): McKearn, John P.; Gordon, Gary; Cunningham, James J.;

Gately, Stephen T.; Koki, Alane T.; Masferrer, Jaime L.  
 PATENT ASSIGNEE(S): G.D. Searle and Co., USA  
 SOURCE: PCT Int. Appl., 348 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 21  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000038786	A2	20000706	WO 1999-US30692	19991222 <--
WO 2000038786	A3	20010308		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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AU 200022104	A	20000731	AU 2000-22104	19991222 <--
EP 1140179	A2	20011010	EP 1999-966594	19991222 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200102499	T2	20011221	TR 2001-2499	19991222 <--
JP 2002533422	T	20021008	JP 2000-590734	19991222
EP 1522313	A1	20050413	EP 2004-26577	19991222
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AT 322290	T	20060415	AT 1999-967543	19991222
ZA 2001005055	A	20020920	ZA 2001-5055	20010620
ZA 2001005120	A	20020107	ZA 2001-5120	20010621
AU 2004210578	A1	20041007	AU 2004-210578	20040910
PRIORITY APPLN. INFO.:				
			US 1998-113786P	P 19981223
			US 1999-385214	A 19990827
			AU 2000-25936	A3 19991222
			EP 1999-968939	A3 19991222
			WO 1999-US30692	W 19991222
AB	Methods are provided to treat or prevent neoplasia disorders in a mammal using a combination of a cyclooxygenase-2 inhibitor, an integrin antagonist and an antineoplastic agent.			
IT	279221-14-6 279221-15-7 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (cyclooxygenase-2 inhibitor and integrin antagonist in combination for neoplasia treatment)			
RN	279221-14-6 CAPLUS			
CN	Benzenesulfonamide, 3-(4-chlorophenoxy)-4-[(methylsulfonyl)amino]- (CA INDEX NAME)			



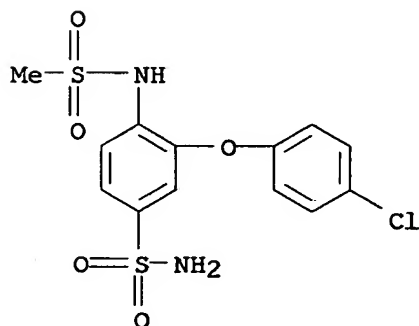
RN 279221-15-7 CAPLUS  
 CN Benzenesulfonamide, 3-(4-fluorophenoxy)-4-[(methylsulfonyl)amino]- (CA INDEX NAME)



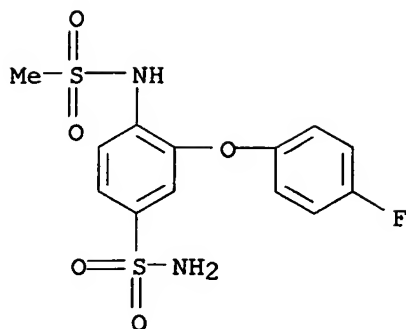
L14 ANSWER 14 OF 44 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2000:456927 CAPLUS  
 DOCUMENT NUMBER: 133:84243  
 TITLE: Method of using a cyclooxygenase-2 inhibitor and one or more antineoplastic agents as a combination therapy in the treatment of neoplasia  
 INVENTOR(S): McKearn, John P.; Gordon, Gary; Cunningham, James J.; Gately, Stephen T.; Koki, Alane T.; Masferrer, Jaime L.  
 PATENT ASSIGNEE(S): G.D. Searle and Co., USA  
 SOURCE: PCT Int. Appl., 236 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 21  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000038730	A2	20000706	WO 1999-US30693	19991222 <--
WO 2000038730	A3	20001102		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2356606	A1	20000706	CA 1999-2356606	19991222 <--

AU 200023805	A	20000731	AU 2000-23805	19991222 <--
AU 783992	B2	20060112		
EP 1140192	A2	20011010	EP 1999-967543	19991222 <--
EP 1140192	B1	20060405		
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TR 200102499	T2	20011221	TR 2001-2499	19991222 <--
BR 9916518	A	20020129	BR 1999-16518	19991222
HU 2001004814	A2	20020429	HU 2001-4814	19991222
HU 2001004814	A3	20030328		
JP 2002533416	T	20021008	JP 2000-590681	19991222
EP 1522313	A1	20050413	EP 2004-26577	19991222
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, RO, CY				
AT 322290	T	20060415	AT 1999-967543	19991222
ZA 2001005055	A	20020920	ZA 2001-5055	20010620
ZA 2001005120	A	20020107	ZA 2001-5120	20010621
NO 2001003155	A	20010822	NO 2001-3155	20010622 <--
MX 2001PA06489	A	20010910	MX 2001-PA6489	20010622 <--
IN 2001KN00660	A	20060303	IN 2001-KN660	20010625
US 2003119895	A1	20030626	US 2002-150546	20020516
US 2003203956	A1	20031030	US 2002-212523	20020805
AU 2004210578	A1	20041007	AU 2004-210578	20040910
US 2005037090	A1	20050217	US 2004-945422	20040920
PRIORITY APPLN. INFO.:				
			US 1998-113786P	P 19981223
			US 1999-385214	A 19990827
			AU 2000-25936	A3 19991222
			EP 1999-968939	A3 19991222
			WO 1999-US30693	W 19991222
			US 2001-857873	A2 20011005
AB	Methods are provided to treat or prevent neoplasia disorders in a mammal using a combination of a cyclooxygenase-2 inhibitor and an antineoplastic agent.			
IT	279221-14-6 279221-15-7			
	RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)			
	(cyclooxygenase-2 inhibitor-antineoplastic agent combination for neoplasia treatment)			
RN	279221-14-6 CAPLUS			
CN	Benzenesulfonamide, 3-(4-chlorophenoxy)-4-[(methylsulfonyl)amino]- (CA INDEX NAME)			



RN	279221-15-7	CAPLUS
CN	Benzenesulfonamide, 3-(4-fluorophenoxy)-4-[(methylsulfonyl)amino]- (CA INDEX NAME)	



L14 ANSWER 15 OF 44 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2000:456913 CAPLUS  
 DOCUMENT NUMBER: 133:84241  
 TITLE: Combination therapy of radiation and a cyclooxygenase 2 (COX-2) inhibitor for the treatment of neoplasia  
 INVENTOR(S): McKearn, John P.; Masferrer, Jaime L.; Milas, Luka  
 PATENT ASSIGNEE(S): G.D. Searle and Co., USA  
 SOURCE: PCT Int. Appl., 96 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 21  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000038716	A1	20000706	WO 1999-US30669	19991222 <--
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
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CA 2356547	A1	20000706	CA 1999-2356547	19991222 <--
AU 200027134	A	20000731	AU 2000-27134	19991222 <--
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EP 1140181	A1	20011010	EP 1999-968939	19991222 <--
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JP 2002535249	T	20021022	JP 2000-590667	19991222
AT 281845	T	20041115	AT 1999-968939	19991222
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EP 1522313	A1	20050413	EP 2004-26577	19991222
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NO 2001003064	A	20010823	NO 2001-3064	20010620 <--
MX 2001PA06501	A	20010910	MX 2001-PA6501	20010622 <--
US 2004053934	A1	20040318	US 2003-460866	20030613
US 2004053935	A1	20040318	US 2003-461983	20030613
AU 2004201161	A1	20040422	AU 2004-201161	20040319
AU 2004210578	A1	20041007	AU 2004-210578	20040910
PRIORITY APPLN. INFO.:			US 1998-113786P	P 19981223

US 1999-385214	A 19990827
AU 2000-25936	A3 19991222
EP 1999-968939	A3 19991222
WO 1999-US30669	W 19991222

AB Methods are provided to treat or prevent neoplasia disorders in a mammal using a combination of radiation therapy and a COX-2 inhibitor.

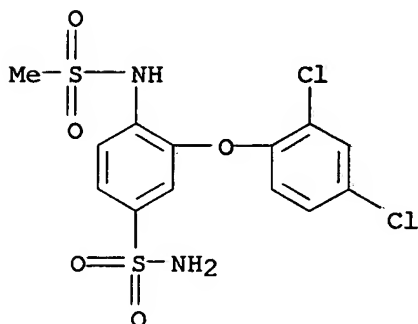
IT 187845-58-5 187845-58-5D, derivs. 279221-14-6  
279221-14-6D, derivs. 279221-15-7 279221-15-7D  
, derivs.

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(COX-2 inhibitor-radiotherapy combination for neoplasia treatment)

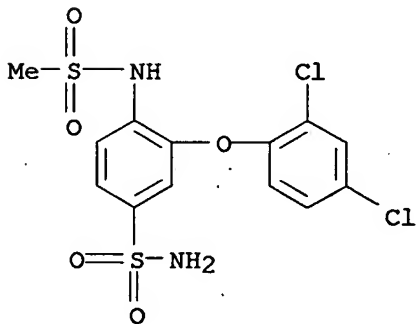
RN 187845-58-5 CAPLUS

CN Benzenesulfonamide, 3-(2,4-dichlorophenoxy)-4-[(methylsulfonyl)amino]-  
(CA INDEX NAME)



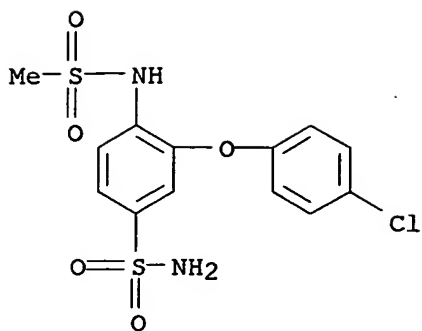
RN 187845-58-5 CAPLUS

CN Benzenesulfonamide, 3-(2,4-dichlorophenoxy)-4-[(methylsulfonyl)amino]-  
(CA INDEX NAME)



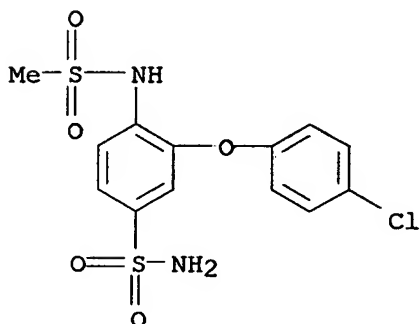
RN 279221-14-6 CAPLUS

CN Benzenesulfonamide, 3-(4-chlorophenoxy)-4-[(methylsulfonyl)amino]- (CA  
INDEX NAME)



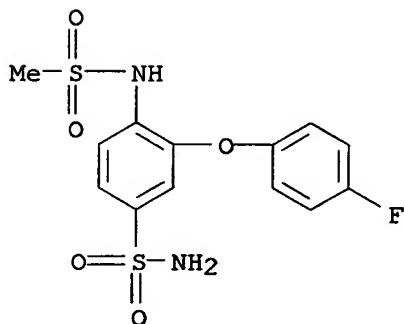
RN 279221-14-6 CAPLUS

CN Benzenesulfonamide, 3-(4-chlorophenoxy)-4-[(methylsulfonyl)amino]- (CA INDEX NAME)



RN 279221-15-7 CAPLUS

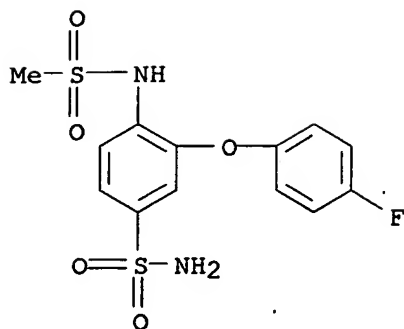
CN Benzenesulfonamide, 3-(4-fluorophenoxy)-4-[(methylsulfonyl)amino]- (CA INDEX NAME)



RN 279221-15-7 CAPLUS

CN Benzenesulfonamide, 3-(4-fluorophenoxy)-4-[(methylsulfonyl)amino]- (CA INDEX NAME)





REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 16 OF 44 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2000:441655 CAPLUS

DOCUMENT NUMBER: 133:68922

TITLE: Method of using a cyclooxygenase-2 inhibitor and a matrix metalloproteinase inhibitor as a combination therapy in the treatment of neoplasia

INVENTOR(S): McKearn, John P.; Gordon, Gary; Cunningham, James J.; Gately, Stephen T.; Koki, Alane T.; Masferrer, Jaime L.

PATENT ASSIGNEE(S): G.D. Searle and Co., USA

SOURCE: PCT Int. Appl., 437 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 21

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000037107	A2	20000629	WO 1999-US30776	19991222 <--
WO 2000037107	A3	20010201		
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2356426	A1	20000629	CA 1999-2356426	19991222 <--
AU 200025936	A	20000712	AU 2000-25936	19991222 <--
EP 1140194	A2	20011010	EP 1999-968540	19991222 <--
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
TR 200102499	T2	20011221	TR 2001-2499	19991222 <--
BR 9916536	A	20020102	BR 1999-16536	19991222
HU 2001004747	A2	20020429	HU 2001-4747	19991222
HU 2001004747	A3	20021228		
JP 2002532563	T	20021002	JP 2000-589217	19991222
EP 1522313	A1	20050413	EP 2004-26577	19991222
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, RO, CY			
AT 322290	T	20060415	AT 1999-967543	19991222
ZA 2001005055	A	20020920	ZA 2001-5055	20010620
ZA 2001005120	A	20020107	ZA 2001-5120	20010621
NO 2001003156	A	20010823	NO 2001-3156	20010622 <--

MX 2001PA06499	A	20020408	MX 2001-PA6499	20010622
IN 2001KN00659	A	20050311	IN 2001-KN659	20010625
AU 2004210578	A1	20041007	AU 2004-210578	20040910
PRIORITY APPLN. INFO.:			US 1998-113786P	P 19981223
			US 1999-385214	A 19990827
			AU 2000-25936	A3 19991222
			EP 1999-968939	A3 19991222
			WO 1999-US30776	W 19991222

AB Methods are provided to treat or prevent neoplasia disorders in a mammal using a combination of a cyclooxygenase-2 inhibitor, a matrix metalloproteinase inhibitor and an antineoplastic agent.

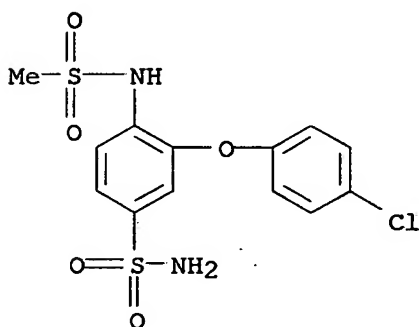
IT 279221-14-6 279221-15-7

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(cyclooxygenase-2 inhibitor and matrix metalloproteinase inhibitor in combination therapy for neoplasia treatment)

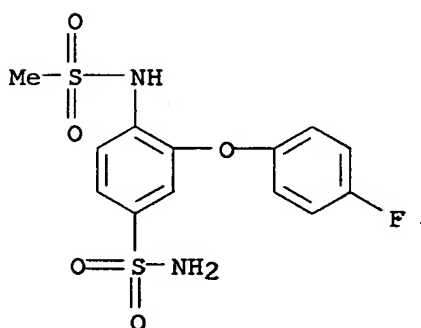
RN 279221-14-6 CAPLUS

CN Benzenesulfonamide, 3-(4-chlorophenoxy)-4-[(methylsulfonyl)amino]- (CA INDEX NAME)



RN 279221-15-7 CAPLUS

CN Benzenesulfonamide, 3-(4-fluorophenoxy)-4-[(methylsulfonyl)amino]- (CA INDEX NAME)



L14 ANSWER 17 OF 44 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2000:271181 CAPLUS

DOCUMENT NUMBER: 133:12507

TITLE: Pharmacology of a selective cyclooxygenase-2 inhibitor, HN-56249: a novel compound exhibiting a marked preference for the human enzyme in intact cells

AUTHOR(S): Berg, Jorg; Fellier, Harald; Christoph, Thomas; Krenninger, Peter; Hartmann, Michael; Blaschke, Heinz;

CORPORATE SOURCE: Rovensky, Franz; Towart, Robertson; Stimmeder, Dagmar  
Department of Pharmacology, Nycomed Austria GmbH,  
Linz, A-4021, Austria  
SOURCE: Naunyn-Schmiedeberg's Archives of Pharmacology ( 2000), 361(4), 363-372  
CODEN: NSAPCC; ISSN: 0028-1298  
PUBLISHER: Springer-Verlag  
DOCUMENT TYPE: Journal  
LANGUAGE: English

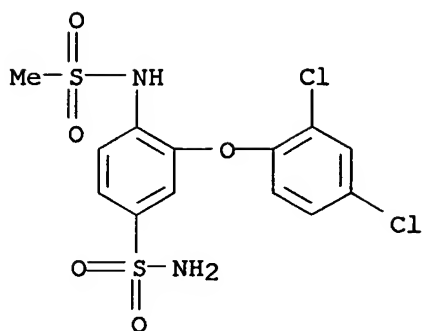
AB HN-56249 (3-(2,4-dichlorothiophenoxy)-4-methylsulfonylamino-benzenesulfonamide), a highly selective cyclooxygenase (COX)-2 inhibitor, is the prototype of a novel series of COX inhibitors comprising bicyclic arylethersulfonamides; of this series HN-56249 is the most potent and selective human COX-2 inhibitor. HN-56249 inhibited platelet aggregation as a measure of COX-1 activity only moderately (IC50 26.5±1.7 µM). In LPS-stimulated monocytic cells the release of prostaglandin (PG) Flα as a measure of COX-2 was markedly inhibited (IC50 0.027±0.001 µM). Thus, HN-56249 showed an approx. 1000-fold selectivity for COX-2 in intact cells. In whole blood assays HN-56249 showed a potent inhibitory activity for COX-2 (IC50 0.78±0.37 µM) only. COX-1 was only weakly inhibited (IC50 867±181 µM). Hence, HN-56249 exhibited a greater than 1000-fold selectivity for whole blood COX-2. HN-56249 surpassed the COX-2 selectivities of the COX-2 selective inhibitors 3-cyclohexyloxy-4-methylsulfonylamino-nitrobenzene (NS-398) and 6-(2,4-difluorophenoxy)-5-methylsulfonylamino-1-indanone (flosulide) in the intact cell assays by eight- and threefold, resp., and in the whole blood assays by approx. 40-fold. Following i.v. administration HN-56249 inhibited carrageenan-induced rat paw edema only moderately (ID50 26.2±5.7 mg/kg, mean ± SEM), approx. tenfold less potent than indomethacin (ID50 2.1 ± 0.2 mg/kg, mean ± SEM). After oral administration HN-56249 reversed thermal hyperalgesia in the carrageenan-induced rat paw edema test, however, some 30-fold less potently than diclofenac. Comparing the inhibitory potency of HN-56249 against human COX-2 with that against murine COX-2 in intact cells revealed a 300-fold selectivity for the human enzyme. Similar effects were observed with other COX-2-selective arylethersulfonamides. In contrast, non-COX-2-selective arylethersulfonamides, including a highly selective COX-1 inhibitor, inhibited human and murine COX-2 approx. equipotently. In conclusion, HN-56249 is a novel potent and highly selective COX-2 inhibitor with a marked preference for the human COX-2 enzyme in vitro. Despite excellent bioavailability and the long plasma half-life of HN-56249, anti-inflammatory effects in rodents were only moderate. We suggest these differing in vitro-in vivo effects observed could be due to significant inflammatory prostaglandin synthesis by COX-1, or to the genetic differences between human and rodent COX-2, or to both.

IT 187845-58-5, HN 56187 187845-88-1, HN 56246  
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

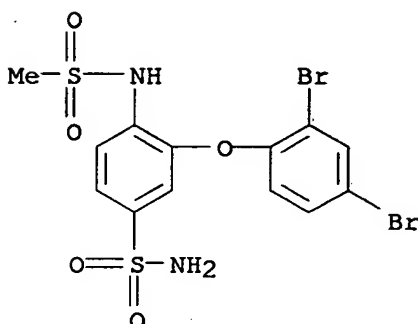
(selective COX-2 inhibitor, HN-56249)

RN 187845-58-5 CAPLUS

CN Benzenesulfonamide, 3-(2,4-dichlorophenoxy)-4-[(methylsulfonyl)amino]-  
(CA INDEX NAME)



RN 187845-88-1 CAPLUS  
 CN Benzenesulfonamide, 3-(2,4-dibromophenoxy)-4-[(methylsulfonyl)amino]- (CA INDEX NAME)



REFERENCE COUNT: 47 THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 18 OF 44 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1999:549257 CAPLUS  
 DOCUMENT NUMBER: 131:170272  
 TITLE: 2-Pyridylmethylaniline derivatives useful as fungicides  
 INVENTOR(S): Moloney, Brian Anthony; Hardy, David; Saville-Stones, Elizabeth Anne  
 PATENT ASSIGNEE(S): Agrevo UK Limited, UK  
 SOURCE: PCT Int. Appl., 39 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

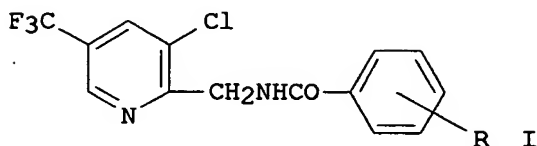
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9942447	A1	19990826	WO 1999-GB304	19990216 <--
W: AU, BR, CA, CN, CZ, HU, ID, IL, IN, JP, KR, KZ, MX, NO, NZ, PL, RO, RU, SI, SK, TR, UA, US, YU, ZW				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
TW 575562	B	20040211	TW 1999-88101970	19990209
CA 2319005	A1	19990826	CA 1999-2319005	19990216 <--
AU 9925271	A	19990906	AU 1999-25271	19990216 <--
AU 751032	B2	20020808		
TR 200002395	T2	20001121	TR 2000-2395	19990216 <--
EP 1056723	A1	20001206	EP 1999-904953	19990216 <--
EP 1056723	B1	20071128		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI

BR 9908007	A	20010130	BR 1999-8007	19990216 <--
SI 20356	A	20010430	SI 1999-20016	19990216 <--
HU 2001000817	A2	20010730	HU 2001-817	19990216 <--
JP 2002503723	T	20020205	JP 2000-532399	19990216
TR 200101071	T2	20020621	TR 2001-1071	19990216
NZ 505954	A	20021220	NZ 1999-505954	19990216
CN 1132816	B	20031231	CN 1999-803058	19990216
RU 2224746	C2	20040227	RU 2000-124062	19990216
RO 120970	B1	20061030	RO 2000-790	19990216
PL 194057	B1	20070430	PL 1999-342376	19990216
ZA 9901292	A	19990913	ZA 1999-1292	19990218 <--
MX 2000PA07713	A	20020311	MX 2000-PA7713	20000807
NO 2000004159	A	20001017	NO 2000-4159	20000818 <--
NO 317105	B1	20040809		
US 6503933	B1	20030107	US 2000-622651	20000921
US 2003171410	A1	20030911	US 2002-303464	20021125
US 6828441	B2	20041207		
IN 2007DN04639	A	20070831	IN 2007-DN4639	20070618
PRIORITY APPLN. INFO.:			GB 1998-3413	A 19980219
			GB 1998-13998	A 19980630
			GB 1998-17353	A 19980811
			GB 1998-3414	A 19980219
			WO 1999-GB304	W 19990216
			IN 2000-DN142	A3 20000817
			US 2000-622651	A3 20000921

OTHER SOURCE(S): MARPAT 131:170272

GI



AB Title compds. such as I (R = 2-CF<sub>3</sub>, 3-Br, 4-Cl) were prepared as agricultural fungicides. Thus, 0.35 g [3-chloro-5-(trifluoromethyl)-2-pyridyl]methylamine and 0.39 g 2-(trifluoromethyl)benzoyl chloride reacted in dry ether in the presence of 0.27 mL Et<sub>3</sub>N to give I (R = 2-CF<sub>3</sub>). The products were tested at 500 ppm (w/v) against late blight, vine downy mildew, wheat powdery mildew, rice blast, glume blotch, and gray mold.

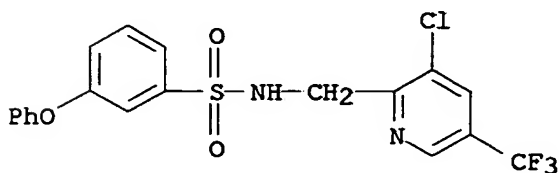
IT 239112-44-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(fungicidal 2-pyridylmethylamine derivs.)

RN 239112-44-8 CAPLUS

CN Benzenesulfonamide, N-[[3-chloro-5-(trifluoromethyl)-2-pyridinyl]methyl]-3-phenoxy- (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 19 OF 44 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1999:425740 CAPLUS

DOCUMENT NUMBER: 131:73648

TITLE: Inhibition of raf kinase using substituted heterocyclic ureas

INVENTOR(S): Dumas, Jacques; Khire, Uday; Lowinger, Timothy Bruno; Paulsen, Holger; Riedl, Bernd; Scott, William J.; Smith, Roger A.; Wood, Jill E.; Hatoum-Mokdad, Holia; Johnson, Jeffrey; Lee, Wendy; Redman, Aniko

PATENT ASSIGNEE(S): Bayer Corporation, USA

SOURCE: PCT Int. Appl., 163 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

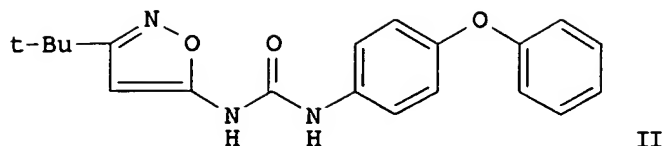
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9932106	A1	19990701	WO 1998-US26078	19981222 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2315717	A1	19990701	CA 1998-2315717	19981222 <--
AU 9921989	A	19990712	AU 1999-21989	19981222 <--
EP 1047418	A1	20001102	EP 1998-965981	19981222 <--
EP 1047418	B1	20050727		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200002618	T2	20010420	TR 2000-2618	19981222 <--
JP 2001526220	T	20011218	JP 2000-525097	19981222 <--
HU 2001001704	A2	20011228	HU 2001-1704	19981222 <--
HU 2001001704	A3	20021228		
BR 9814374	A	20020514	BR 1998-14374	19981222
RU 2232015	C2	20040710	RU 2000-120184	19981222
CN 1544420	A	20041110	CN 2004-10028655	19981222
AT 300299	T	20050815	AT 1998-965981	19981222
ES 2153340	T3	20060201	ES 1998-965981	19981222
NO 2000003232	A	20000821	NO 2000-3232	20000621 <--
MX 2000PA06226	A	20020311	MX 2000-PA6226	20000622
IN 193672	A1	20040731	IN 2000-MN153	20000704
BG 104597	A	20010228	BG 2000-104597	20000712 <--
BG 64984	B1	20061130		
HK 1029052	A1	20051118	HK 2000-107684	20001130
IN 2003MN00990	A	20050429	IN 2003-MN990	20031024
PRIORITY APPLN. INFO.:			US 1997-996343	A 19971222
			WO 1998-US26078	W 19981222

OTHER SOURCE(S): MARPAT 131:73648

GI

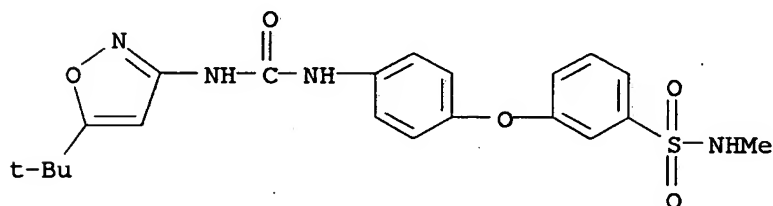


AB A method for treatment of cancerous cell growth mediated by raf kinase comprises administration of urea derivs. ANHCONHB [I; A = substituted isoxazolyl, thienyl, thiadiazolyl, furyl, pyrazolyl, etc.; B = (substituted) mono-, di-, or tricyclic aryl, heteroaryl containing  $\geq 1$  5-6 membered aromatic structure containing 0-4 N, O, or S atoms]. Reaction of 4-phenyloxyphenyl isocyanate with 5-amino-3-tert-butylisoxazole in methylene chloride and heating at reflux temperature for 2 days gave title compound II. In an in vitro raf kinase assay, I displayed IC50 values of 1-10  $\mu\text{M}$ .

IT 229000-01-5P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of substituted heterocyclic ureas for treatment of cancerous cell growth mediated by raf kinase)

RN 229000-01-5 CAPLUS

CN Benzenesulfonamide, 3-[4-[[[5-(1,1-dimethylethyl)-3-isoxazolyl]amino]carbonyl]amino]phenoxy]-N-methyl- (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 20 OF 44 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1997:299201 CAPLUS

DOCUMENT NUMBER: 126:277280

TITLE: Preparation of 4-sulfonamidobenzenesulfonamides as cyclooxygenase-2 inhibitors

INVENTOR(S): Blaschke, Heinz; Hartmann, Michael; Kremminger, Peter; Rovenszky, Franz; Fellier, Harald; Berg, Joerg; Christoph, Thomas; Stimmeder, Dagmar

PATENT ASSIGNEE(S): Nycomed Arzneimittel Gmbh, Germany

SOURCE: Ger. Offen., 11 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19533644	A1	19970313	DE 1995-19533644	19950912 <--
PRIORITY APPLN. INFO.:			DE 1995-19533644	19950912
OTHER SOURCE(S):	MARPAT 126:277280			
GI				